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FILE 'HOME' ENTERED AT 10:37:58 ON 14 MAR 2007

=> file reg

=>
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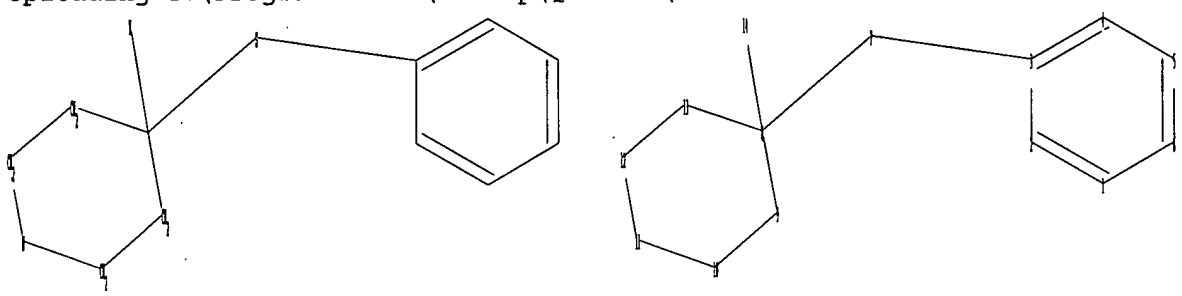
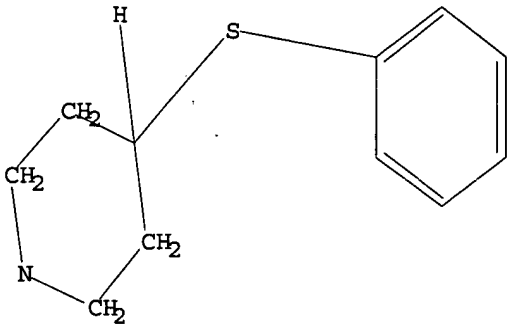


chain nodes :
7 14
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
chain bonds :
3-7 7-8 8-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
3-7 7-8 8-9 8-13 9-10 10-11 11-12 12-13
exact bonds :
8-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
L2 1432 SEA SSS FUL L1

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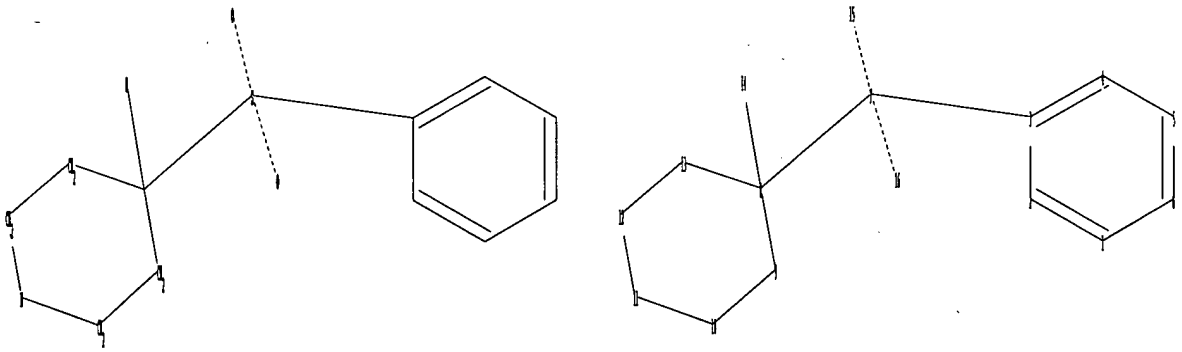
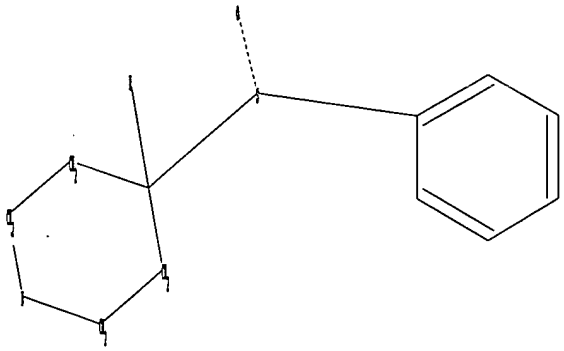


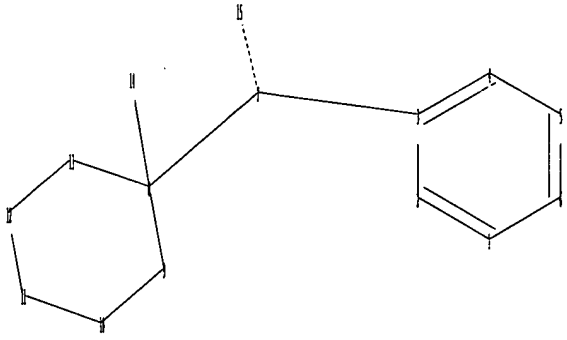
chain nodes :
7 14 15 16
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
chain bonds :
3-7 7-8 7-15 7-16 8-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
3-7 7-8 7-15 7-16 8-9 8-13 9-10 10-11 11-12 12-13
exact bonds :
8-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS

L3 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\517.str





chain nodes :
7 14 15
ring nodes :
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chain bonds :
3-7 7-8 7-15 8-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
3-7 7-8 7-15 8-9 8-13 9-10 10-11 11-12 12-13
exact bonds :
8-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
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L4 STRUCTURE UPLOADED

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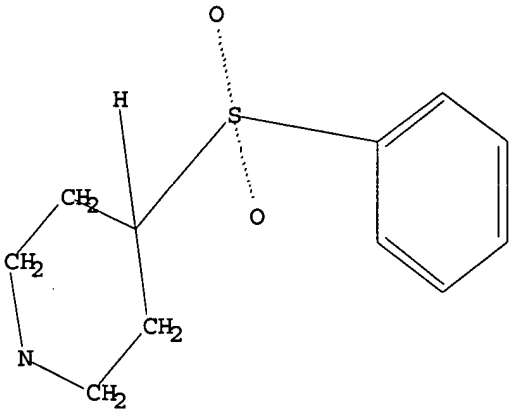
L3 STR



Structure attributes must be viewed using STN Express query preparation.

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=> d l4
L4 HAS NO ANSWERS
L4                STR
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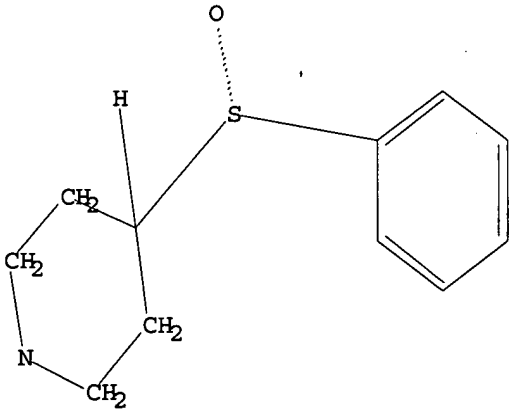

Structure attributes must be viewed using STN Express query preparation.

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=> s l4 full
L6          901 SEA SSS FUL L4

=> s l2 not l5
L7          626 L2 NOT L5

=> s l7 not l6
L8          531 L7 NOT L6

=> file ca
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L9          111 L8

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L10          57 L9 AND PY<2001

=> s l9 and py<2002
      21016548 PY<2002
L11          66 L9 AND PY<2002

=> d ibib abs fhitstr 1-66

L11  ANSWER 1 OF 66  CA  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:          145:397368  CA
TITLE:                     Preparation of sulfonyl aryl or heteroaryl hydroxamic
                           acid compounds as matrix metalloprotease inhibitors
INVENTOR(S):               Bedell, Louis J.; Mcdonald, Joseph J.; Barta, Thomas
                           E.; Becker, Daniel P.; Shashidhar, Rao N.; Freskos,
                           John N.; Mischke, Brent V.; Getman, Daniel P.;
                           Decrescenzo, Gary A.; Villamil, Clara I.
PATENT ASSIGNEE(S):        G. D. Searle & Co., USA
SOURCE:                    U.S., 162pp., Cont.-in-part of U.S. Ser. No. 310,813.
                           CODEN: USXXAM
DOCUMENT TYPE:             Patent
LANGUAGE:                  English
FAMILY ACC. NUM. COUNT:    11
PATENT INFORMATION:

     PATENT NO.            KIND   DATE        APPLICATION NO.          DATE
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     US 7115632             B1    20061003    US 2000-569034           20000511
     US 2001020021          A1    20010906    US 1999-230209           19990624 <--
     US 6380258             B2    20020430
     WO 2001085680          A2    20011115    WO 2001-US14706          20010507 <--
     WO 2001085680          A3    20020307
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 2003073845          A1    20030417    US 2001-909227           20010719
     US 6696449             B2    20040224
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                                              US 1999-230209      A2 19990624
                                              US 1997-35182P      P  19970304
                                              WO 1998-US4300      W  19980304
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OTHER SOURCE(S):           MARPAT 145:397368
GI
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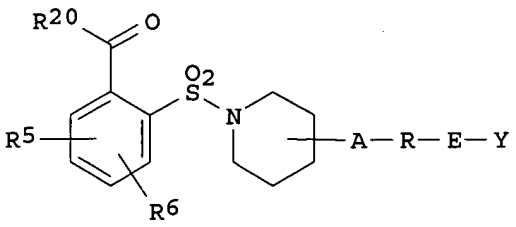


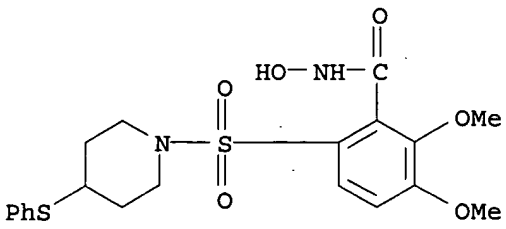
I

AB The title compds. [I; A = O, S, CO2, etc.; R = alkyl, alkoxyalkyl, aryl, etc.; E = CO, SO2, (un)substituted CONH, etc.; Y = H, alkyl, alkoxy, etc.; R5, R6 = H, alkyl, cycloalkyl, etc.; R20 = OR21, NR13OR22, etc. (R13 = H, alkyl, benzyl; R21 = alkyl, aryl, arylalkyl; R22 = selectively removable protecting group)] or pharmaceutically acceptable salts thereof that inter alia inhibit matrix metalloprotease activity, are prepared Thus, thioetherification of 4-phenoxybenzenethiol with 2-fluorobenzaldehyde in the presence of K2CO3 in isopropanol under reflux for 20 h gave 2-(4-phenoxyphenylthio)benzaldehyde which was condensed with tetra-Et dimethylaminomethylenediphosphonate in the presence of NaH in THF at room temperature for 4 h gave to 2-[2-(4-phenoxyphenylthio)phenyl]acetic acid (II). II was oxidized by H2O2 in acetic acid to 2-[2-(4-phenoxyphenylsulfonyl)phenyl]acetic acid which was condensed with O-tetrahydropyranylhydroxylamine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in MeCN followed by treatment with p-toluenesulfonic acid in methanol at room temperature for 2 h to give N-hydroxy-2-[2-(4-phenoxyphenylsulfonyl)phenyl]acetamide (III). III and N-hydroxy-2,3-dimethoxy-6-[[4-[4-(trifluoromethyl)phenoxy]-1-piperidinyl]sulfonyl]benzamide showed IC50 of >10,000 nM against MMP-1, 0.3 and 2.4 nM, resp., against MMP-2, and 2 and 2.7 nM, resp., against MMP-13. Also disclosed is a treatment process that comprises administering a contemplated sulfonyl aromatic or heteroarom. ring hydroxamic acid compound in a matrix metalloprotease (MMP) enzyme-inhibiting effective amount to a host having a condition associated with pathol. MMP activity.

IT 308385-58-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonyl aryl or heteroaryl hydroxamic acid compds. as matrix metalloprotease inhibitors)

RN 308385-58-2 CA

CN Benzamide, N-hydroxy-2,3-dimethoxy-6-[[4-(phenylthio)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:38534 CA
TITLE: Preparation of aromatic sulfone hydroxamic acid metalloprotease inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffrey N.; Decrescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Li, Madeleine H.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Steve A.; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: U.S., 403 pp., Cont.-in-part of U.S. Ser. No. 311,837.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6750228	B1	20040615	US 2000-570731	20000512
US 2001014688	A1	20010816	US 1998-191129	19981113 <--
US 2001039287	A1	20011108	US 1999-256948	19990224 <--
CA 2372934	A1	20001123	CA 2000-2372934	20000515 <--
WO 2000069821	A1	20001123	WO 2000-US6719	20000515 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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EP 1183239	A1	20020306	EP 2000-930088	20000515
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HU 200201680	A2	20020928	HU 2002-1680	20000515
BR 2000010562	A	20030610	BR 2000-10562	20000515
JP 2003520196	T	20030702	JP 2000-618238	20000515
AU 766792	B2	20031023	AU 2000-47970	20000515
NZ 515217	A	20040430	NZ 2000-515217	20000515
US 2002177588	A1	20021128	US 2001-954451	20010917
US 6750233	B2	20040615		
ZA 2001009006	A	20021202	ZA 2001-9006	20011031
NO 2001005543	A	20020110	NO 2001-5543	20011113
US 2003073718	A1	20030417	US 2001-989943	20011121
US 6683093	B2	20040127		
US 2004209914	A1	20041021	US 2003-730403	20031208
US 2004235818	A1	20041125	US 2003-747796	20031229

PRIORITY APPLN. INFO.:		
US 1997-66007P	P	19971114
US 1998-95347P	P	19980804
US 1998-101080P	P	19980918
US 1999-256948	B2	19990224
US 1999-311837	A2	19990514
US 1998-95501P	P	19980806
US 1998-186410	B2	19981105
US 1998-191129	B2	19981113
US 2000-570731	A	20000512

WO 2000-US6719 W 20000515
US 2001-989943 A3 20011121

OTHER SOURCE(S): MARPAT 141:38534

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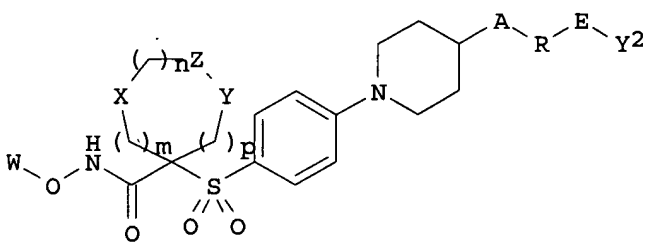

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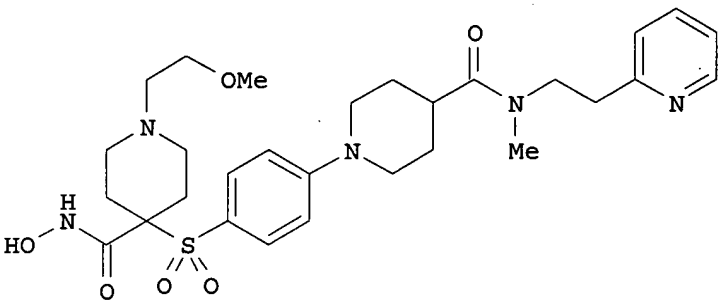


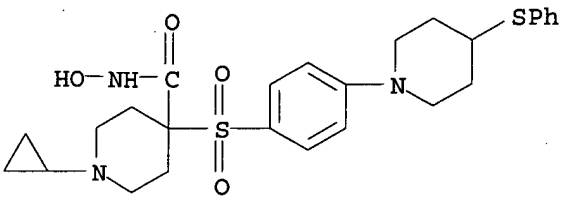
II

AB A treatment process is disclosed that comprises administering an effective amount of an aromatic sulfone hydroxamic acid I [W = H, cation, certain acyl or thioacyl groups; m, n, p = 0-2; (m+n+p) = 1 to 4; Z = (un)substituted NH; X, Y = (un)substituted CH2; A = bond, O, S, (un)substituted NH, COO, OCO, CH:CH, C.tplbond.C, N:N, NHNH, NHCOO, (un)substituted CONH, NHCO, etc.; R = alkylene, arylene, heteroarylene, etc., with provisos; E = bond, CONH, NHCO, CO, SO2, NHSO2, SO2NH, S, etc.; Y2 = absent, H, alkyl, alkoxy, aryl, aryloxy, heteroaryl, etc.] to a host having a condition associated with pathol. matrix metalloprotease (MMP) activity. I exhibit excellent inhibitory activity of one or more MMP enzymes, such as MMP-2, MMP-9 and MMP-13, while exhibiting substantially less inhibition of (at least) MMP-1 (biol. data given). Also disclosed are metalloprotease inhibitor compds. having such selective activities, processes for manufacture of such compds., and pharmaceutical compns. using such inhibitors. The compds. are potentially useful against a wide variety of conditions, notably as antiosteoarthritic, antiangiogenesis, and antitumor agents. Over 900 example compds. are listed, most with supporting phys. data, and many with synthetic details. E.g., a multi-step synthesis of the compound II.2HCl was given.

IT 308825-68-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aromatic sulfone hydroxamic acids as metalloprotease inhibitors)

RN 308825-68-5 CA

CN 4-Piperidinecarboxamide, 1-cyclopropyl-N-hydroxy-4-[[4-[4-(phenylthio)-1-piperidinyl]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:304308 CA
TITLE: Preparation of sulfonyl aryl hydroxamates and their use as matrix metalloprotease inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Decrescenzo, Gary A.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Mischke, Brent V.; Rao, Shashidhar N.; Villamil, Clara I.
PATENT ASSIGNEE(S): Pharmacia Corp., USA
SOURCE: U.S. Pat. Appl. Publ., 148 pp., Cont.-in-part of U.S. Ser. No. 569,034.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003073845	A1	20030417	US 2001-909227	20010719
US 6696449	B2	20040224		
WO 9838859	A1	19980911	WO 1998-US4300	19980304 <--
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US 6380258	B2	20020430		
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US 2003191317	A1	20031009	US 2000-728408	20001201
US 6794511	B2	20040921		
CA 2453613	A1	20030130	CA 2002-2453613	20020719
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			US 1999-310813	B2	19990512
			US 1999-230209	A2	19990624
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OTHER SOURCE(S): MARPAT 138:304308

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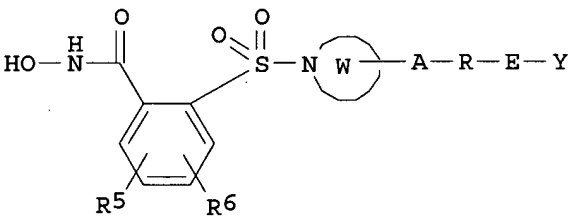

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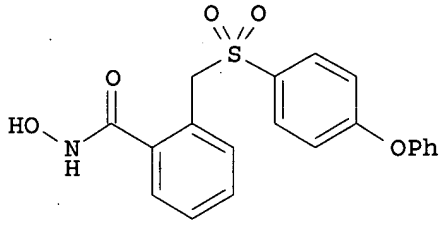


II

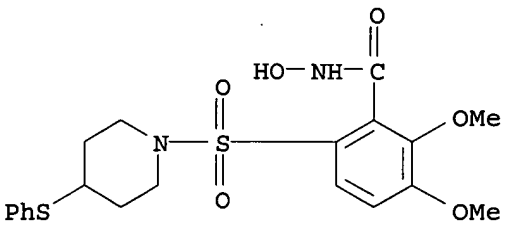
AB Title compds. I [W = 6-membered heterocycle containing the sulfonyl bonded N; A-R-E-Y = 4-substituent; A = O, SO0-2, etc.; R = alkyl, alkoxyalkyl, aryl, heteroaryl, cycloalkyl, etc.; E = absent , bond, CO, SO2, etc.; Y = absent, H, OH, CN, NO2, alkyl, haloalkyl, aminoalkyl; R5-6 = together with the atoms to which they are bonded, form an aliphatic or aromatic carbocyclic or heterocyclic ring having 5-7 members] are prepared Over 50 synthetic examples are disclosed. For example, phthalide is reacted with 4-(phenoxy)benzenethiol (DMF, K2CO3, 100°C, 2 h) and the resulting product converted to the hydroxamic acid (CH2Cl2, ClCOCOCl, DMF (cat), TMSONH2, 0°C, 1.5 h) followed by oxidation (CH2Cl2, mCPBA, room temperature, 3 h) to II. II has IC50 = 10 nM for MMP-2, 45 nM for MMP-13 and >10,000 nM for MMP-1. I are inhibitors of MMP and angiogenesis.

IT 308385-58-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(use of sulfonyl aryl or heteroaryl hydroxamic acids and derivs. as aggrecanase inhibitors)

RN 308385-58-2 CA

CN Benzamide, N-hydroxy-2,3-dimethoxy-6-[[4-(phenylthio)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 136:340996 CA

TITLE: Preparation of sulfamides as metalloprotease inhibitors

INVENTOR(S): Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray

PATENT ASSIGNEE(S): Syntex (U.S.A.) LLC, USA; Agouron Pharmaceuticals, Inc.

SOURCE: U.S., 47 pp., Cont.-in-part of U.S. 6,143,744.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 6376506	B1	20020423	US 1999-469677	19991222	
CA 2278694	A1	19980730	CA 1998-2278694	19980114	<--
CA 2278694	C	20060926			
AU 9866140	A	19980818	AU 1998-66140	19980114	<--
AU 730127	B2	20010222			
EP 958287	A1	19991124	EP 1998-907943	19980114	<--
EP 958287	B1	20020911			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9807508	A	20000321	BR 1998-7508	19980114	<--
NZ 336625	A	20010427	NZ 1998-336625	19980114	<--
HU 200000941	A2	20010428	HU 2000-941	19980114	<--
JP 2001523222	T	20011120	JP 1998-531537	19980114	<--
JP 3563411	B2	20040908			
AT 223909	T	20020915	AT 1998-907943	19980114	
ZA 9800376	A	19980723	ZA 1998-376	19980116	<--
US 5998412	A	19991207	US 1998-9951	19980121	<--
NO 9903587	A	19990922	NO 1999-3587	19990722	<--
NO 313635	B1	20021104			
MX 9906822	A	20000131	MX 1999-6822	19990722	<--
US 6130220	A	20001010	US 1999-369677	19990805	<--

US 6143744 A 20001107 US 1999-369501 19990805 <--
PRIORITY APPLN. INFO.: US 1997-36714P P 19970123
US 1997-62209P P 19971016
US 1998-9951 A3 19980121
US 1999-369501 A2 19990805
WO 1998-EP180 W 19980114

OTHER SOURCE(S): MARPAT 136:340996

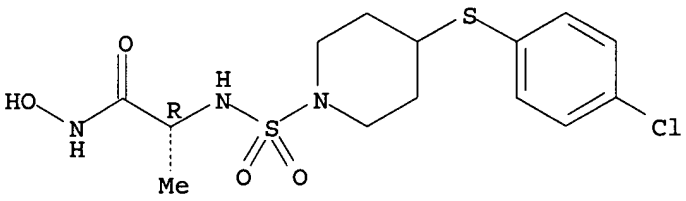
AB Sulfamides RCOCR1R2NR3SO2NR4R5 [R = OH, NHOH or N/O-alkyl or -aryl derivs.; R1, R2, R3 = H, alkyl, alkenyl, haloalkyl, cycloalkyl, cycloalkylalkyl, (hetero)aryl, acylalkyl, etc.; R1R2C may be a (hetero)carbocycle or R3 together with R1 or R2 form a heterocycloamino group; R4, R5 = H, alkyl, heteroalkyl, cycloalkyl, cycloalkylalkyl, aryl, (hetero)aralkyl or -aralkenyl; R4R5N may be a heterocycloamino group or R4 or R5 together with R3 forms an alkylene group (with provisos)], as individual isomers or mixts. of isomers, or their pharmaceutically-acceptable salts or prodrugs were prepared as inhibitors of metalloproteases. Thus, 2-(R)-[(1,2,3,4-tetrahydro-β-carbolino-2-sulfonyl)amino]propionic acid (claimed compound) was prepared by treating D-alanine Me ester hydrochloride with chlorosulfonyl isocyanate/2-chloroethanol, reaction of the oxazolidone formed with 1,2,3,4-tetrahydro-β-carboline, and saponification Metalloprotease and TNF-α inhibitory test data are tabulated.

IT 210913-65-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfamides as metalloprotease inhibitors)

RN 210913-65-8 CA

CN Propanamide, 2-[[[4-[(4-chlorophenyl)thio]-1-piperidinyl]sulfonyl]amino]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

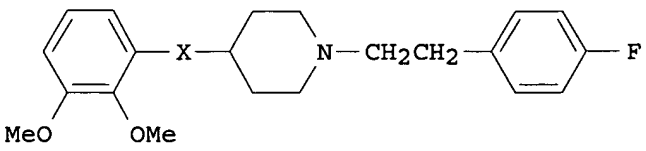
Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:247481 CA
TITLE: Synthesis and biological activities of new 5-HT2A selective ligands N-substituted-piperidinyl-4-phenylthioether and sulfone derivatives
AUTHOR(S): Wang, Hao; Wen, Ren; Huang, Lei; Innis, Robert B.; Tan, Pingzhong
CORPORATE SOURCE: Department of Medical Chemistry, Fudan University, Shanghai, 200032, Peop. Rep. China
SOURCE: Yaoxue Xuebao (2001), 36(4), 274-277
CODEN: YHHPAL; ISSN: 0513-4870
PUBLISHER: Yaoxue Xuebao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 136:247481

GI



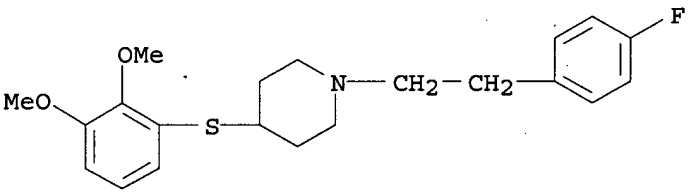
I

AB Title compound I (X = SO2, SO, S), a new 5-HT2A selective ligands, were synthesized from 2,3-dimethoxythiophenol via etherification, oxidation, acid hydrolysis, and alkylation. Their affinities to 5-HT2A, 5-HT 2C, 5-HT6, and 5-HT7 receptors and some other nervous transmitter receptors in vitro were determined The three compds. had relatively high selectivity for 5- HT2A receptor in vitro. The results showed that some sulfur-containing analogs of MDL 100907 showed selective affinity to 5-HT2A receptor.

IT 403848-69-1P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activities of new 5-HT2A selective ligands N-substituted-piperidinyl-4-phenylthioether and sulfone derivs.)

RN 403848-69-1 CA

CN Piperidine, 4-[(2,3-dimethoxyphenyl)thio]-1-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 6 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 135:371526 CA

TITLE: Preparation of sulfonyl aryl or heteroaryl hydroxamic acid compounds as inhibitors of matrix metalloproteinase

INVENTOR(S): Bedell, Louis J.; Mconald, Joseph; Barta, Thomas E.; Becker, Daniel P.; Rao, Shashidhar N.; Freskos, John N.; Mischke, Brent V.; Getman, Daniel P.; Decrescenzo, Gary A.; Villamil, Clara I.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 374 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 11

PATENT INFORMATION:

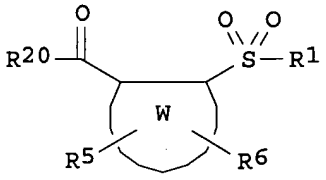
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085680	A2	20011115	WO 2001-US14706	20010507 <--
WO 2001085680	A3	20020307		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 7115632 B1 20061003 US 2000-569034 20000511
PRIORITY APPLN. INFO.: US 2000-569034 A 20000511
US 1999-310813 B2 19990512
US 1999-230209 A2 19990624

OTHER SOURCE(S): MARPAT 135:371526
GI



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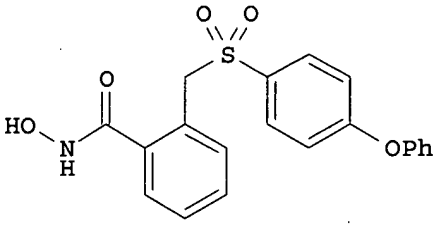
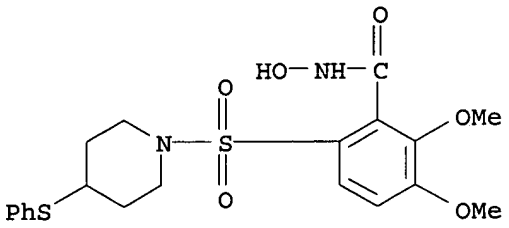


II

AB Title compds. I [W = 5-, 6-membered aromatic or heteroarom. ring; R1 = a substituent containing a 5- or 6-membered cyclohydrocarbyl, heterocyclo, aryl or heteroaryl radical that is bonded directly to the depicted SO2-group said R1 with certain steric requirements; R5-6 = H, alkyl, cycloalkyl, acylalkyl, halo, nitro, hydroxy, cyano, alkoxy, haloalkyl, haloalkyloxy, hydroxyalkyl, etc. or R5-6 together with the atoms to which they are bonded form a further aliphatic or aromatic carbocyclic or heterocyclic ring having 5-to 7-members; R20 = OR21, where R21 = H, alkyl, aryl, arylalkyl, NR13OR22, where R22 = a selectively removable protecting group and R13 = H, alkyl, benzyl group, etc.] were prepared Over 50 synthetic examples were disclosed. For example, phthalide was reacted with 4-(phenoxy)benzenethiol (DMF, K2CO3, 100°C, 2 h) and the resulting product converted to the hydroxamic acid (CH2Cl2, ClCOCOCl, DMF (cat), TMSONH2, 0°C, 1.5 h) followed by oxidation (CH2Cl2, mCPBA, room temperature, 3 h) to II. II had IC50 = 10 nM for MMP-2, 45 nM for MMP-13 and >10,000 nM for MMP-1. I are inhibitors of MMP and angiogenesis.

IT 308385-58-2P, N-Hydroxy-2,3-dimethoxy-6-[[4-(phenylthio)piperidin-1-yl]sulfonyl]benzamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of sulfonyl aryl or heteroaryl hydroxamic acid compds. as

inhibitors of matrix metalloproteinase)
RN 308385-58-2 CA
CN Benzamide, N-hydroxy-2,3-dimethoxy-6-[[4-(phenylthio)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 7 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:318419 CA
TITLE: Synthesis of substituted bipiperidines and their use as H1 antagonists
INVENTOR(S): Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh; Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077101	A1	20011018	WO 2001-SE751	20010405 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2403012	A1	20011018	CA 2001-2403012	20010405 <--
EP 1274701	A1	20030115	EP 2001-920053	20010405
EP 1274701	B1	20050629		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009922	A	20030218	BR 2001-9922	20010405
CN 1433411	A	20030730	CN 2001-810683	20010405
JP 2003530393	T	20031014	JP 2001-575574	20010405
NZ 521543	A	20041029	NZ 2001-521543	20010405
EP 1493743	A1	20050105	EP 2004-20599	20010405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR				
AT 298748	T	20050715	AT 2001-920053	20010405
CN 1660839	A	20050831	CN 2004-10102245	20010405
US 2002077337	A1	20020620	US 2001-827488	20010406
US 6525070	B2	20030225		
ZA 2002007700	A	20040102	ZA 2002-7700	20020925
NO 2002004774	A	20021129	NO 2002-4774	20021003

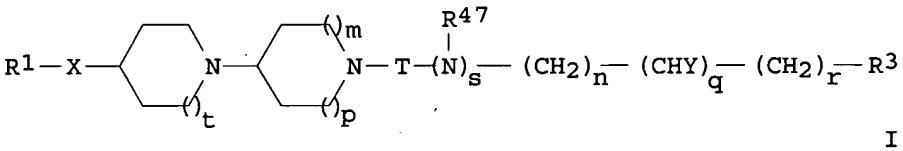
US 2004006080	A1	20040108	US 2003-341027	20030113
US 6903115	B2	20050607		
US 2004014783	A1	20040122	US 2003-436582	20030513
HK 1051193	A1	20051028	HK 2003-103424	20030514
US 2005171092	A1	20050804	US 2005-76773	20050310
US 7179922	B2	20070220		

PRIORITY APPLN. INFO.:

GB 2000-8626	A	20000408
GB 2000-19111	A	20000803
SE 2000-3664	A	20001011
CN 2001-810683	A3	20010405
EP 2001-920053	A3	20010405
WO 2001-SE751	W	20010405
US 2001-827488	A3	20010406
US 2003-341027	A1	20030113
US 2003-436582	A3	20030513

OTHER SOURCE(S): MARPAT 135:318419

GI



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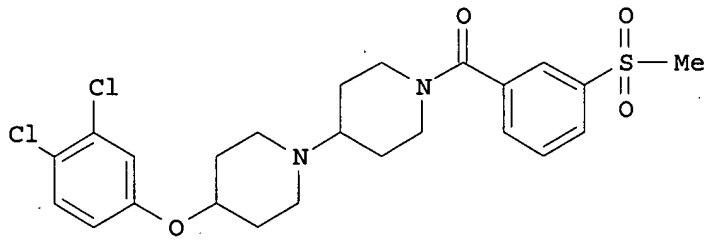
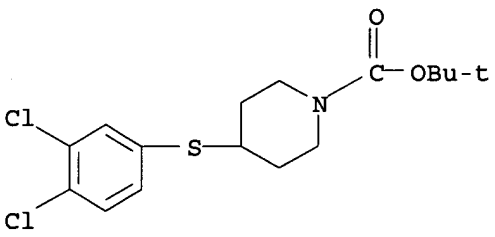


II

AB Title compds. I [q, s, t = 0 - 1; n, r = 0 - 5; m, p = 0 - 2; X = CH, C(O), O, S, S(O), S(O), N-; provided that when m and p are both 1 then X is not CH; Y = NHR2, OH; T = C(O), C(S), S(O), CH2; R1 = H, alkyl, aryl, heterocyclyl; R2, R47 = H, alkyl, aryl-alkyl, CO-alkyl; R3 = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thioheterocyclyl] were prepared Examples include: data for over 600 compds., 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca2+ flux, human eosinophil chemotaxis and H1 antagonism. E.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloroethane, NaBH(OAc)3, HOAc, 18 h, room temperature) to give an intermediate [1,4']bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temperature) and the resulting bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, (i-Pr)2NEt, 18 h, room temperature) to give example compound II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H1 mediated disease state.

IT 367500-89-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of substituted bipiperidines and use as H1 antagonists)
RN 367500-89-8 CA
CN 1-Piperidinecarboxylic acid, 4-[(3,4-dichlorophenyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



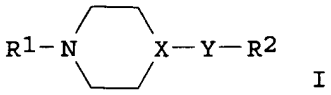
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:107343 CA
TITLE: Preparation of 1-arylalkylpiperidines and piperazines as 5-HT2A antagonists
INVENTOR(S): Ackermann, Karl-August; Boettcher, Henning; Pruecher, Helmut; Van Amsterdam, Christoph; Seyfried, Christoph; Greiner, Hartmut; Bartoszyk, Gerd; Harting, Juergen
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10000739	A1	20010712	DE 2000-10000739	20000111 <--
CA 2396007	A1	20010719	CA 2001-2396007	20010105 <--
WO 2001051469	A1	20010719	WO 2001-EP80	20010105 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001007578	A	20021001	BR 2001-7578	20010105
EP 1246803	A1	20021009	EP 2001-905650	20010105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200300052	A2	20030528	HU 2003-52	20010105
JP 2004500373	T	20040108	JP 2001-551851	20010105
NO 2002003293	A	20020708	NO 2002-3293	20020708
IN 2002KN01015	A	20050311	IN 2002-KN1015	20020807
ZA 2002006361	A	20031110	ZA 2002-6361	20020808
US 2003130287	A1	20030710	US 2002-169399	20021105

PRIORITY APPLN. INFO.: DE 2000-10000739 A 20000111
WO 2001-EP80 W 20010105

OTHER SOURCE(S): MARPAT 135:107343
GI



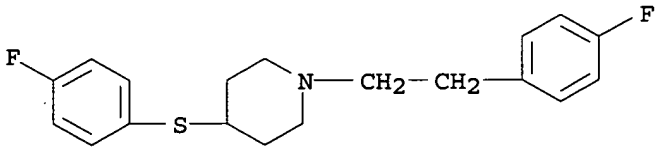
I

AB Title compds. [I; R1, R2 = (substituted) phenylalkyl, naphthylalkyl, heterocyclylalkyl; X = CH, N; Y = SO2 if X = N; Y = S, SO, SO2 if B = CH] and salts thereof were prepared as 5-HT2A antagonists (no data). Thus, 1-[2-(4-fluorophenyl)ethyl]piperazine (preparation given) and 8-chlorosulfonylquinoline in CH2Cl2 were stirred with 4-DMAP for 24 h at room temperature to give 4-(8-quinolinesulfonyl)-1-[2-(4-fluorophenyl)ethyl]piperazine.

IT 349664-17-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylalkylpiperidines and piperazines as 5-HT2A antagonists)

RN 349664-17-1 CA

CN Piperidine, 1-[2-(4-fluorophenyl)ethyl]-4-[(4-fluorophenyl)thio]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L11 ANSWER 9 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 135:61244 CA
TITLE: Preparation of hydroxamic acid derivatives as matrix metalloproteinase (MMP) inhibitors
INVENTOR(S): Owen, David Alan; Baxter, Andrew Douglas; Watson, Robert John; Hannah, Duncan Robert; Montana, John Gary
PATENT ASSIGNEE(S): Darwin Discovery Ltd., UK
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044189	A1	20010621	WO 2000-GB4865	20001218 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1237868	A1	20020911	EP 2000-985613		20001218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 6455531	B1	20020924	US 2001-806259		20010328
PRIORITY APPLN. INFO.:			GB 1999-29979	A	19991217
			WO 2000-GB4865	W	20001218

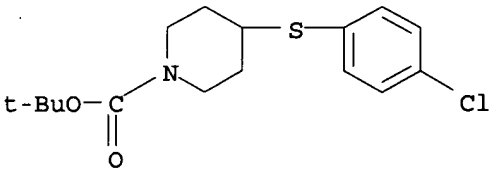
OTHER SOURCE(S): MARPAT 135:61244

AB The title compds. B2NCOCH2CR1R2CONHOH [I; R1 = alkyl, alkenyl, aryl, etc.; R2 = H, alkyl; CR1R2 = (un)substituted cycloalkyl, heterocyclyl; NB2 = (un)substituted heterocycloalkyl] having therapeutic utility, were prepared E.g., a multi-step synthesis of (2S)-I [R1 = Me2CHCH2; R2 = H; NB2 = 4-(4-chlorobenzoyl)piperidin-1-yl] was given. The compds. I are effective in treating inflammation at 0.01-50 mg/kg/day.

IT 333954-87-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn of hydroxamic acid derivs. as matrix metalloproteinase (MMP) inhibitors)

RN 333954-87-3 CA

CN 1-Piperidinecarboxylic acid, 4-[(4-chlorophenyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

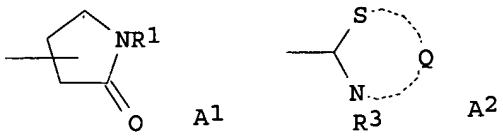
L11 ANSWER 10 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	134:334220 CA
TITLE:	Silver halide photographic material containing bleaching accelerator-releasing coupler and manufacture of the coupler
INVENTOR(S):	Kataoka, Emiko; Ishige, Osamu; Ishii, Fumio; Oshiyama, Tomohiro
PATENT ASSIGNEE(S):	Konica Co., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 43 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001117204	A	20010427	JP 1999-297162	19991019 <--

PRIORITY APPLN. INFO.: JP 1999-297162 19991019
OTHER SOURCE(S): MARPAT 134:334220
GI

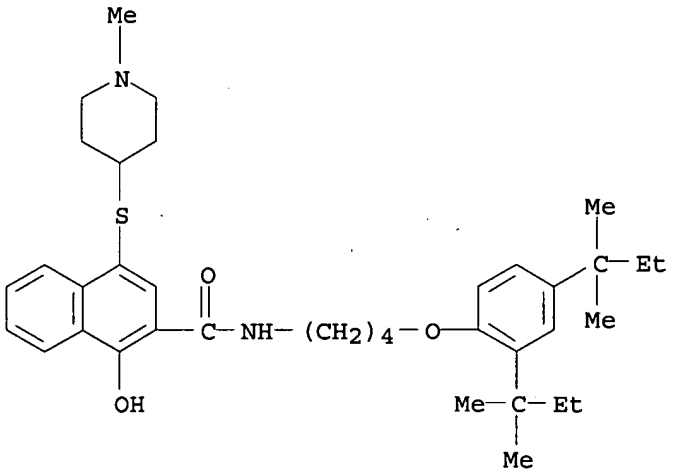


AB The photog. material contains a coupler Coup-(Time)nSZ (Z = X, X1, A1(I), A2(II); X = saturated heterocycle having no OH, CO2M, SO2M, NRaRb groups; M = H, alkali metal, ammonium, Ra, Rb = H, C1-4 aliphatic group; X1 = nonsubstituted saturated heterocycle; n = 0-2; R1 = H, alkyl; R2 = H, substituent without OH, CO2M, SO3M, and NR1Rb; R3 = C1-8 alkyl; Q = C2-4 aliphatic group to form ring with S and N; Coup = coupler residue; Time = timing group). The compds. Coup-SR4 and Coup-SA1 are manufactured by reaction of Coup-SH with silylating agents, followed by reaction with unsatd. heterocyclic compds. The photog. material shows excellent desilvering characteristics at rapid development process and good storage stability.

IT 336110-02-2
RL: DEV (Device component use); USES (Uses)
(manufacture of bleaching accelerator-releasing coupler for silver halide photog. material)

RN 336110-02-2 CA

CN 2-Naphthalenecarboxamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-1-hydroxy-4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



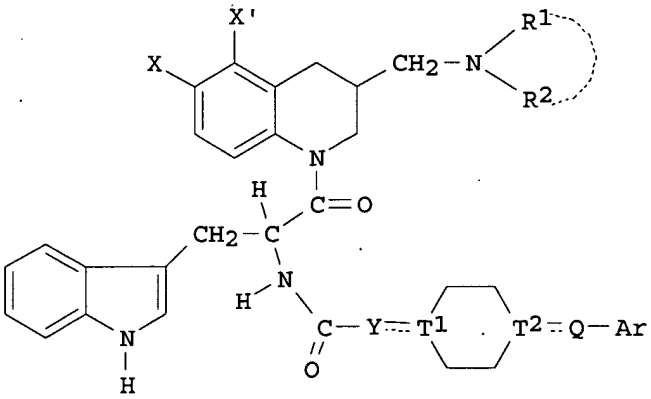
L11 ANSWER 11 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:295840 CA
TITLE: Preparation of indolylpropanoyltetrahydroquinoline derivatives which inhibit binding of somatostatin receptors
INVENTOR(S): Kato, Kaneyoshi; Terauchi, Jun; Suzuki, Nobuhiro; Takekawa, Shiro
PATENT ASSIGNEE(S): Tadeka Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 220 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025228	A1	20010412	WO 2000-JP6937	20001005 <--
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2386517	A1	20010412	CA 2000-2386517	20001005 <--
AU 2000075568	A	20010510	AU 2000-75568	20001005 <--
JP 2002088079	A	20020327	JP 2000-311723	20001005
EP 1227090	A1	20020731	EP 2000-964676	20001005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.:
JP 1999-286939 A 19991007
JP 2000-215837 A 20000711
WO 2000-JP6937 W 20001005

OTHER SOURCE(S): MARPAT 134:295840
GI



I

AB The title compds. I [X and X' are the same or different and each represents hydrogen, fluorine, etc., provided that at least one of X and X' represents fluorine, chlorine, etc.; R1 and R2 represents each hydrogen or optionally substituted C1-6 alkyl, or R1 and R2 form together with the nitrogen atom adjacent thereto an optionally substituted nitrogen-containing heterocycle; Y and Q are the same or different and each represents a bond or a spacer having 1 to 6 atoms in the main chain; the dotted line represents a single or double bond; T1 and T2 represent each C(R9) (wherein R9 represents hydrogen, hydroxy, etc.), N, etc.; and Ar represents an optionally substituted aromatic group, hydrogen, etc.; a provision is given] are prepared In an in vitro test for inhibition of

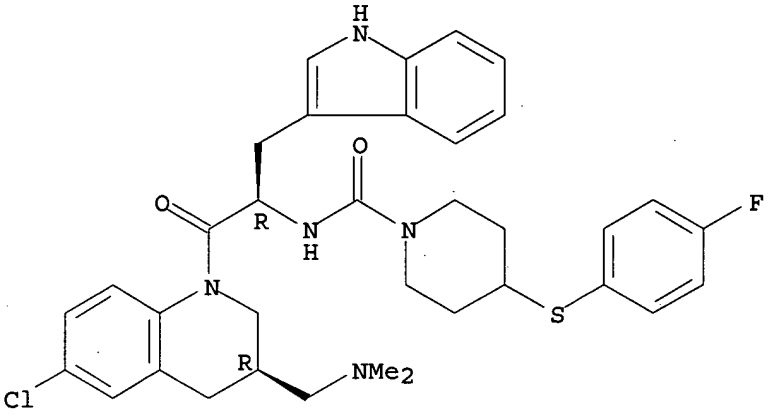
binding to the somatostatin receptor type 2, several compds. of this invention showed IC50 of 0.6 to 2 nM. Formulations are given.

IT 333954-13-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylpropanoyltetrahydroquinoline derivs. which inhibit binding of somatostatin receptors)

RN 333954-13-5 CA

CN 1-Piperidinecarboxamide, N-[(1R)-2-[(3R)-6-chloro-3-[(dimethylamino)methyl]-3,4-dihydro-1(2H)-quinolinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-4-[(4-fluorophenyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 134:295739 CA

TITLE: Preparation of N-aryl-N-(heterocyclylalkyl)piperidinecarboxamides as CCR5 antagonists

INVENTOR(S): Imamura, Shinichi; Hashiguchi, Shohei; Hattori, Taeko; Nishimura, Osamu; Kanzaki, Naoyuki; Baba, Masanori; Sugihara, Yoshihiro

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 392 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025200	A1	20010412	WO 2000-JP6755	20000929 <--

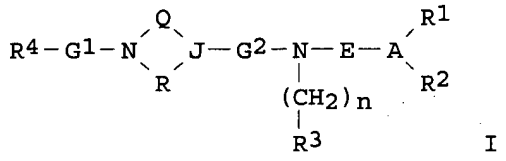
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2385938	A1	20010412	CA 2000-2385938	20000929 <--
AU 200074487	A	20010510	AU 2000-74487	20000929 <--
JP 2001302633	A	20011031	JP 2000-302841	20000929 <--
JP 3814136	B2	20060823		
BR 2000014428	A	20020611	BR 2000-14428	20000929
EP 1220842	A1	20020710	EP 2000-962967	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003048880	A	20030221	JP 2002-180545	20000929
HU 200300138	A2	20030528	HU 2003-138	20000929
HU 200300138	A3	20030630		
NO 2002001450	A	20020603	NO 2002-1450	20020322
US 6562978	B1	20030513	US 2002-89374	20020329
ZA 2002002593	A	20030403	ZA 2002-2593	20020403
US 2003114443	A1	20030619	US 2002-273111	20021018
PRIORITY APPLN. INFO.:			JP 1999-282088	A 19991001
			JP 2000-46749	A 20000218
			JP 2000-302841	A3 20000929
			WO 2000-JP6755	W 20000929
			US 2002-89374	A3 20020329

OTHER SOURCE(S): MARPAT 134:295739

GI



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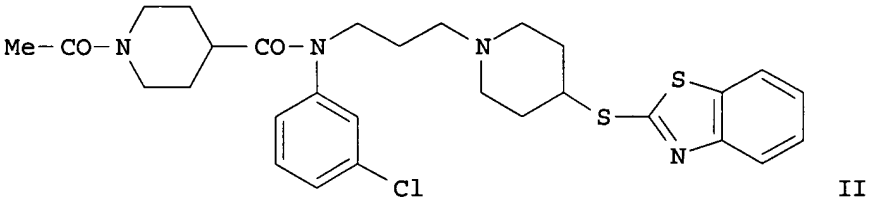


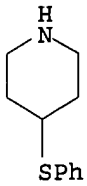
II

AB Title compds. (I) [wherein R1 = H, (un)substituted hydrocarbon or nonarom. heterocycle; R2 = (un)substituted hydrocarbon or nonarom. heterocycle; or R1 and R2 together with A form an (un)substituted heterocycle; A = N or N+(R5)•Y-; R5 = hydrocarbon; Y- = counteranion; R3 = (un)substituted (hetero)cycle; n = 0 or 1; R4 = H or (un)substituted hydrocarbon, heterocycle, alkoxy, aryloxy, or amino group; E = (un)substituted divalent aliphatic hydrocarbon; G1 = a bond, CO, or SO2; G2 = CO, SO2, NHCO, CONH, or OCO; J = CH or N; Q and R = independently a bond or (un)substituted divalent aliphatic hydrocarbon; provided that J = CH when G2 = OCO, that 1 of Q and R is not a bond when the other is a bond, and that each of Q and R is not substituted by oxo group(s) when G1 is a bond; or a salt thereof] were prepared as potent chemokine receptor CCR5 antagonists. I are useful for the treatment or prevention of the HIV disease in humans (e.g. AIDS). For example, II•HCl was synthesized in 34% yield in a 2-step process involving addition of TFA to a solution of 1-tert-butoxycarbonyl-4-(2-benzothiazolylthio)piperidine in CH2Cl2, followed by addition of AcCN, 1-acetyl-N-(3-chlorophenyl)-N-(3-chloropropyl)-4-piperidinecarboxamide, K2CO3, and KI to the residue and workup. II•HCl showed 96% inhibition of HIV-1 infection in transformant MAGI-CCR5 cells. In addition, 42 example

compds. were tested and gave inhibition rates of 82% to 100% at 1.0 μM in a CCR5 antagonistic activity assay.

IT 101798-66-7P, 4-(Phenylthio)piperidine hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-aryl-N-(heterocyclylalkyl)piperidinecarboxamide CCR5 antagonists by amidation of N-(arylheterocyclyl)alkylamines or addition of heterocycles to N-aryl-N-(haloalkyl)piperidinecarboxamides)

RN 101798-66-7 CA
CN Piperidine, 4-(phenylthio)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

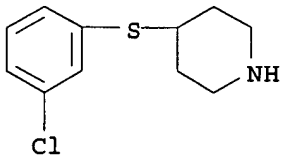
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:157196 CA
TITLE: Synthesis and analgesic activity of some quinazoline analogs of anpirtoline
AUTHOR(S): Radl, Stanislav; Hezky, Petr; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2000), 333(11), 381-386
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:157196

AB New condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, quinazoline, 7-chloroquinoline, and 7-chloroquinazoline nuclei, have been synthesized. Their receptor binding profiles (5-HT1A, 5-HT1B) and analgesic activity (hot plate, acetic acid induced writhing) have been studied. The analgesic activity of some of the compds. are comparable to that of clin. used drugs flupirtine and tramadol under the same conditions.

IT 101798-69-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(synthesis and analgesic activity of quinazoline analogs of anpirtoline)

RN 101798-69-0 CA
CN Piperidine, 4-[(3-chlorophenyl)thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:17399 CA
TITLE: Aromatic sulfone hydroxamic acid metalloprotease inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffrey N.; Decrescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Stephen A.; Li, Madeleine Hui; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 616 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

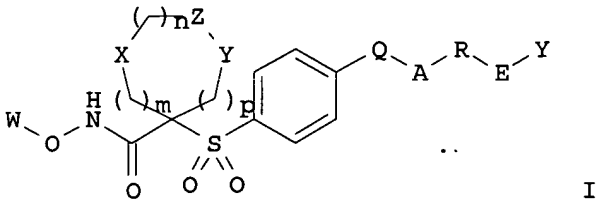
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069821	A1	20001123	WO 2000-US6719	20000515 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6750228	B1	20040615	US 2000-570731	20000512
CA 2372934	A1	20001123	CA 2000-2372934	20000515 <--
EP 1183239	A1	20020306	EP 2000-930088	20000515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010562	A	20030610	BR 2000-10562	20000515
JP 2003520196	T	20030702	JP 2000-618238	20000515
AU 766792	B2	20031023	AU 2000-47970	20000515
NZ 515217	A	20040430	NZ 2000-515217	20000515
ZA 2001009006	A	20021202	ZA 2001-9006	20011031
NO 2001005543	A	20020110	NO 2001-5543	20011113

PRIORITY APPLN. INFO.:		
US 1999-311837	A	19990514
US 2000-570731	A	20000512
US 1997-66007P	P	19971114
US 1998-95347P	P	19980804
US 1998-101080P	P	19980918
US 1999-256948	B2	19990224

WO 2000-US6719 W 20000515

OTHER SOURCE(S): MARPAT 134:17399

GI



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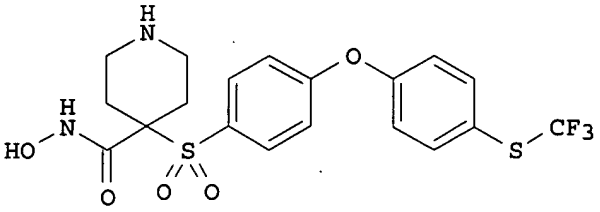


II

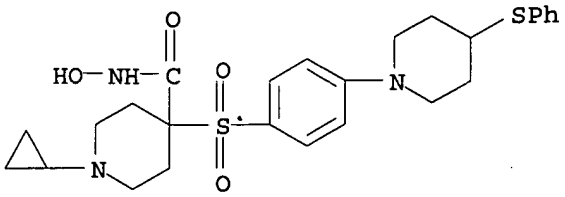
AB A treatment process is disclosed that comprises administering an effective amount of an aromatic sulfone hydroxamic acid I [W = H, cation, certain acyl or thioacyl groups; m, n, p = 0-2; (m+n+p) = 1 to 4; one of X, Y, and Z = CO, NH or derivs., O, S, SO, SO2, etc., and the other two = (un)substituted CH2; or XZ or ZY = (un)substituted NHCO, NHSO, NHSO2, SS, OCO, etc., and the other one = (un)substituted CH2; or n = 0 and XZY = atoms to complete various N/O/S heterocycles; Q = 5- to 7-membered heterocycle with 1-2 N atoms, one bound to Ph, and with -AREY bound in para-type positions; A = bond, O, S, (un)substituted NH, COO, OCO, CH:CH, C.tplbond.C, N:N, NHNH, NHCOO, (un)substituted CONH, NHCO, etc.; R = alkylene, arylene, heteroarylene, etc., with provisos; E = bond, CONH, NHCO, CO, SO2, NHSO2, SO2NH, S, etc.; Y = absent, H, alkyl, alkoxy, aryl, aryloxy, heteroaryl, etc.] to a host having a condition associated with pathol. matrix metalloprotease (MMP) activity. I exhibit excellent inhibitory activity of one or more MMP enzymes, such as MMP-2, MMP-9 and MMP-13, while exhibiting substantially less inhibition of (at least) MMP-1. Also disclosed are metalloprotease inhibitor compds. having such selective activities, processes for manufacture of such compds., and pharmaceutical compns. using such inhibitors. The compds. are potentially useful against a wide variety of conditions, notably as antiinflammatory, antiangiogenesis, and antitumor agents. Over 900 example compds. are listed, most with supporting phys. data, and many with synthetic details. For instance, Et N-(tert-butoxycarbonyl)-4-(4-fluorophenylsulfonyl)-4-piperidinecarboxylate (preparation given) was subjected to a sequence of: (1) etherification with 4-(CF3S)C6H4OH (100%); (2) alkaline hydrolysis of the ester (100%); (3) amidation with THP-ONH2 (45%); and (4) acid deprotection of the THP ether (40%), to give title compound II.HCl. The latter salt selectively inhibited MMP-13 with IC50 0.2 nM, and MMP-2 with IC50 0.1 nM, but with IC50 >10,000 nM against MMP-1.

IT 308825-68-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aromatic sulfone hydroxamic acids as

metalloprotease inhibitors)
RN 308825-68-5 CA
CN 4-Piperidinecarboxamide, 1-cyclopropyl-N-hydroxy-4-[[4-[4-(phenylthio)-1-piperidinyl]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

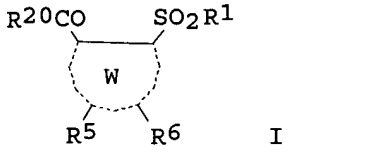
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 134:4752 CA
TITLE: Preparation of hydroxamic acid derivatives as matrix metalloprotease inhibitors
INVENTOR(S): Bedell, Louis J.; McDonald, Joseph J.; Barta, Thomas E.; Becker, Daniel P.; Rao, Shashidhar N.; Freskos, John N.; Mischke, Brent V.; Getman, Daniel P.; Decrescenzo, Gary A.; Villamil, Clara I.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 380 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

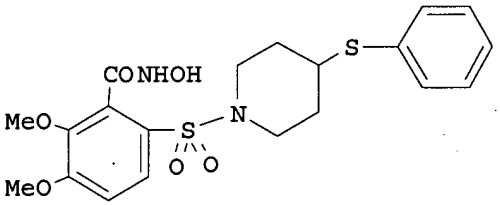
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069819	A1	20001123	WO 2000-US6713	20000512 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2373500	A1	20001123	CA 2000-2373500	20000512 <--
EP 1177173	A1	20020206	EP 2000-931910	20000512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000011291	A	20020514	BR 2000-11291	20000512
JP 2002544257	T	20021224	JP 2000-618236	20000512
NZ 515197	A	20040326	NZ 2000-515197	20000512
AU 781339	B2	20050519	AU 2000-49718	20000512
ZA 2001009007	A	20030131	ZA 2001-9007	20011031
PRIORITY APPLN. INFO.:			US 1999-310813 A	19990512
			WO 2000-US6713 W	20000512

OTHER SOURCE(S): MARPAT 134:4752

GI



I



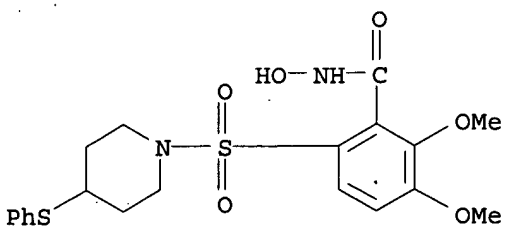
II

AB Title compds. [I; W = 5, 6 membered aromatic, heteroarom. ring; R = 5, 6 membered cyclohydrocarbyl, heterocyclo, aryl, heteroaryl; R5. R6 independently = hydrido, alkyl, cycloalkyl, acylalkyl, halo, nitro, hydroxyl, cyano, alkoxy, haloalkyl, haloalkyloxy, hydroxyalkyl, etc; R20 = alkoxy, aryloxy, alkoxyamino, benzyloxyamino, etc] and pharmaceutically acceptable salts with inter alia inhibits matrix metalloprotease activity are disclosed and a treatment that comprises administering a contemplated sulfonyl aromatic or heteroarom. hydroxamic acid in an MMP enzyme-inhibiting effective amount to a host having a condition associated with pathol. matrix metalloprotease activity are claimed. Thus, the title compound II was prepared and MMP-2, MMP-3, MMP-8, MMP-13, and MT1-MMP inhibition activities were assayed.

IT 308385-58-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acid derivs. as matrix metalloprotease inhibitors)

RN 308385-58-2 CA

CN Benzamide, N-hydroxy-2,3-dimethoxy-6-[[4-(phenylthio)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:309908 CA

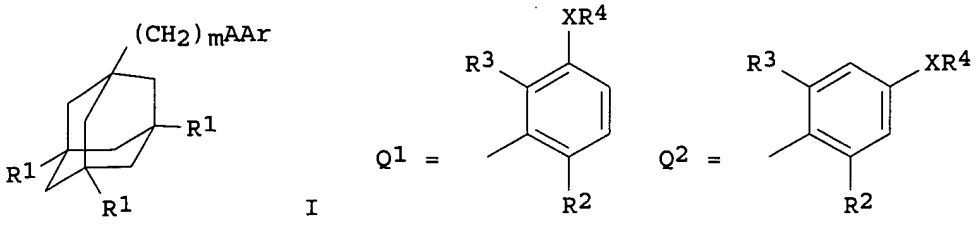
TITLE: Preparation of piperazinyladamantylmethylbenzamides and related compounds as P2X7 receptor antagonists.
INVENTOR(S): Alcaraz, Lilian; Furber, Mark; Mortimore, Michael
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061569	A1	20001019	WO 2000-SE663	20000406 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2368829	A1	20001019	CA 2000-2368829	20000406 <--
BR 2000009651	A	20020108	BR 2000-9651	20000406
EP 1171432	A1	20020116	EP 2000-919245	20000406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102911	T2	20020121	TR 2001-2911	20000406
HU 200202214	A2	20021028	HU 2002-2214	20000406
JP 2002541249	T	20021203	JP 2000-610843	20000406
EE 200100525	A	20021216	EE 2001-525	20000406
EE 4565	B1	20051215		
NZ 514477	A	20030429	NZ 2000-514477	20000406
AU 774526	B2	20040701	AU 2000-39947	20000406
RU 2254333	C2	20050620	RU 2001-130140	20000406
US 6492355	B1	20021210	US 2000-555489	20000601
IN 2001MN01201	A	20050318	IN 2001-MN1201	20011001
NO 2001004894	A	20011210	NO 2001-4894	20011008 <--
NO 321405	B1	20060508		
ZA 2001008265	A	20030108	ZA 2001-8265	20011008

PRIORITY APPLN. INFO.:

SE 1999-1270	A	19990409
GB 2000-2330	A	20000201
WO 2000-SE663	W	20000406

OTHER SOURCE(S): MARPAT 133:309908
GI



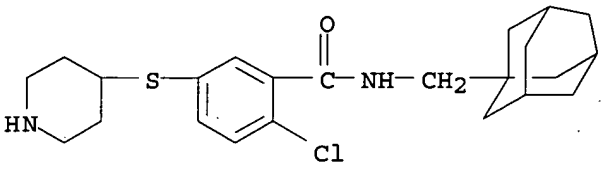
AB Title compds. I [m = 1-3; R1 = H, halo; A = CONH; Ar = Q1, Q2; X = O, CO, (CH2)1-6, S, SO, SO2, etc.; 1 of R2, R3 = halo, cyano, NO2, amino, OH,

(substituted) alkyl, cycloalkyl, alkoxy, etc., the other = H, halo; R4 = 3-9 membered (unsatd.) (substituted) heterocyclyl containing 1-2 N atoms, substituted 3-8 membered carbocyclyl], were prepared Thus, 3-chloro-2-nitro-N-[tricyclo[3.3.1.13,7]dec-1-ylmethyl]benzamide (preparation given) and tert-Bu piperazine-1-carboxylate were heated at 120° in Me2SO for 24 h to give the coupling product, which was stirred with HCl in THF/dioxane to give 2-nitro-3-piperazin-1-yl-N-[tricyclo[3.3.1.13,7]dec-1-ylmethyl]benzamide. I antagonized P2X7 receptors with pIC50 >4.50.

IT 301672-29-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyladamantylmethylbenzamides and related compds. as P2X7 receptor antagonists)

RN 301672-29-7 CA

CN Benzamide, 2-chloro-5-(4-piperidinylthio)-N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:276351 CA
TITLE: Imide derivatives as proteoglycan formation accelerators
INVENTOR(S): Hashimoto, Takeji
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000281576	A	20001010	JP 1999-87202	19990329 <--

PRIORITY APPLN. INFO.: JP 1999-87202 19990329
OTHER SOURCE(S): MARPAT 133:276351

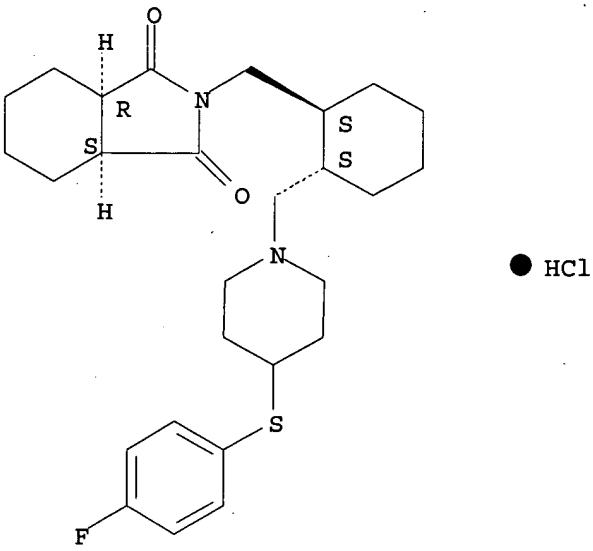
AB Imide derivs. (Markush's structures given) and their salts are claimed as proteoglycan formation accelerators for treatment of cartilage disorders and arthritis deformans.

IT 139505-64-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(imide derivs. as proteoglycan formation accelerators)

RN 139505-64-9 CA

CN 1H-Isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-[(4-fluorophenyl)thio]-1-piperidinyl]methyl]cyclohexyl]methyl]hexahydro-, monohydrochloride, (3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

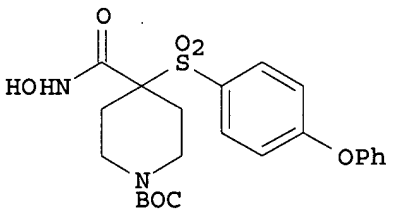
L11 ANSWER 18 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:193079 CA
TITLE: Preparation of arylsulfonylheterocyclylhydroxamic acids and related compounds as matrix metalloprotease inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; De Crescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Hanson, Gunnar J.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Steve A.; Li, Hui; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.; Rao, Shashidahar N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 851 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050396	A1	20000831	WO 2000-US2518	20000222 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

	CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001039287		A1	20011108	US 1999-256948	19990224 <--
CA 2371876		A1	20000831	CA 2000-2371876	20000222 <--
AU 200034785		A	20000914	AU 2000-34785	20000222 <--
HU 200200239		A2	20020629	HU 2002-239	20000222
EP 1230219		A1	20020814	EP 2000-913317	20000222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000008491		A	20020917	BR 2000-8491	20000222
JP 2002537378		T	20021105	JP 2000-600979	20000222
NZ 513648		A	20040227	NZ 2000-513648	20000222
NO 2001003963		A	20011023	NO 2001-3963	20010815 <--
ZA 2001006780		A	20020816	ZA 2001-6780	20010816
IN 2001CN01174		A	20050304	IN 2001-CN1174	20010821
US 2002177588		A1	20021128	US 2001-954451	20010917
US 6750233		B2	20040615		
PRIORITY APPLN. INFO.:				US 1999-256948 A	19990224
				US 1997-66007P P	19971114
				US 1998-95347P P	19980804
				US 1998-95501P P	19980806
				US 1998-101080P P	19980918
				WO 2000-US2518 W	20000222

OTHER SOURCE(S): MARPAT 133:193079
GI



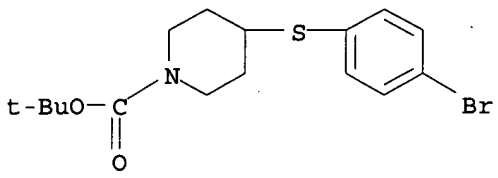
I

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOCR1R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation given) and LDA in THF at -60° to room temperature to give 40% sulfide, which was oxidized with m-ClC6H4CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH2OH to give title compound I. I inhibited MMP-2 with IC50 = 0.2 nM. Pharmacol., pharmacokinetic, and toxicol. data are given for selected compds.

IT 188527-03-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 188527-03-9 CA

CN 1-Piperidinecarboxylic acid, 4-[(4-bromophenyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:164006 CA
TITLE: Preparation of sulfamato hydroxamic acid metalloprotease inhibitors
INVENTOR(S): De Crescenzo, Gary A.; Rico, Joseph G.; Boehm, Terri L.; Carroll, Jeffery N.; Kassab, Darren J.; Mischke, Deborah A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 628 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

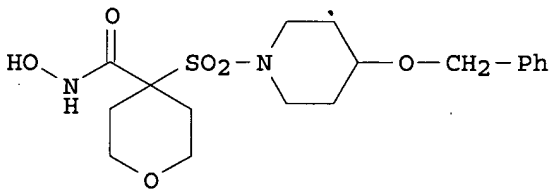
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046221	A1	20000810	WO 2000-US3061	20000207 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2362230	A1	20000810	CA 2000-2362230	20000207 <--
EP 1157021	A1	20011128	EP 2000-905996	20000207 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008440	A	20020326	BR 2000-8440	20000207
HU 200200119	A2	20020629	HU 2002-119	20000207
US 6448250	B1	20020910	US 2000-499276	20000207
JP 2002536373	T	20021029	JP 2000-597291	20000207
EE 200100410	A	20021216	EE 2001-410	20000207
AU 775701	B2	20040812	AU 2000-27574	20000207
US 6372758	B1	20020416	US 2001-884548	20010619
NO 2001003850	A	20010919	NO 2001-3850	20010807 <--
BG 105788	A	20020228	BG 2001-105788	20010807
ZA 2001006492	A	20030507	ZA 2001-6492	20010807
IN 2001CN01119	A	20050304	IN 2001-CN1119	20010808
US 6492367	B1	20021210	US 2002-84713	20020226
US 6800646	B1	20041005	US 2002-262622	20020930
HK 1049660	A1	20060512	HK 2003-100924	20030207
US 2005049280	A1	20050303	US 2004-887450	20040708
US 7067670	B2	20060627		

PRIORITY APPLN. INFO.:

US 1999-119181P	P	19990208
US 2000-499276	A1	20000207
WO 2000-US3061	W	20000207
US 2002-84713	A3	20020226
US 2002-262622	A3	20020930

OTHER SOURCE(S): MARPAT 133:164006

GI



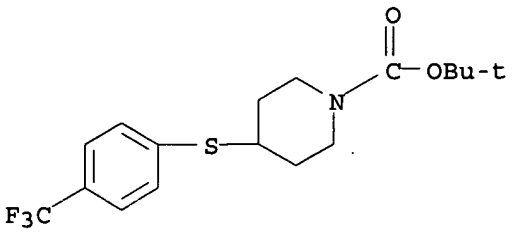
II

AB The title compds. R20C(O)CR1R2SO2NR3aR3b (I) [wherein R1 and R2 taken together with the C to which they are attached = (un)substituted heterocyclyl or cycloalkyl; or R1 and R2 = independently H, (un)substituted (cyclo)alkyl, alkyloxylalkyl, alkylthioalkyl, alkenyl, alkynyl, aryl(alkyl), heterocyclyl(alkyl), etc.; R3a and R3b = independently H or (un)substituted alkyl, alkenyl, alkynyl, (hetero)aryl, heterocyclyl, cycloalkyl, or alkoxyalkyl; R20 = OH, alkoxyl, aryloxy, NH-OR22, or NH-OR14; R22 = selectively removable protecting group, such as 2-THP, benzyl, trisubstituted silyl, o-NO2C6H4, etc.; R14 = H, a cation, or acyl] were prepared as selective matrix metalloproteinase (MMP) inhibitors for the treatment of various conditions, such as pathol. breakdown of connective tissue, osteoarthritis, inflammation, tumor growth, and angiogenesis. Examples include the syntheses of over 50 piperidinylsulfonyl and piperazinylsulfonyl hydroxamic acids and their intermediates. In vitro MMP assay data for I show selective inhibition of MMP-2 and MMP-13 compared to MMP-1. Some inhibition assay data for MMP-3, MMP-7, MMP-8, MMP-9, and MMP-14 are also given. Thus, II was prepared in a multi-step sequence involving addition of MeOC(O)Cl to 1-(methylsulfonyl)-4-(benzyloxy)piperidine (4-step preparation given) to form the methylene sulfonamide, cycloaddn. of dibromodiethyl ether to give the THF-substituted sulfonamide, deesterification, addition of O-(tetrahydro-2H-pyran-2-yl)hydroxylamine to form the THP hydroxamate, and deprotection to yield the desired hydroxamic acid. II inhibited MMP-1, MMP-2, and MMP-13 with IC50 values of < 10,000 nM, 7.0 nM and 20.0 nM, resp.

IT 287952-15-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of sulfamato hydroxamic acid metalloprotease inhibitors by cycloaddn. of dihalodialkyl ethers and amines to methylene sulfonamides followed by addition of hydroxylamines)

RN 287952-15-2 CA

CN 1-Piperidinecarboxylic acid, 4-[[4-(trifluoromethyl)phenyl]thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



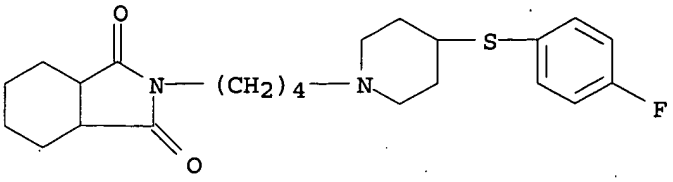
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 20 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:144711 CA
TITLE: The effects of a novel cyclohexane dicarboximide derivative, ST-6, on hypoxia/reoxygenation injury in perfused rat heart
AUTHOR(S): Takeo, Satoshi; Tanonaka, Kouichi; Kajiwara, Hiroshi; Miyake, Keiko; Antoku, Fujio; Mori, Hideki
CORPORATE SOURCE: Department of Pharmacology, Tokyo University of Pharmacy and Life Science, Hachioji, 192-0392, Japan
SOURCE: Biological & Pharmaceutical Bulletin (2000), 23(6), 712-716
CODEN: BPBLEO; ISSN: 0918-6158
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The present study was undertaken to test if some cyclohexane dicarboximide derivs. may have a cardio-protective effect against hypoxia/reoxygenation injury. Isolated rat hearts were subjected to 20-min of hypoxia followed by 45-min reoxygenation, and their recovery of post-hypoxic cardiac contractile function was examined Treatment with agents was carried out from 3 min after the onset of hypoxia to the end of hypoxia (17 min during hypoxia). Among the 17 compds., 2-[4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]butyl]hexahydro-1H-isoindol-1,3(2H)-dione (ST-6) showed a significant enhancement of post-hypoxic contractile force. This was associated with attenuation of the releases of creatine kinase and purine nucleosides and bases from the perfused heart. Hypoxia-induced increase in myocardial sodium and decrease in potassium ion content was suppressed by ST-6 treatment. The results suggest that ST-6 is capable of protecting the heart against hypoxia/reoxygenation injury possibly through a mechanism by which sodium overload during hypoxia is suppressed.

IT 287117-43-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of cyclohexane dicarboximide derivative ST-6 on hypoxia/reoxygenation injury in perfused rat heart)

RN 287117-43-5 CA
CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[4-[(4-fluorophenyl)thio]-1-piperidinyl]butyl]hexahydro- (9CI) (CA INDEX NAME)



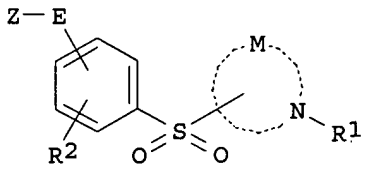
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 133:120235 CA
TITLE: Preparation of phenylsulphonyl derivatives as 5-HT receptor ligands
INVENTOR(S): Blurton, Peter; Burkamp, Frank; Cheng, Susan Koon-Fung; Fletcher, Stephen Robert; MacLeod, Angus Murray; Van Niel, Monique Bodil
PATENT ASSIGNEE(S): Merck Sharp and Dohme Limited, UK
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043362	A1	20000727	WO 2000-GB153	20000111 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359983	A1	20000727	CA 2000-2359983	20000111 <--
EP 1147084	A1	20011024	EP 2000-900723	20000111 <--
EP 1147084	B1	20040519		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 773296	B2	20040520	AU 2000-30647	20000111
AT 267171	T	20040615	AT 2000-900723	20000111
ES 2219296	T3	20041201	ES 2000-900723	20000111
US 6559166	B1	20030506	US 2001-889702	20010927
US 2003203889	A1	20031030	US 2003-404188	20030401
US 6777430	B2	20040817		

PRIORITY APPLN. INFO.:
GB 1999-1147 A 19990119
WO 2000-GB153 W 20000111
US 2001-889702 A3 20010927

OTHER SOURCE(S): MARPAT 133:120235
GI



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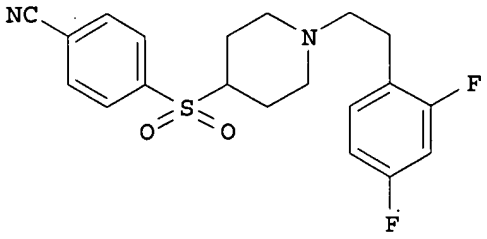


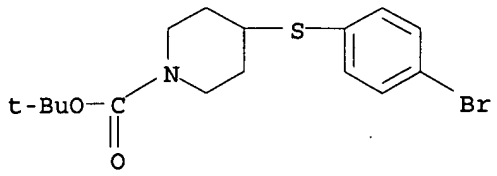
II

AB The title compds. [I; Z = H, halo, CN, etc.; E = a bond, alkylene, optionally incorporating an oxygen atom to form an ether linkage; M = the residue of an azetidine, pyrrolidine or piperidine; R1 = arylalkyl; R2 = H, halo] which are selective antagonists of the human 5-HT2A receptor and are therefore useful as pharmaceutical agents, especially in the treatment and/or prevention of adverse conditions of the central nervous system, including schizophrenia and depression, were prepared E.g., a multi-step synthesis of II which showed Ki of ≤ 100 nM for displacement of [3H]-ketanserin from the human 5-HT2A receptor, when expressed in Chinese hamster ovary (CHO) clonal cell lines, was given.

IT 188527-03-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylsulfonyl derivs. as 5-HT receptor ligands)

RN 188527-03-9 CA

CN 1-Piperidinecarboxylic acid, 4-[(4-bromophenyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 132:264953 CA

TITLE: Substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines useful for inhibiting cholesteryl ester transfer protein activity

INVENTOR(S): Sikorski, James A.; Durley, Richard C.; Mischke, Deborah A.; Reinhard, Emily J.; Fobian, Yvette M.; Tollefson, Michael B.; Wang, Lijuan; Grapperhaus,

Margaret L.; Hickory, Brian S.; Massa, Mark A.; Norton, Monica B.; Vernier, William F.; Parnas, Barry L.; Promo, Michele A.; Hamme, Ashton T.; Spangler, Dale P.; Rueppel, Melvin L.

PATENT ASSIGNEE(S): Monsanto Company, USA
SOURCE: PCT Int. Appl., 440 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018721	A1	20000406	WO 1999-US22119	19990923 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2345118	A1	20000406	CA 1999-2345118	19990923 <--
AU 9960594	A1	20000417	AU 1999-60594	19990923 <--
EP 1115693	A1	20010718	EP 1999-969710	19990923 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525348	T	20020813	JP 2000-572183	19990923
EP 1589000	A2	20051026	EP 2005-11025	19990923
EP 1589000	A3	20060315		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PT 1115695	T	20051031	PT 1999-948429	19990923
ES 2244216	T3	20051201	ES 1999-948429	19990923
US 2003083331	A1	20030501	US 2002-154861	20020523
US 6696435	B2	20040224		
US 2003109528	A1	20030612	US 2002-155002	20020523
US 6699898	B2	20040302		
US 2003114454	A1	20030619	US 2002-155311	20020523
US 6710089	B2	20040323		

PRIORITY APPLN. INFO.:

US 1998-101663P	P	19980925
EP 1999-948429	A3	19990923
US 1999-405524	B3	19990923
WO 1999-US22119	W	19990923
US 2001-991085	A1	20011114
US 2001-991208	A1	20011114
US 2001-991116	A1	20011115

OTHER SOURCE(S): MARPAT 132:264953
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

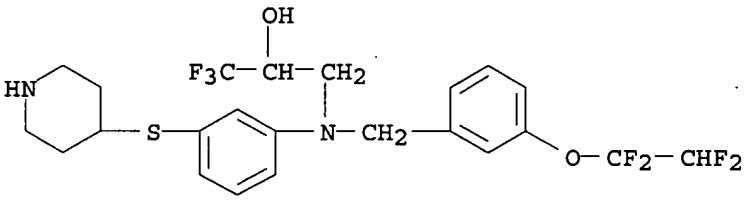
AB The title compds. (I) [wherein R1 = haloakyl, haloalkenyl, haloalkoxyalkyl, or haloalkenyloxyalkyl; R2 = H, OH, (alkyl)amino, dialkylamino, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, (cyclo)alkoxy, (cyclo)alkenyloxy, or (hetero)aryl, alkylsulfinyl, arylsulfonyl, carboxy,

carboxamido, phosphono, etc.; R3, R14, and R15 = independently H, OH, halo, CN, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (hetero)aryl, aryloxy, (alkyl)amino, dialkylamino, (hetero)arylthio, acylamido, alkylsufinyl, arylsufonyl, carboxy, phosphono, etc.; or R2 and R3 taken together may form a 3- to 8-membered cycloalkyl, a 5- to 8-membered cycloalkenyl, or a 4- to 8-membered heterocyclyl ring; R4-R13 = independently (un)substituted aryloxy, alkyl(oxy), acyl(oxy), carboxamido, (cyclo)alkylsulfinyl, aralkylsulfonyl, amino, phosphono, etc.; R16 = H, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (hetero)aryl, acyl, (hetero)aroyl, trialkylsilyl, or a spacer; D1, D2, D3, D4, J1, J2, J3, J4, K1, and K2 = independently C, N, O, S, or a covalent bond; X = H, F, O, S, S(O), NH, N(OH), N(alkyl), or N(alkoxy); Y and Z = independently single bond or (un)substituted (hetero)alkylene; n = 0-5] where prepared for the treatment of atherosclerosis and other coronary artery diseases. I are useful as inhibitors of cholesteryl ester transfer protein (CETP; plasma lipid transfer protein-I). Examples include over 700 syntheses and data from two bioassays on CETP activity. For instance, reaction of 3-bromoaniline with 3-(1,1,2,2-tetrafluoroethoxy)benzaldehyde in the presence of NaB(OAc)3H and AcOH formed the secondary amine (96%). Addition of 1,1,1-trifluoro-2,3-epoxypropane in CH2Cl2 and YB(OTf)3 gave the alc. (99%), which was silylated with tert-butyldimethylsilyl trifluoromethanesulfonate (58%). Heating a solution of the tertiary amine with 4-chloro-3-ethylphenol, Cs2CO3, copper triflate benzene complex, and 1-naphthoic acid in 2:1 toluene:dimethylacetamide for 96 h gave II (23%). The latter inhibited CETP activity with IC50 values of 0.034 μM and 0.88 μM, resp., in the reconstituted buffer and human plasma assays.

IT 263345-16-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines as cholesteryl ester transfer protein inhibitors for the treatment of atherosclerosis and other coronary artery disease)

RN 263345-16-0 CA

CN 2-Propanol, 1,1,1-trifluoro-3-[[3-(4-piperidinylthio)phenyl][[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 23 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 132:141951 CA
TITLE: Pharmaceutical compositions containing ACAT and MMP inhibitors for the treatment of atherosclerotic lesions
INVENTOR(S): Bocan, Thomas Michael Andrew
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

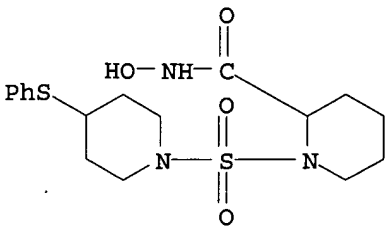
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2000004892	A2	20000203	WO 1999-US13948		19990618	<--
WO 2000004892	A3	20000518				
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM						
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
CA 2335062	A1	20000203	CA 1999-2335062		19990618	<--
AU 9947017	A	20000214	AU 1999-47017		19990618	<--
BR 9912296	A	20010417	BR 1999-12296		19990618	<--
EP 1098662	A2	20010516	EP 1999-930483		19990618	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO						
TR 200100205	T2	20010521	TR 2001-200100205		19990618	<--
EE 200100046	A	20020617	EE 2001-46		19990618	
HU 200102880	A2	20020629	HU 2001-2880		19990618	
JP 2002521328	T	20020716	JP 2000-560885		19990618	
IN 2001MN00019	A	20050401	IN 2001-MN19		20010104	
ZA 2001000294	A	20020110	ZA 2001-294		20010110	
BG 105162	A	20011231	BG 2001-105162		20010117	<--
NO 2001000291	A	20010118	NO 2001-291		20010118	<--
HR 2001000055	A1	20020430	HR 2001-55		20010119	
IN 2001MN00455	A	20050318	IN 2001-MN455		20010424	
PRIORITY APPLN. INFO.:			US 1998-93639P	P	19980721	
			WO 1999-US13948	W	19990618	

AB Acyl-CoA:cholesterol acyltransferase (ACAT) and matrix metalloproteinase (MMP) inhibitors are coadministered for the reduction of both the macrophage and smooth muscle cell component of atherosclerotic lesions, thus impairing the expansion of existing lesions and the development of new lesions and for the prevention of plaque rupture and the promotion of lesion regression in a mammal. The direct antiatherosclerotic potential of the combination of ACAT inhibitor, [[2,4,6-tris-(1-methyl)phenyl]acetyl]-2,6-bis(1-methylethyl)phenyl sulfamic acid, and the HMG-CoA reductase inhibitor, simavastatin, in rabbits was studied. A tablet contained 2-(4'-bromobiphenyl-4-sulfonylamino)-3-Me butyric acid 25 ACAT compound lactose 50, corn starch 20, and magnesium stearate 5 mg.

IT 210915-24-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing ACAT and MMP inhibitors for treatment of atherosclerotic lesions)

RN 210915-24-5 CA
CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-(phenylthio)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

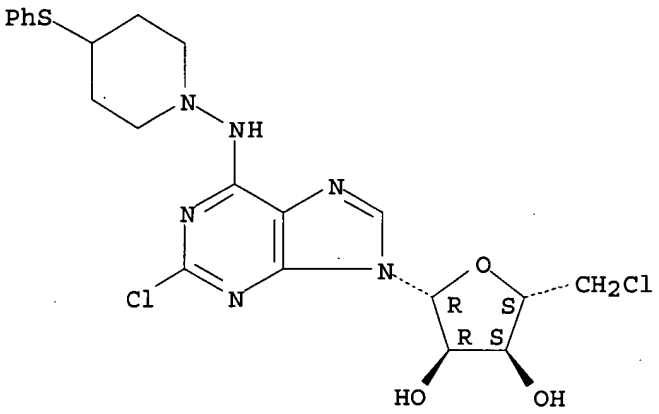


L11 ANSWER 24 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 131:295100 CA
TITLE: N-Substituted Adenosines as Novel Neuroprotective A1 Agonists with Diminished Hypotensive Effects
AUTHOR(S): Knutsen, Lars J. S.; Lau, Jesper; Petersen, Hans; Thomsen, Christian; Weis, Jan U.; Shalmi, Michael; Judge, Martin E.; Hansen, Anker Jon; Sheardown, Malcolm J.
CORPORATE SOURCE: Health Care Discovery and Development, Novo Nordisk A/S, Malov, DK-2760, Den.
SOURCE: Journal of Medicinal Chemistry (1999), 42(18), 3463-3477
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis and pharmacol. profile of a series of neuroprotective adenosine agonists are described. Novel A1 agonists with potent central nervous system effects and diminished influence on the cardiovascular system are reported and compared to selected reference adenosine agonists. The novel compds. featured are derived structurally from two key lead structures: 2-chloro-N-(1-phenoxy-2-propyl)adenosine (NNC 21-0041) and 2-chloro-N-(1-piperidinyl)adenosine (NNC 90-1515). The agonists are characterized in terms of their in vitro profiles, both binding and functional, and in vivo activity in relevant animal models. Neuroprotective properties assessed after postischemic dosing in a Mongolian gerbil severe temporary forebrain ischemia paradigm, using hippocampal CA1 damage endpoints, and the efficacy of these agonists in an A1 functional assay show similarities to some reference adenosine agonists. However, the new compds. described exhibit diminished cardiovascular effects in both anesthetized and awake rats when compared to reference A1 agonists such as (R)-phenylisopropyladenosine (R-PIA), N-cyclopentyladenosine (CPA), NNC 90-1515, N-[(1S,trans)-2-hydroxycyclopentyl]adenosine (GR 79236), N-cyclohexyl-2'-O-methyladenosine (SDZ WAG 994), and N-[(2-methylphenyl)methyl]adenosine (Metrifudil). In mouse permanent middle cerebral artery occlusion focal ischemia, 2-chloro-N-[(R)-[(2-benzothiazolyl)thio]-2-propyl]adenosine (NNC 21-0136) exhibited significant neuroprotection at the remarkably low total i.p. dose of 0.1 mg/kg, a dose at which no cardiovascular effects are observed in conscious rats. The novel agonists described inhibit 6,7-dimethoxy-4-ethyl-β-carboline-3-carboxylate-induced seizures, and in mouse locomotor activity higher doses are required to reach ED50 values than for reference A1 agonists. Thus, it was concluded that two of the novel adenosine derivs. revealed herein, NNC 21-0136 and 5'-deoxy-5'-chloro-N-[4-(phenylthio)-1-piperidinyl]adenosine (NNC 21-0147), representatives of a new series of P1 ligands, reinforce the fact that novel selective adenosine A1 agonists have potential in the treatment of cerebral ischemia in humans.

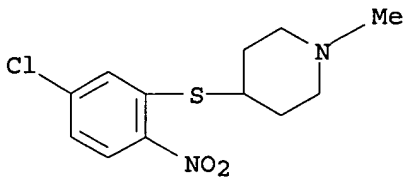
IT 169190-51-6P, NNC 21-0147
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-substituted adenosines as novel neuroprotective A1 agonists with diminished hypotensive effects)
RN 169190-51-6 CA
CN Adenosine, 2,5'-dichloro-5'-deoxy-N-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 124 THERE ARE 124 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 25 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 131:110909 CA
TITLE: Synthesis and analgesic activity of some deaza derivatives of anpirtoline
AUTHOR(S): Radl, Stanislav; Hezky, Petr; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Inst. Pharmacy Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1999), 332(1), 13-18
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB New deaza derivs. of anpirtoline have been synthesized by three different methods. Their receptor binding profiles (5-HT1A, 5-HT1B) and analgesic activity (hot plate, acetic acid induced writhing) have been studied.
IT 223684-91-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and analgesic activity of some deaza derivs. of anpirtoline)
RN 223684-91-1 CA
CN Piperidine, 4-[(5-chloro-2-nitrophenyl)thio]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



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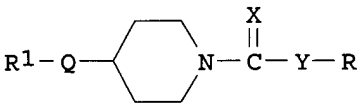
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 26 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 131:44741 CA
TITLE: Urethanes derived from azacycloalkanes, thio and dithio analogues, production and use thereof as 2,3-epoxysqualene lanosterol cyclase inhibitors
INVENTOR(S): Maier, Roland; Muller, Peter; Schilcher, Gebhard; Adelgoss, Gebhard; Hurnaus, Rudolf; Mark, Michael; Eisele, Bernhard
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma KG, Germany
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929669	A1	19990617	WO 1998-EP7965	19981208 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19754796	A1	19990617	DE 1997-19754796	19971210 <--
CA 2309388	A1	19990617	CA 1998-2309388	19981208 <--
AU 9917594	A	19990628	AU 1999-17594	19981208 <--
BR 9813495	A	20001010	BR 1998-13495	19981208 <--
TR 200001635	T2	20001121	TR 2000-200001635	19981208 <--
EP 1060162	A1	20001220	EP 1998-962423	19981208 <--
EP 1060162	B1	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 200100335	A2	20010730	HU 2001-335	19981208 <--
HU 200100335	A3	20011128		
EE 200000342	A	20010815	EE 2000-342	19981208 <--
JP 2001525397	T	20011211	JP 2000-524266	19981208 <--
JP 3418688	B2	20030623		
AT 234816	T	20030415	AT 1998-962423	19981208
ES 2190130	T3	20030716	ES 1998-962423	19981208

PT 1060162	T	20030829	PT 1998-962423		19981208	
ZA 9811262	A	20000609	ZA 1998-11262		19981209	<--
IN 2000MN00026	A	20050617	IN 2000-MN26		20000425	
MX 200004622	A	20001110	MX 2000-4622		20000512	<--
BG 104500	A	20010330	BG 2000-104500		20000602	<--
HR 2000000377	A1	20001231	HR 2000-377		20000607	<--
NO 2000002967	A	20000809	NO 2000-2967		20000609	<--
PRIORITY APPLN. INFO.:			DE 1997-19754796	A	19971210	
			WO 1998-EP7965	W	19981208	

OTHER SOURCE(S): MARPAT 131:44741
GI



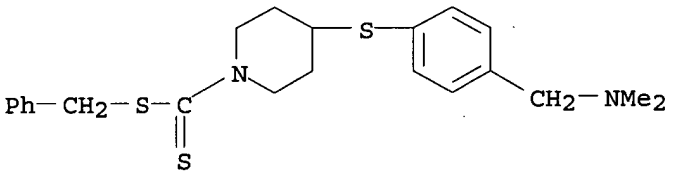
I

AB Approx. 20 piperidine hydrochlorides [I, R = benzyl, Ph, p-tolyl, p-ClC6H4, p-FC6H4; R1 = p-Me2NC6H4, 4-piperidinomethylphenyl; X, Y = O, S; Q = S, CO, CH2, SO] were prepared by standard methods and were tested as anticholesteremics and fungicides. E.g., the MIC for I (R = benzyl, R1 = p-Me2NC6H4, X = Y = Q = S) against Trichophyton mentagrophytes was 1 μg/mL.

IT 227100-33-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of aminomethylphenylpiperidino carbamates)

RN 227100-33-6 CA

CN 1-Piperidinecarbodithioic acid, 4-[[4-[(dimethylamino)methyl]phenyl]thio]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

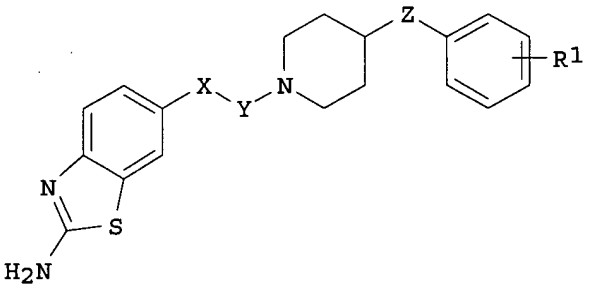
L11 ANSWER 27 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 131:31935 CA
TITLE: Preparation of aminobenzothiazoles as neuroprotectants.
INVENTOR(S): Mantegani, Sergio; Cremonesi, Paolo; Varasi, Mario; Speciale, Carmela
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9928318	A1	19990610	WO 1998-EP7532	19981123 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KE, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2313050	A1	19990610	CA 1998-2313050	19981123 <--
AU 9915621	A	19990616	AU 1999-15621	19981123 <--
EP 1037890	A1	20000927	EP 1998-959879	19981123 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001525321	T	20011211	JP 2000-523210	19981123 <--
US 6407122	B1	20020618	US 2000-554612	20000601

PRIORITY APPLN. INFO.: GB 1997-25541 A 19971202
WO 1998-EP7532 W 19981123

OTHER SOURCE(S): MARPAT 131:31935
GI



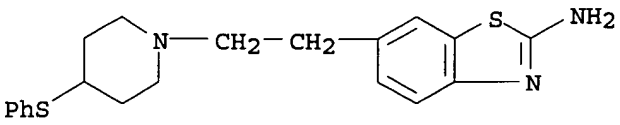
I

AB Title compds. [I; X = CO, C:NOH, CHOH, CH2; Y = CH2, CH2CH2R2; R2 = H, OH, PhO, amino, CO2R4, etc.; R4 = alkyl, (R1-substituted) Ph; Z = (CH2)n; n = 0-4; R1 = H, halo, cyano, alkyl, alkoxy, CF3], were prepared Thus, 1-(2-acetylaminobenzothiazol-6-yl)-2-bromoethane, 4-benzylpiperidine, and K2CO3 were heated in DMF at 75° for 2 h to give 67% 1-(2-aminobenzothiazol-6-yl)-2-(4-benzylpiperidin-1-yl)ethane (II). In mixed cortical neuronal cultures exposed to NMDA, II showed neuroprotective activity with EC50 = 0.64 μM, vs. 2.26 μM for eliprodil.

IT 226996-40-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminobenzothiazoles as neuroprotectants)

RN 226996-40-3 CA

CN 2-Benzothiazolamine, 6-[2-[4-(phenylthio)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



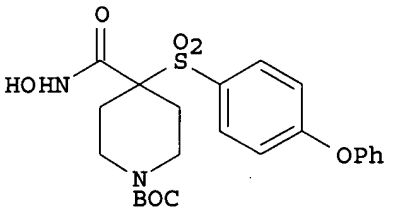
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 28 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 131:18929 CA
TITLE: Preparation of arylsulfonylheterocyclylhydroxamic acids and related compounds as matrix metalloprotease inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Boehm, Terri L.; De Crescenzo, Gary A.; Villamil, Clara I.; McDonald, Joseph J.; Freskos, John N.; Getman, Daniel P.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 840 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 9925687	A1	19990527	WO 1998-US23242		19981112	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW						
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
CA 2306460	A1	19990527	CA 1998-2306460		19981112	<--
AU 9913732	A	19990607	AU 1999-13732		19981112	<--
AU 756150	B2	20030102				
BR 9814643	A	20001003	BR 1998-14643		19981112	<--
EP 1042290	A1	20001011	EP 1998-957485		19981112	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI						
JP 2001523662	T	20011127	JP 2000-521071		19981112	<--
NZ 503485	A	20021025	NZ 1998-503485		19981112	
RU 2250105	C2	20050420	RU 2000-115948		19981112	
ZA 9810412	A	19991209	ZA 1998-10412		19981113	<--
US 2001014688	A1	20010816	US 1998-191129		19981113	<--
NO 2000002469	A	20000712	NO 2000-2469		20000512	<--
US 6541489	B1	20030401	US 2000-554082		20000731	
US 2002177588	A1	20021128	US 2001-954451		20010917	
US 6750233	B2	20040615				
US 2004048852	A1	20040311	US 2003-337942		20030107	
US 6890937	B2	20050510				
US 2006084688	A1	20060420	US 2005-46645		20050128	
PRIORITY APPLN. INFO.:			US 1997-66007P	P	19971114	
			US 1998-95347P	P	19980804	
			US 1998-95501P	P	19980806	
			US 1998-101080P	P	19980918	
			WO 1998-US23242	W	19981112	

US 1999-256948	B3	19990224
US 2000-554082	A3	20000731
US 2003-337942	A3	20030107

OTHER SOURCE(S): MARPAT 131:18929
GI



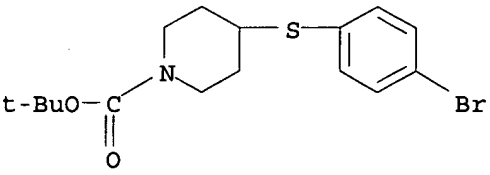
I

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOCR1R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation given) and LDA in THF at -60° to room temperature to give 405 sulfide, which was oxidized with m-ClC6H4CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH2OH to give title compound (I). I inhibited MMP-2 with IC50 = 0.2 nM.

IT 188527-03-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 188527-03-9 CA

CN 1-Piperidinecarboxylic acid, 4-[(4-bromophenyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 29 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 130:325074 CA

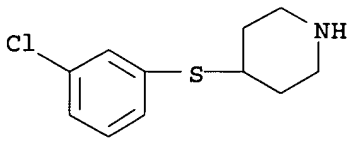
TITLE: Molecular modification of anpirtoline, a non-opioid centrally acting analgesic

AUTHOR(S): Radl, Stanislav; Hafner, Wieland; Hezky, Petr; Krejci, Ivan; Proska, Jan; Taimr, Jan

CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry, Prague, 130 60/3, Czech Rep.

SOURCE: Collection of Czechoslovak Chemical Communications (

1999), 64(2), 363-376
CODEN: CCCCAK; ISSN: 0010-0765
PUBLISHER: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Mol. modification of anpirtoline is described. Several methods of preparation of 4-[(3-chlorophenyl)sulfanyl]-1-methylpiperidine and its demethylation led to the deazaanpirtoline. Nucleophilic substitution of piperidine-4-thiole with 2-chloro-4-nitropyridine, 2,4-dichloro-6-methylpyridine, and 3,6-dichloropyridazine led to 2-chloro-4-(piperidin-4-ylsulfanyl)pyridine, 4-chloro-6-methyl-2-(piperidin-4-ylsulfanyl)pyridine, and 3-chloro-6-(piperidin-4-ylsulfanyl)pyridazine, resp. 2-Chloro-6-(pyridin-4-ylsulfanyl)-pyridine and 4-[(2-chloropyridin-6-yl)sulfanyl]quinoline (11)were obtained from sodium 2-chloropyridine-6-thiolate. Homoanpirtoline analogs with a methylene group inserted between the pyridine moiety and the sulfur atom as well as between the sulfur atom and the piperidine ring were also prepared
IT 223684-98-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and analgesic activity of anpirtoline analogs)
RN 223684-98-8 CA
CN Piperidine, 4-[(3-chlorophenyl)thio]-, hydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 30 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 129:313134 CA
TITLE: Combinatorial libraries of peptidomimetic aminothioether acids
INVENTOR(S): Mendel, David
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846786	A1	19981022	WO 1998-US7151	19980408 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,

KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2286862	A1	19981022	CA 1998-2286862	19980408	<--
AU 9869620	A	19981111	AU 1998-69620	19980408	<--
EP 973936	A1	20000126	EP 1998-915437	19980408	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI					
JP 2002504892	T	20020212	JP 1998-544062	19980408	
PRIORITY APPLN. INFO.:			US 1997-43496P	P 19970411	
			WO 1998-US7151	W 19980408	

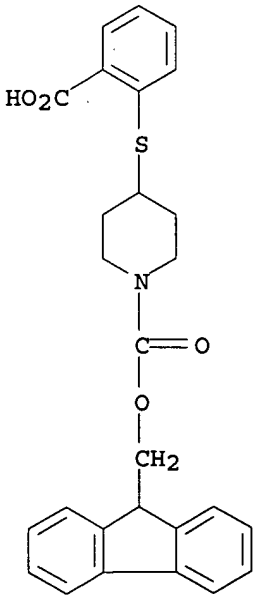
OTHER SOURCE(S): MARPAT 129:313134

AB The present invention relates to a novel diverse library of aminothioether compds. and derivs. thereof, and their possible use as lead compds. in drug development. Methods are presented for the preparation of these peptidomimetic compds. The general method used to prepare the diverse libraries of amino thioether acid compds. utilizes com. available or readily synthesized amino acids or amino alcs. and mercapto acids. An apparatus providing a readily accessible source of individual members of the library is also described. The apparatus can be used in assay kits and as a replaceable element in automated assay machines.

IT 214838-74-1P
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combinatorial libraries of peptidomimetic aminothioether acids)

RN 214838-74-1 CA

CN 1-Piperidinecarboxylic acid, 4-[(2-carboxyphenyl)thio]-, 1-(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 31 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 129:148991 CA

TITLE: Preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors

INVENTOR(S): Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.; Agouron Pharmaceuticals, Inc.

SOURCE: Ger. Offen., 84 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

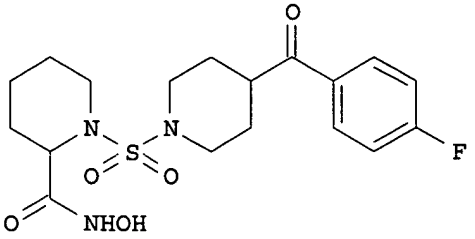
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
DE 19802350	A1	19980730	DE 1998-19802350		19980122	<--
CA 2278694	A1	19980730	CA 1998-2278694		19980114	<--
CA 2278694	C	20060926				
WO 9832748	A1	19980730	WO 1998-EP180		19980114	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW						
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG						
AU 9866140	A	19980818	AU 1998-66140		19980114	<--
AU 730127	B2	20010222				
EP 958287	A1	19991124	EP 1998-907943		19980114	<--
EP 958287	B1	20020911				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO						
BR 9807508	A	20000321	BR 1998-7508		19980114	<--
NZ 336625	A	20010427	NZ 1998-336625		19980114	<--
HU 200000941	A2	20010428	HU 2000-941		19980114	<--
JP 2001523222	T	20011120	JP 1998-531537		19980114	<--
JP 3563411	B2	20040908				
AT 223909	T	20020915	AT 1998-907943		19980114	
CN 1093125	B	20021023	CN 1998-803233		19980114	
PT 958287	T	20021231	PT 1998-907943		19980114	
ES 2183331	T3	20030316	ES 1998-907943		19980114	
ZA 9800376	A	19980723	ZA 1998-376		19980116	<--
IN 1998MA00105	A	20050304	IN 1998-MA105		19980116	
IT 1298163	B1	19991220	IT 1998-MI91		19980120	<--
FR 2758559	A1	19980724	FR 1998-601		19980121	<--
GB 2321641	A	19980805	GB 1998-1393		19980122	<--
GB 2321641	B	20010401				
ES 2136037	A1	19991101	ES 1998-113		19980122	<--
ES 2136037	B1	20001116				
NO 9903587	A	19990922	NO 1999-3587		19990722	<--
NO 313635	B1	20021104				
MX 9906822	A	20000131	MX 1999-6822		19990722	<--
PRIORITY APPLN. INFO.:			US 1997-36714P	P	19970123	
			US 1997-62209P	P	19971016	
			WO 1998-EP180	W	19980114	

OTHER SOURCE(S): MARPAT 129:148991

GI



II

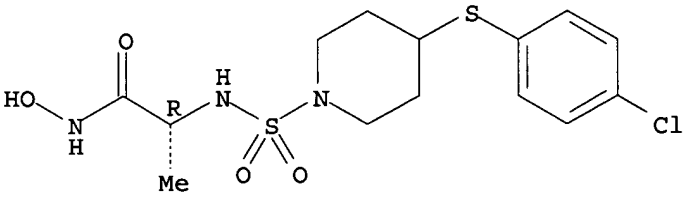
AB R10COCR1R2NR3SO2NR20R21 [I; R1-R3 = H, (CO-interrupted) alkyl, heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; R1R2, R1R3, R2R3 = atoms to complete a ring; R10 = NR11OR12; R11,R12 = H or (ar)alkyl; R20,R21 = H, alkyl, (hetero)aryl[alk(en)yl], etc.; NR20R21heterocyclyl] were prepared Thus, (R)-1-[4-(4-chlorobenzoyl)piperidine-1-sulfonyl]piperidine-2-carboxylic acid was amidated by H2NOCMe3 and the product deprotected to give title compound (R)-II. Data for biol. activity of I were given.

IT 210913-65-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)

RN 210913-65-8 CA

CN Propanamide, 2-[[[4-[(4-chlorophenyl)thio]-1-piperidinyl]sulfonyl]amino]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 32 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 127:278413 CA

TITLE: Preparation of nucleosides for treating disorders related to cytokines in mammals

INVENTOR(S): Knutsen, Lars; Olsen, Uffe Bang; Bowler, Andrew Neil

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

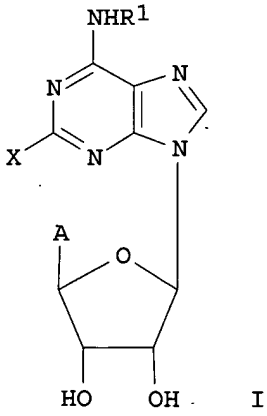
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733591	A1	19970918	WO 1997-DK108	19970312 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
ML, MR, NE, SN, TD, TG

WO 9733590 A1 19970918 WO 1997-DK107 19970312 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
ML, MR, NE, SN, TD, TG

AU 9720224 A 19971001 AU 1997-20224 19970312 <--
AU 9720225 A 19971001 AU 1997-20225 19970312 <--
IN 1997MA00517 A 20050304 IN 1997-MA517 19970312
IN 1997MA00518 A 20050304 IN 1997-MA518 19970312
ZA 9702190 A 19971010 ZA 1997-2190 19970313 <--
ZA 9702193 A 19971021 ZA 1997-2193 19970313 <--
PRIORITY APPLN. INFO.: DK 1996-293 A 19960313
DK 1996-591 A 19960521
DK 1996-590 19960521
WO 1997-DK107 W 19970312
WO 1997-DK108 W 19970312
OTHER SOURCE(S): MARPAT 127:278413
GI



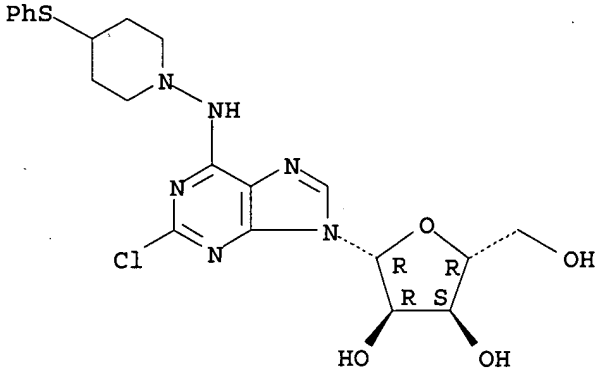
I

AB Preparation of nucleosides I (R1 = heterocycle, imino; X = H, halo, amino, perhalomethyl, cyano, alkyl, alkoxy, alkylthio, alkylamino, Ph; A = vinyl, CH2R2, R2 = Oh, H, Cl, Br, F, CN, NH2, MeO) for treating disorders related to cytokines such as TNFα in mammals. The disorder is an auto-immune disorder, inflammation, arthritis, multiple sclerosis, stroke, osteoporosis, septic shock or menstrual complications. Thus, 2-chloro-N-methoxyadenosine was prepared and tested for its auto-immune disorder and showed LPS-induced TNFα inhibition rat whole blood (IC50 = 3.0 μM).

IT 151666-11-4
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of nucleosides for treating disorders related to cytokines in mammals)
RN 151666-11-4 CA
CN Adenosine, 2-chloro-N-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

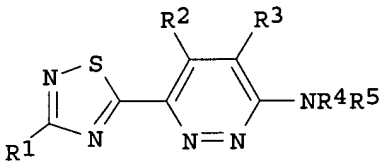


L11 ANSWER 33 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 127:176434 CA
TITLE: Angiogenesis inhibiting pyridazinamines
INVENTOR(S): Stokbboekx, Raymond Antoine; Van Der Aa, Marcel Jozef Maria; Willems, Marc; Meerpoel, Lieven; Luyckx, Marcel Gerebernus Maria; Tuman, Robert W.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Neth.; Stokbroekx, Raymond Antoine; Van Der Aa, Marcel Jozef Maria; Willems, Marc; Meerpoel, Lieven; Luyckx, Marcel Gerebernus Maria; Tuman, Robert W.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726258	A1	19970724	WO 1997-EP201	19970114 <--
W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2237273	A1	19970724	CA 1997-2237273	19970114 <--
AU 9714439	A	19970811	AU 1997-14439	19970114 <--
AU 717744	B2	20000330		
ZA 9700288	A	19980714	ZA 1997-288	19970114 <--
EP 876366	A2	19981111	EP 1997-901059	19970114 <--
EP 876366	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
CN 1208415	A	19990217	CN 1997-191705	19970114 <--
CN 1104430	B	20030402		

JP 2000503014	T	20000314	JP 1997-524656		19970114 <--
IL 124461	A	20000726	IL 1997-124461		19970114 <--
AT 203534	T	20010815	AT 1997-901059		19970114 <--
ES 2162235	T3	20011216	ES 1997-901059		19970114 <--
PT 876366	T	20020130	PT 1997-901059		19970114
TW 480256	B	20020321	TW 1997-86100703		19970123
NO 9802037	A	19980915	NO 1998-2037		19980505 <--
NO 309653	B1	20010305			
US 5985878	A	19991116	US 1998-119075		19980709 <--
GR 3036900	T3	20020131	GR 2001-401770		20011016
PRIORITY APPLN. INFO.:			EP 1996-200085	A	19960115
			EP 1997-901059	A	19970114
			WO 1997-EP201	W	19970114

OTHER SOURCE(S): MARPAT 127:176434
GI



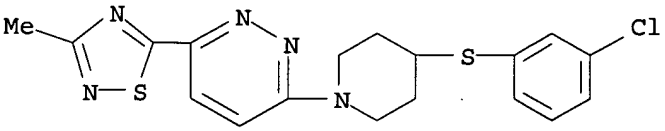
I

AB Title compds. I [R1 = H, alkyl, alkoxy, alkylthio, amino, aryl, cycloalkyl, CH2OH, CH2OCH2Ph; R2, R3 = H; R2R3 = CH:CHCH:CH; NR4R5 = heterocyclic] were prepared Thus, 3-chloro-6-methylpyridazine was treated with SOCl2 and HN:CHMeNH2.HCl to give the chloropyridazinylthiadiazole which was treated with 1-(3-trifluoromethylphenyl)piperazine to give I [R1 = Me, R2, R3 = H, NR4R5 = 4-(3-trifluoromethylphenyl)piperazino]. This compound had an in vitro angiogenesis inhibiting IC50 of 0.3 nM.

IT 193956-93-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiadiazolylpyrazinylamines as angiogenesis inhibitors)

RN 193956-93-3 CA

CN Pyridazine, 3-[4-[(3-chlorophenyl)thio]-1-piperidinyl]-6-(3-methyl-1,2,4-thiadiazol-5-yl)- (9CI) (CA INDEX NAME)



L11 ANSWER 34 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 127:135730 CA

TITLE: Preparation of 4-substituted piperidine analogs as subtype selective N-methy-D-aspartate receptor antagonists

INVENTOR(S): Bigge, Christopher F.; Cai, Sui Xiong; Weber, Eckard; Woodward, Richard; Lan, Nancy C.; Keana, John F. W.; Zhou, Zhang-Lin; Wright, Jonathan; et al.

PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Cocensys, Inc.; Bigge, Christopher F.; Cai, Sui Xiong; Weber, Eckard;

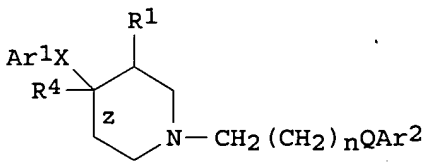
Woodward, Richard; Lan, Nancy C.
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723214	A1	19970703	WO 1996-US20766	19961220 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9610741	A	19970624	ZA 1996-10741	19961219 <--
CA 2240038	A1	19970703	CA 1996-2240038	19961220 <--
AU 9714310	A	19970717	AU 1997-14310	19961220 <--
AU 719430	B2	20000511		
EP 869791	A1	19981014	EP 1996-944537	19961220 <--
EP 869791	B1	20030507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 9901033	A2	19990728	HU 1999-1033	19961220 <--
HU 9901033	A3	20020128		
BR 9612153	A	19991228	BR 1996-12153	19961220 <--
NZ 325735	A	20000228	NZ 1996-325735	19961220 <--
JP 2000502352	T	20000229	JP 1997-523881	19961220 <--
US 6130234	A	20001010	US 1996-91594	19961220 <--
AT 239473	T	20030515	AT 1996-944537	19961220
IL 125060	A	20030731	IL 1996-125060	19961220
PT 869791	T	20030829	PT 1996-944537	19961220
ES 2196196	T3	20031216	ES 1996-944537	19961220
NO 9802869	A	19980824	NO 1998-2869	19980619 <--
NO 312028	B1	20020304		
BG 63424	B1	20020131	BG 1998-102561	19980619
US 6448270	B1	20020910	US 2000-592883	20000613
US 2003105133	A1	20030605	US 2002-206578	20020729

PRIORITY APPLN. INFO.:

US 1995-9192P	P	19951222
US 1996-91594	A1	19961220
WO 1996-US20766	W	19961220
US 1998-91594	A1	19980618
US 2000-592883	A3	20000613

OTHER SOURCE(S): MARPAT 127:135730
GI



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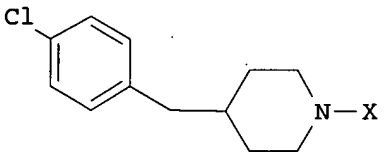


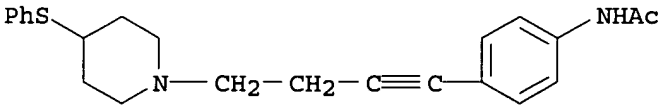
II

AB The title compds. [I; Ar1, Ar2 = (un)substituted aryl, heteroaryl, etc.; z = single or double bond; X = (CHR2)m, O, S, etc.; R1 = H, OH; R2 = H, OH, lower alkoxy, etc.; m = 0-2; n = 0-2; Q = CH:CH, C.tplbond.C; R4 = H, OH, etc.] are prepared I are useful as selectively active antagonists of N-methy-D-aspartate (NMDA) receptor subtypes for treating conditions such as stroke, cerebral ischemia, central nervous system trauma, hypoglycemia, anxiety, convulsions, aminoglycoside antibiotics-induced hearing loss, migraine headache, glaucoma, CMV retinitis, chronic pain, opioid tolerance or withdrawals, or neurodegenerative disorders, such as lathyrism, Alzheimer's Disease, Parkinsonism and Huntington's disease. Thus, piperidine analog (II; X = H) was reacted with 3-butynyl tosylate in the presence of NaHCO3 to give the title compound II (X = HC.tplbond.C(CH2)2), which exhibited selectivity for 2B subtype receptors compared to 2A, 2C and 2D subtype receptors.

IT 192989-82-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted piperidine analogs as subtype selective N-methy-D-aspartate receptor antagonists)

RN 192989-82-5 CA

CN Acetamide, N-[4-[4-[4-(phenylthio)-1-piperidinyl]-1-butynyl]phenyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 35 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 127:121611 CA

TITLE: Discovery of selective dopamine D4 receptor antagonists: 1-aryloxy-3-(4-aryloxypiperidinyl)-2-propanols

AUTHOR(S): Wright, Jon L.; Gregory, Tracy F.; Heffner, Thomas G.; Mackenzie, Robert G.; Pugsley, Thomas A.; Vander Meulen, Seth; Wise, Lawrence D.

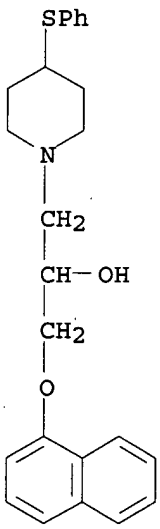
CORPORATE SOURCE: Division of Warner-Lambert Company, Departments of Chemistry and Therapeutics, Parke-Davis Pharmaceutical

Research, Ann Arbor, MI, 48105, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(11), 1377-1380
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB High volume screening identified 3-(4-benzylpiperidinyl)-1-naphthoxy-2-propanol as a selective dopamine D4 receptor ligand. A systematic structure-activity study revealed that the benzyl group could be replaced with phenoxy and the naphthalene with Ph to improve potency almost tenfold. The (R) enantiomer of this compound had a D4 affinity of 2 nM and was over 100-fold weaker at dopamine D2 and D3 receptors.

IT 192823-32-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and dopamine D4 receptor antagonist activity of (aryloxypiperidinyl)propanols)

RN 192823-32-8 CA
CN 1-Piperidineethanol, α-[(1-naphthalenyloxy)methyl]-4-(phenylthio)- (9CI) (CA INDEX NAME)

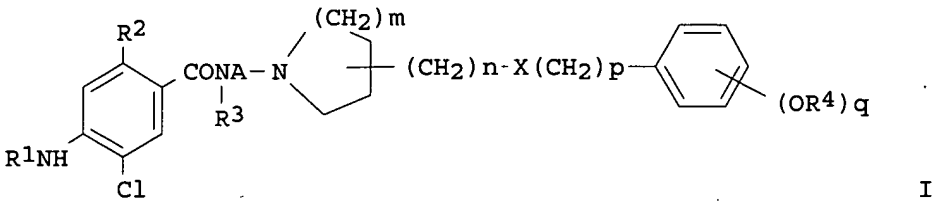


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 36 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 127:17595 CA
TITLE: Preparation of benzamide derivatives as gastrointestinal movement modulators
INVENTOR(S): Takadoi, Masanori; Kobayashi, Fumiyoshi; Sekiguchi, Haruo
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
JP 09077742	A	19970325	JP 1995-259319		19950912	<--
WO 9710207	A1	19970320	WO 1996-JP2605		19960912	<--
W: AU, CA, CN, HU, KR, US						
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, NL, PT, SE						
AU 9669445	A	19970401	AU 1996-69445		19960912	<--
PRIORITY APPLN. INFO.:			JP 1995-259319	A	19950912	
			WO 1996-JP2605	W	19960912	

OTHER SOURCE(S): MARPAT 127:17595
GI



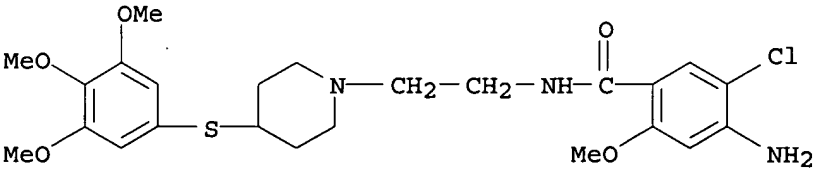
I

AB The title compds. (I; R1 = H, lower alkyl alkoxycarbonyl, acyl; R2 = lower alkoxy, F; R3 = H, lower alkyl; R4 = lower alkyl; X = single bond, O, S, NH, CO, OCO, NHCO, etc.; A = ethylene, 1,4-phenylene, etc.; m = 1-3; n = 0-2; p = 0-3; q = 1-3) are prepared I, having potent stimulation of 5-HT4 receptor, are useful as gastrointestinal movement modulators. Thus, 4-amino-5-chloro-2-methoxybenzoic acid was treated with ClCO2Et in the presence of Et3N and then reacted with 1-(2-aminoethyl)-4-(3,4,5-trimethoxybenzyloxy)piperidine to give 24% the title compound (II). II showed EC50 of 6.5 X 10-8 M against 5-HT4 receptor when tested on rats.

IT 188558-56-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamide derivs. as gastrointestinal movement modulators)

RN 188558-56-7 CA

CN Benzamide, 4-amino-5-chloro-2-methoxy-N-[2-[4-[(3,4,5-trimethoxyphenyl)thio]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 37 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 126:305785 CA

TITLE: Preparation of substituted pipecolinic acid derivatives as HIV protease inhibitors

INVENTOR(S): Anderson, Paul C.; Soucy, Francedilla; Yoakim, Christiane; Lavallee,. Pierre; Beaulieu, Pierre L.

PATENT ASSIGNEE(S): Bio-Mega/Boehringer Ingelheim Research Inc., Can.

SOURCE: U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 850,716, abandoned.

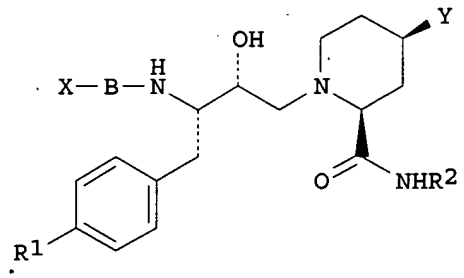
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5614533	A	19970325	US 1994-336637	19941109 <--
ES 2066623	T3	19950301	ES 1993-103712	19930309 <--
WO 9318003	A1	19930916	WO 1993-CA96	19930312 <--
W: AU, CA, CZ, FI, HU, KR, NO, NZ, PL, RU, SK, UA				
RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
ZA 9301776	A	19930924	ZA 1993-1776	19930312 <--
AU 9338808	A	19931005	AU 1993-38808	19930312 <--
AU 670582	B2	19960725		
HU 70617	A2	19951030	HU 1994-2613	19930312 <--
CA 2131185	C	19970527	CA 1993-2131185	19930312 <--
IL 105035	A	19970713	IL 1993-105035	19930312 <--
PL 176362	B1	19990531	PL 1993-305166	19930312 <--
SK 280161	B6	19990910	SK 1994-1090	19930312 <--
CZ 285589	B6	19990915	CZ 1994-2232	19930312 <--
RU 2140911	C1	19991110	RU 1994-40841	19930312 <--
JP 06073004	A	19940315	JP 1993-54142	19930315 <--
JP 3258422	B2	20020218		
CN 1096293	A	19941214	CN 1993-106794	19930608 <--
NO 9403383	A	19940912	NO 1994-3383	19940912 <--
FI 9404217	A	19940913	FI 1994-4217	19940913 <--
US 5807870	A	19980915	US 1996-763464	19961211 <--

PRIORITY APPLN. INFO.:

US 1992-850716	B2	19920313
US 1993-25703	B1	19930303
WO 1993-CA96	A	19930312
US 1994-336637	A3	19941109

OTHER SOURCE(S): MARPAT 126:305785
GI



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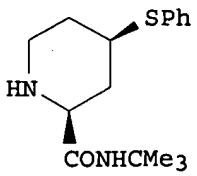
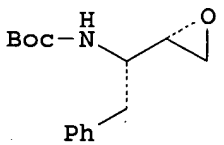


II



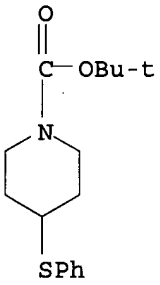
III

AB Title compds. I [X = terminal group such as aryloxycarbonyl, alkanoyl, or optionally mono- or disubstituted carbamoyl; B = absent or amino acid residue, for example, Val or Asn; R1 = H or ring substituent, for example, F or Me; R2 = alkyl; Y = ring substituent, for example, PhO, 2-pyridinylmethoxy, PhS, or 2-pyridinylthio] are disclosed as compds. inhibit the activity of HIV protease and interfere with HIV induced cytopathogenic effects in human cells. These properties render the compds. useful for combating HIV infections. Thus, reaction of piperidinecarboxamide II (preparation given) with epoxide III (Boc = Me3CO2C) gave title compound I (X = Boc, B = absent, R1 = H, R2 = CMe3, Y = SPh) (IV). Acidic deprotection of IV, peptide coupling with Boc-Val-OH, further acidic deprotection, and amidation with 2-quinolinecarboxylic acid gave title compound I (X = 2-quinolylcarbonyl, B = Val, R1 = H, R2 = CMe3, Y = SPh). Recombinant HIV protease inhibitory activity of 79 title compds. I showed IC50 = 2100 to 1.5 nM.

IT 154612-64-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted pipecolinic acid derivs. as HIV protease inhibitors)

RN 154612-64-3 CA

CN 1-Piperidinecarboxylic acid, 4-(phenylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 38 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 126:238391 CA

TITLE: Preparation of (di)azinylcarbonyl(di)azines as oxidosqualene cyclase inhibitors

INVENTOR(S): Brown, George Robert; Stokes, Elaine Sophie Elisabeth; Waterson, David; Wood, Robin

PATENT ASSIGNEE(S): Zeneca Limited, UK; Brown, George Robert; Stokes, Elaine Sophie Elisabeth; Waterson, David; Wood, Robin

SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

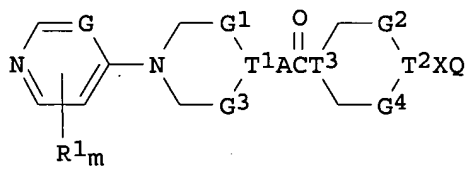
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9706802	A1	19970227	WO 1996-GB1985	19960814 <--

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA					
CA 2226735	A1	19970227	CA 1996-2226735		19960814 <--
AU 9667485	A	19970312	AU 1996-67485		19960814 <--
EP 844877	A1	19980603	EP 1996-927782		19960814 <--
EP 844877	B1	20050126			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI					
CN 1193276	A	19980916	CN 1996-196278		19960814 <--
JP 11511161	T	19990928	JP 1996-509050		19960814 <--
AT 287715	T	20050215	AT 1996-927782		19960814
US 6090813	A	20000718	US 1998-11718		19980213 <--
PRIORITY APPLN. INFO.:			GB 1995-16709	A	19950815
			WO 1996-GB1985	W	19960814

OTHER SOURCE(S): MARPAT 126:238391

GI



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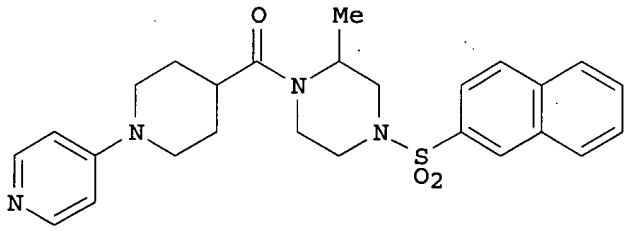


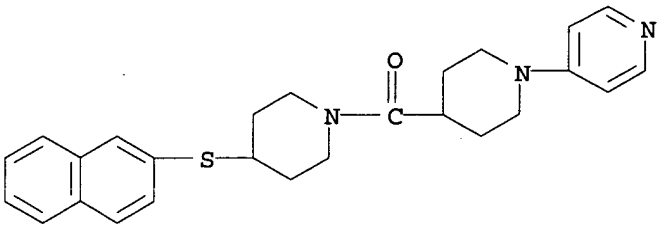
II

AB Title compds. [I; A = bond or alkylene; G, T1-T3 = CH or N (T2 ≠ T3 = CH); Q = cycloalkyl, heterocyclyl, phenyl(alkyl), etc.; R1 = H, halo, NH2, cyano, alkyl, alkoxy; X = O, SO0-2, CO, CONH, etc.; G1, G2 = 1 or 2 CH2; G3, G4 = 0 or 1 CH2; m = 1 or 2] were prepared Thus, 1-(4-pyridyl)piperidine-4-carbonyl chloride (preparation given) was amidated by 3-methyl-1-(2-naphthylsulfonyl)piperazine to give title compound II. Data for biol. activity of 1 prepared I were given.

IT 179050-55-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (di)azinylcarbonyl(di)azines as oxidosqualene cyclase inhibitors)

RN 179050-55-6 CA

CN Piperidine, 4-(2-naphthalenylthio)-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 39 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 125:114690 CA
TITLE: Preparation of aminoheterocyclic derivatives as antithrombotic or anticoagulant agents
INVENTOR(S): Faull, Alan Wellington; Mayo, Colette Marie; Preston, John; Stocker, Andrew
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9610022	A1	19960404	WO 1995-GB2285	19950925 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2197471	A1	19960404	CA 1995-2197471	19950925 <--
AU 9535307	A	19960419	AU 1995-35307	19950925 <--
AU 696491	B2	19980910		
EP 783500	A1	19970716	EP 1995-932128	19950925 <--
EP 783500	B1	19980722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9509045	A	19970930	BR 1995-9045	19950925 <--
CN 1164232	A	19971105	CN 1995-196337	19950925 <--
JP 10506122	T	19980616	JP 1995-511499	19950925 <--
AT 168685	T	19980815	AT 1995-932128	19950925 <--
HU 77769	A2	19980828	HU 1997-2052	19950925 <--
ES 2119472	T3	19981001	ES 1995-932128	19950925 <--
CZ 285370	B6	19990714	CZ 1997-893	19950925 <--
ZA 9508085	A	19960424	ZA 1995-8085	19950926 <--
NO 9701415	A	19970522	NO 1997-1415	19970325 <--
US 5965559	A	19991012	US 1997-817031	19970326 <--
US 6225309	B1	20010501	US 1999-369857	19990809 <--
US 2002119968	A1	20020829	US 2001-800745	20010308
US 6730672	B2	20040504		

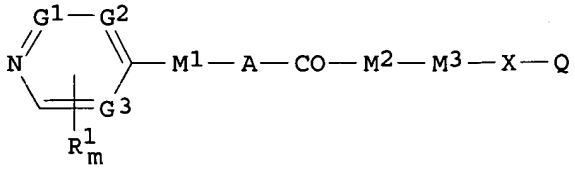
PRIORITY APPLN. INFO.:

GB 1994-19341	A	19940926
GB 1994-25789	A	19941221
GB 1995-11051	A	19950601
WO 1995-GB2285	W	19950925
US 1997-817031	A3	19970326

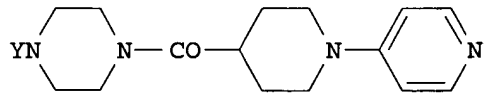
US 1999-369857 A3 19990809

OTHER SOURCE(S): MARPAT 125:114690

GI



I



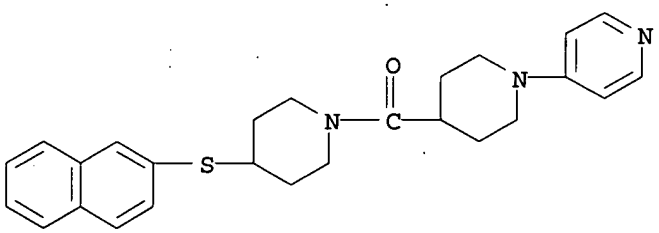
II

AB The title compds. [I; G1, G2, G3 = CH, N; m = 1, 2; R1 = H, halo, C1-4 alkyl; M1 = (substituted) piperidino, piperazino, etc.; A = bond, C1-4 alkylene; M2 = piperazino, etc.; M3 = bond, etc.; X = SO2; Q = naphthyl, heterocyclyl] were prepared and formulated. Treatment of 1-(4-pyridyl)piperidine-4-carboxylic acid with SOCl2 followed by addition of 1-tert-butoxycarbonylpiperazine, deprotection of the intermediate II (Y = Boc) with HCl/Et2O and reaction of piperazine II.3HCl (Y = H) with 2-naphthylsulfonyl chloride afforded I [G1, G2, G3 = CH; R1 = H; M1 = piperidino; A, M3 = bond; M2 = piperazino; X = SO2; Q = 2-naphthyl]. In general, compds. I showed IC50 of 0.001-25 μM against Factor Xa and of > 50 μM against thrombin.

IT 179050-55-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoheterocyclic derivs. as antithrombotic or anticoagulant agents)

RN 179050-55-6 CA

CN Piperidine, 4-(2-naphthalenylthio)-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 40 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 123:305981 CA

TITLE: Anticonvulsant actions of novel and reference adenosine agonists

AUTHOR(S): Knutsen, Lars J. S.; Lau, Jesper; Sheardown, Malcolm J.; Eskesen, Karen; Thomsen, Christian; Weis, Jan U.; Judge, Martin E.; Klitgaard, Henrik

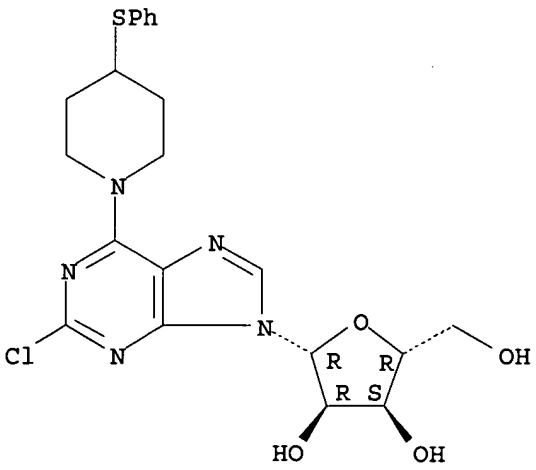
CORPORATE SOURCE: Novo Nordisk Pharmaceuticals Division, Malov, DK 2760,

Den.
SOURCE: Adenosine and Adenine Nucleotides: From Molecular Biology to Integrative Physiology, [Proceedings of the International Symposium on Adenosine and Adenine Nucleotides] -- 5th, Philadelphia, May 9-13, 1994 (1995), Meeting Date 1994, 479-89. Editor(s): Belardinelli, Luiz; Pelleg, Amir. Kluwer: Boston, Mass.
CODEN: 61SUAT
DOCUMENT TYPE: Conference
LANGUAGE: English

AB The authors demonstrated that a range of novel 2-substituted adenosine analogs with alkylated nitrogen and oxygen atoms on the 6-amino group have anticonvulsant effects, in some cases with high potency, in the DMCM-induced clonic seizure model in mice after i.p. administration. However, the potent cardiovascular effects of the above agonists led the authors to examine another range of adenosine agonists, represented by 2-chloro-N-(1-methyl-2-phenoxyethyl)adenosine (I), with milder cardiovascular effects. I maintained a potent effect in the mouse DMCM-induced clonic seizure model, as well as a separation between anticonvulsant and ataxic doses, and therefore represents a prototype adenosine agonist for future CNS drug development in this field.

IT 170032-16-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticonvulsant actions of adenosine agonists)
RN 170032-16-3 CA
CN 9H-Purine, 2-chloro-6-[4-(phenylthio)-1-piperidinyl]-9-β-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

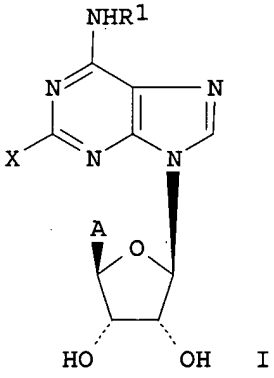


L11 ANSWER 41 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 123:286531 CA
TITLE: Preparation of adenosine derivatives for treatment of central nervous system diseases
INVENTOR(S): Lau, Jesper; Knutsen, Lars Jacob Stray
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 9507921	A1	19950323	WO 1994-DK344		19940915	<--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN						
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE						
US 5589467	A	19961231	US 1994-306232		19940914	<--
CA 2171940	A1	19950323	CA 1994-2171940		19940915	<--
AU 9476519	A	19950403	AU 1994-76519		19940915	<--
AU 678053	B2	19970515				
EP 719275	A1	19960703	EP 1994-926815		19940915	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE						
JP 11511436	T	19991005	JP 1994-508922		19940915	<--
ZA 9407201	A	19960318	ZA 1994-7201		19940916	<--
FI 9601219	A	19960515	FI 1996-1219		19960315	<--
NO 9601071	A	19960515	NO 1996-1071		19960315	<--
PRIORITY APPLN. INFO.:			DK 1993-1043	A	19930917	
			DK 1994-310	A	19940316	
			WO 1994-DK344	W	19940915	

OTHER SOURCE(S): MARPAT 123:286531
GI



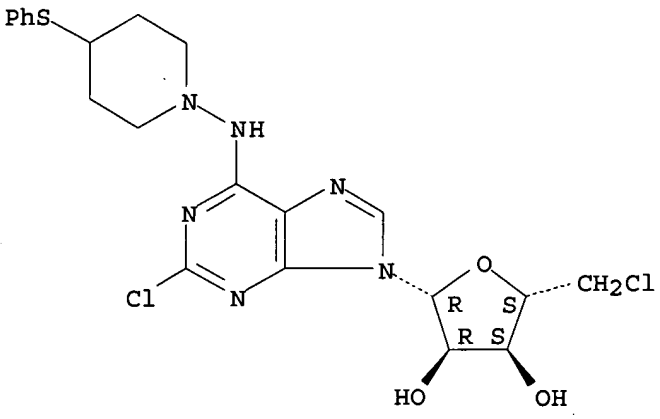
I

AB The title compds. I [X is halogen, amino, perhalomethyl, cyano, C1-6-alkoxy, C1-6-alkylthio or C1-6-alkylamino; A is Me, halomethyl, cyanomethyl, aminomethyl, vinyl, methylthiomethyl or methoxymethyl; R1 is selected from optionally substituted N-bonded heterocyclics] are prepared 2,5'-Dichloro-5'-deoxy-N-(1-piperidinyl)adenosine (II) (preparation given) showed ED50 of 0.4 mg/Kg against DMCM-induced seizures in in animals. In the in vitro test for the binding to the adenosine A1 receptors, II showed Ki value of 6.4 nM.

IT 169190-51-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of adenosine derivs. for treatment of central nervous system diseases)
RN 169190-51-6 CA
CN Adenosine, 2,5'-dichloro-5'-deoxy-N-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

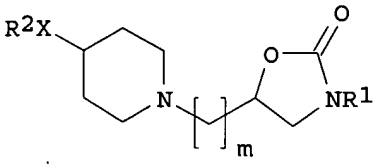


L11 ANSWER 42 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 122:265361 CA
TITLE: Preparation of 3-aryl-5-[(4-aryloxy- and -thiopiperidino)alkyl]oxazolidin-2-ones as nervous system agents
INVENTOR(S): Pruecher, Helmut; Gottschlich, Rudolf; Bartoszyk, Gerd; Seyfried, Christoph
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 635505	A1	19950125	EP 1994-110781	19940712	<--
EP 635505	B1	19971015			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
DE 4324393	A1	19950126	DE 1993-4324393	19930721	<--
AT 159252	T	19971115	AT 1994-110781	19940712	<--
ES 2110660	T3	19980216	ES 1994-110781	19940712	<--
SK 281630	B6	20010611	SK 1994-852	19940714	<--
AU 9467536	A	19950202	AU 1994-67536	19940715	<--
AU 683886	B2	19971127			
TW 401417	B	20000811	TW 1994-83106530	19940718	<--
CA 2128380	A1	19950122	CA 1994-2128380	19940719	<--
CA 2128380	C	20050412			
CZ 284544	B6	19981216	CZ 1994-1738	19940719	<--
PL 177692	B1	20000131	PL 1994-304349	19940719	<--
NO 9402715	A	19950123	NO 1994-2715	19940720	<--
ZA 9405340	A	19950301	ZA 1994-5340	19940720	<--
JP 07070117	A	19950314	JP 1994-168105	19940720	<--

CN 1106008	A	19950802	CN 1994-107977		19940720 <--
CN 1055690	B	20000823			
RU 2135495	C1	19990827	RU 1994-26079		19940720 <--
HU 71110	A2	19951128	HU 1994-2154		19940721 <--
HU 218912	B	20001228			
US 5561145	A	19961001	US 1994-278210		19940721 <--

PRIORITY APPLN. INFO.: DE 1993-4324393 A 19930721
OTHER SOURCE(S): MARPAT 122:265361
GI



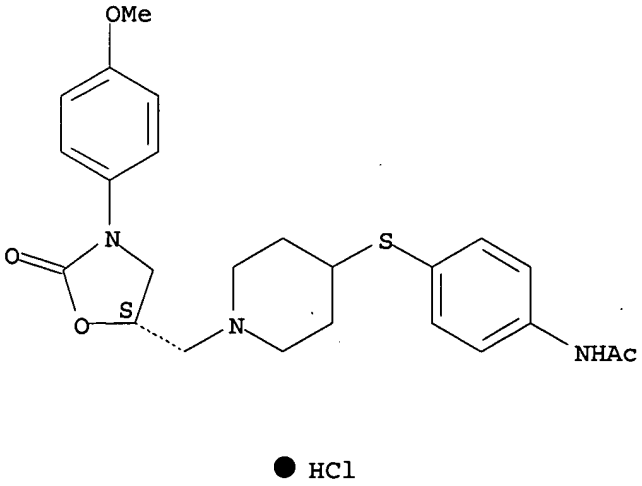
I

AB Title compds. [I; R1,R2 = (un)substituted Ph; X = O, SO0-2; m = 1-3] were prepared as nervous system agents (no data). Thus, (5R)-5-methanesulfonyloxymethyl-3-(p-methoxyphenyl)oxazolidin-2-one was condensed with 4-(p-acetamidophenoxy)piperidine to give (5S)-I [R1 = 4-(MeO)C6H4, R2 = 4-(AcHN)C6H4, X = O, m = 1].

IT 162401-91-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-aryl-5-[(4-aryloxy- and -thiopiperidino)alkyl]oxazolidin-2-ones as nervous system agents)

RN 162401-91-4 CA
CN Acetamide, N-[4-[[1-[[3-(4-methoxyphenyl)-2-oxo-5-oxazolidinyl]methyl]-4-piperidinyl]thio]phenyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L11 ANSWER 43 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 121:272191 CA

TITLE: Oxoquinolinecarboxylic acid derivatives, oxonaphthyridinecarboxylic acid derivatives, their preparation, and their use as cell adhesion inhibitors
INVENTOR(S): Miyake, Akio; Nakamura, Masahira; Fukushi, Hideto
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

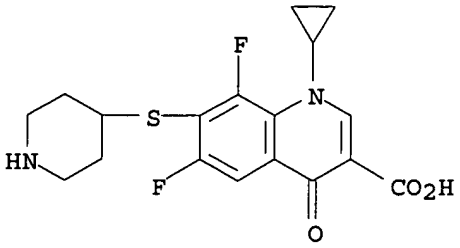
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 614664	A1	19940914	EP 1994-103366	19940305 <--
EP 614664	B1	19980916		
EP 614664	B2	20030108		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9456437	A	19940915	AU 1994-56437	19940228 <--
AU 669416	B2	19960606		
AT 171068	T	19981015	AT 1994-103366	19940305 <--
NO 9400789	A	19940912	NO 1994-789	19940307 <--
JP 06316522	A	19941115	JP 1994-35879	19940307 <--
CA 2117224	A1	19940910	CA 1994-2117224	19940308 <--
FI 9401082	A	19940910	FI 1994-1082	19940308 <--
US 5519024	A	19960521	US 1994-207091	19940308 <--
CN 1099029	A	19950222	CN 1994-102273	19940309 <--
HU 70043	A2	19950928	HU 1994-703	19940309 <--
US 5703081	A	19971230	US 1996-608697	19960229 <--
US 5889009	A	19990330	US 1997-931453	19970917 <--
US 5889009	C1	20020507		

PRIORITY APPLN. INFO.:	JP 1993-47917	A	19930309
	US 1994-207091	A3	19940308
	US 1996-608697	A3	19960229

OTHER SOURCE(S): CASREACT 121:272191; MARPAT 121:272191

AB Compns. are disclosed which include a 1,7-disubstituted-4-oxo-3-quinolinecarboxylic acid or 1,7-disubstituted-4-oxo-3-naphthyridinecarboxylic acid derivative (Markush included). The compds. of the invention are useful as prophylactic and/or therapeutic agents for peripheral arterial obstruction, acute myocardial infarction, antitumor agents, and as prophylactic and/or therapeutic agents for osteoporosis. Preparation of compds. of the invention is described. 6,8-Difluoro-7-(4-methylpiperazin-1-yl)-1-(thiazol-2-yl)methyl-1,4-dihydro-4-oxoquinoline-3-carboxylic acid hydrochloride (I) was prepared from 1-(thiazol-2-yl)methyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and 4-methylpiperazine. Tablet and injection formulations of I are included, as is inhibitory activity against binding of GPIIb/IIIa and fibrinogen for I and other compns. of the invention.

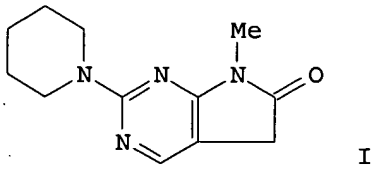
IT 124278-06-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oxoquinolinecarboxylic acid derivs., oxonaphthyridinecarboxylic acid derivs., their preparation, and their use as cell adhesion inhibitors)
RN 124278-06-4 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-(4-piperidinylthio)- (9CI) (CA INDEX NAME)



L11 ANSWER 44 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 121:108848 CA
TITLE: Pyrimidines useful in treatment of neurological disorders
INVENTOR(S): Awaya, Akira; Horikomi, Kazutoshi; Sasaki, Tadayuki; Kobayashi, Hisashi; Mizuchi, Akira; Nakano, Takuo; Tomino, Ikuo; Araki, Shintaro; Takesue, Mitsuyuki; et al.
PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan; Mitsui Pharmaceuticals, Inc.
SOURCE: U.S., 29 pp. Cont.-in-part of U.S. Ser. No. 347,892, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5304555	A	19940419	US 1990-600171		19901019 <--
CN 1079742	A	19931222	CN 1993-103112		19930317 <--
PRIORITY APPLN. INFO.:			JP 1987-210170	A	19870826
			US 1989-347892	B2	19890425
			CN 1988-106967	A	19880826

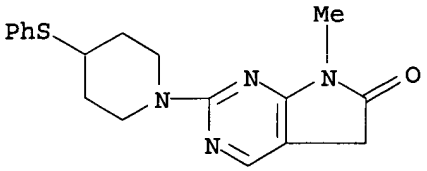
OTHER SOURCE(S): MARPAT 121:108848
GI



I

AB Pyrimidine compds. and their pharmaceutically acceptable salts were disclosed. The compds. are useful for neurol. diseases of the peripheral and central nervous systems of animals. An example compound, 5,7-dihydro-7-methyl-2-(1-piperidinyl)-6H-pyrrolo[2,3-d]pyrimidin-6-one (I) was prepared The biol. activity of I was higher than that of isaxonine or mecobalamin.
IT 122112-89-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as central nervous system agent)
RN 122112-89-4 CA
CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 5,7-dihydro-7-methyl-2-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)

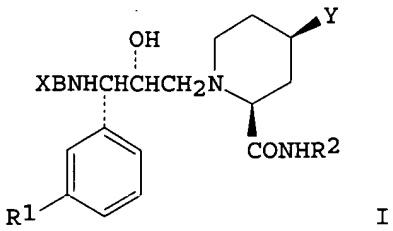


L11 ANSWER 45 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 121:57997 CA
TITLE: Preparation of pipecolinic acid derivatives as HIV protease inhibitors
INVENTOR(S): Anderson, Paul Cates; Soucy, Francois; Yoakim, Christiane; Lavallee, Pierre; Beaulieu, Pierre Louis
PATENT ASSIGNEE(S): Bio-Mega/Boehringer Ingelheim Research Inc., Can.
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 560268	A1	19930915	EP 1993-103712	19930309 <--
EP 560268	B1	19950104		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ES 2066623	T3	19950301	ES 1993-103712	19930309 <--
WO 9318003	A1	19930916	WO 1993-CA96	19930312 <--
W: AU, CA, CZ, FI, HU, KR, NO, NZ, PL, RU, SK, UA				
RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
ZA 9301776	A	19930924	ZA 1993-1776	19930312 <--
AU 9338808	A	19931005	AU 1993-38808	19930312 <--
AU 670582	B2	19960725		
HU 70617	A2	19951030	HU 1994-2613	19930312 <--
CA 2131185	C	19970527	CA 1993-2131185	19930312 <--
IL 105035	A	19970713	IL 1993-105035	19930312 <--
PL 176362	B1	19990531	PL 1993-305166	19930312 <--
SK 280161	B6	19990910	SK 1994-1090	19930312 <--
CZ 285589	B6	19990915	CZ 1994-2232	19930312 <--
RU 2140911	C1	19991110	RU 1994-40841	19930312 <--
JP 06073004	A	19940315	JP 1993-54142	19930315 <--
JP 3258422	B2	20020218		
CN 1096293	A	19941214	CN 1993-106794	19930608 <--
NO 9403383	A	19940912	NO 1994-3383	19940912 <--
FI 9404217	A	19940913	FI 1994-4217	19940913 <--

PRIORITY APPLN. INFO.: US 1992-850716 A 19920313
WO 1993-CA96 A 19930312

OTHER SOURCE(S): MARPAT 121:57997
GI



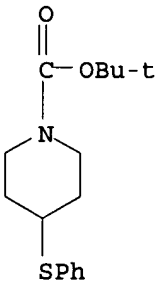
I

AB Title compds. I (X = R3O2C, R3CO, R3NR4CO wherein R3 = alkyl, cycloalkyl, (substituted) Ph, phenylalkyl, 1-, 2-naphthyl, 5,6-membered heterocyclyl or -heterocyclylalkyl, 2-, 3-quinolinyl, H, alkyl, R3AOCH2CO wherein R3A = (substituted) Ph; B = NHCHR5CO wherein R5 = alkyl, cycloalkyl, PhCH2, etc., or absent; R1 = H, halo, HO, alkyl, alkoxy; R2 = alkyl; Y = alkyl, cycloalkyl, (substituted) Ph, -PhCH2, W(CH2)nZ wherein W = O, S, SO, SO2, Z = alkyl, (substituted) Ph, heterocyclyl, n = 0, 1) or a salt thereof, useful for treating HIV infections in humans, are prepared I (X = Boc, B is absent, R1 = H; R2 = Me3C, Y = PhS) (preparation given) was converted to the deprotected amine as the HCl salt which in CH2Cl2, EtN(CHMe2)2, Boc-Val-OH and (benzotriazol-1-oxy)tris(dimethylamino)phosphonium hexafluorophosphate (BOP) were added to give I (X = Boc, B = Val, R1 = H, R2 = Me3C, Y = PhS). This is 6N HCl/dioxane was stirred at room temperature for 20 min to give the deprotected amine as HCl salt which in CH2Cl2 was added to 2-quinolinecarboxylic acid and BOP to give I (X = quinolinylcarbonyl, B = Val, R1 = H, R2 = Me3C, Y = PhS) which in recombinant HIV protease assay had IC50 3.1 nM and EC50 12 nM.

IT 154612-64-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of HIV inhibitors)

RN 154612-64-3 CA

CN 1-Piperidinecarboxylic acid, 4-(phenylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 46 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 121:26237 CA

TITLE: The synthesis and biochemical evaluation of new A1 selective adenosine receptor agonists containing 6-hydrazinopurine moieties

AUTHOR(S): Knutsen, Lars J. S.; Lau, Jesper; Sheardown, Malcolm J.; Thomsen, Christian

CORPORATE SOURCE: Dep. Med. Chem., Novo Nordisk Pharmaceuticals, Inc., Maaloev, DK 2760, Den.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1993

), 3(12), 2661-6
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English

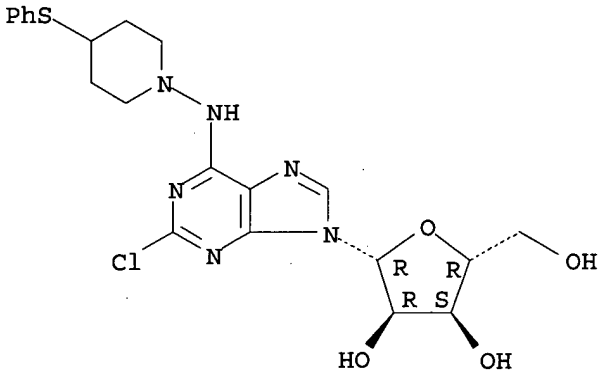
AB The synthesis and SAR of a series of novel derivs. of N-aminoadenosine is described, along with their in vitro effects in biochem. assays. The rat brain A1 adenosine receptor binding of these compds. is very dependent upon the purine 2-substituent. The novel agonist, 2-chloro-N-[4-(phenylthio)-1-piperidinyl]adenosine, exhibits a Ki value for A1 receptor binding of <1 nM.

IT 151666-11-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and A1-adenosine receptor agonist activity of)

RN 151666-11-4 CA

CN Adenosine, 2-chloro-N-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 47 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 120:54902 CA

TITLE: Preparation of 2,6-disubstituted purine nucleoside anticonvulsants

INVENTOR(S): Knutsen, Lars Jacob Stray; Lau, Jesper

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

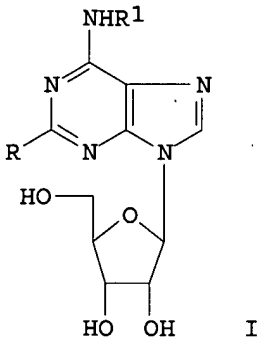
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9308206	A1	19930429	WO 1992-DK307	19921021 <--
W: AU, BG, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
US 5432164	A	19950711	US 1992-963878	19921020 <--
AU 9229160	A	19930521	AU 1992-29160	19921021 <--
AU 657374	B2	19950309		
EP 609375	A1	19940810	EP 1992-923113	19921021 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
JP 07500586	T	19950119	JP 1992-507362	19921021 <--
IL 103513	A	19960912	IL 1992-103513	19921022 <--

ZA 9208222	A	19940425	ZA 1992-8222		19921023 <--
FI 9401876	A	19940622	FI 1994-1876		19940422 <--
NO 9401477	A	19940623	NO 1994-1477		19940422 <--
US 5578582	A	19961126	US 1995-435005		19950505 <--
PRIORITY APPLN. INFO.:			WO 1991-DK324	A	19911024
			US 1992-963878	A3	19921020
			WO 1992-DK307	A	19921021

OTHER SOURCE(S): MARPAT 120:54902
GI



I

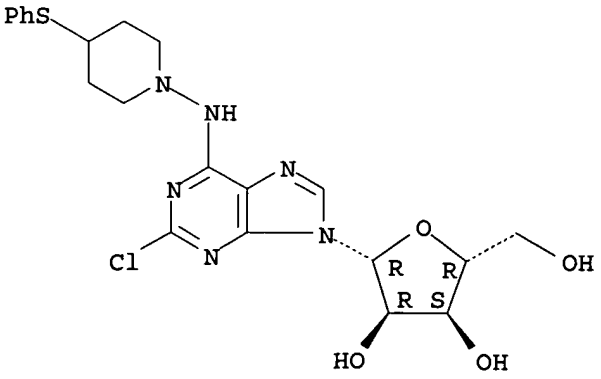
AB Title nucleosides I [R = halo, perhalomethyl, CN, alkoxy, alkylthio, alkylamino; R1 = (un)substituted N-bonded heterocyclics], were prepared as anticonvulsants. Thus, compound I [R = Cl, R1 = (3-phenoxy-1-piperidinyl)] was prepared and tested in mice against clonic convulsions ED50 of 1.0 mg/kg and adenosine agonist binding ratio A2/A1 of 158.

IT 151666-11-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 151666-11-4 CA

CN Adenosine, 2-chloro-N-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

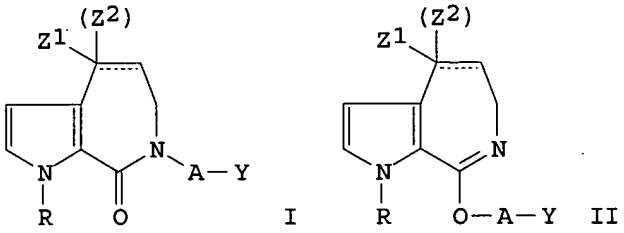


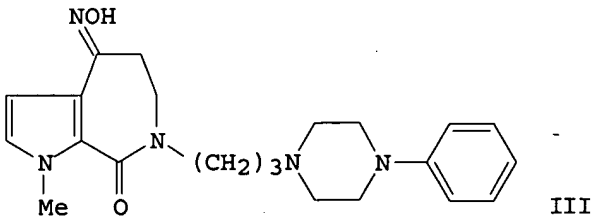
L11 ANSWER 48 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 119:180819 CA

TITLE: Preparation of pyrroloazepines as cardiovascular agents.
INVENTOR(S): Mizuno, Akira; Miya, Mikiko; Inomata, Norio; Tatsuoka, Toshio; Ishihara, Takafumi
PATENT ASSIGNEE(S): Suntory, Ltd., Japan
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9303032	A1	19930218	WO 1992-JP1009	19920806	<--
W: AU, CA, JP, KR, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE					
CA 2093630	A1	19930208	CA 1992-2093630	19920806	<--
CA 2093630	C	20040106			
AU 9224030	A	19930302	AU 1992-24030	19920806	<--
AU 645441	B2	19940113			
EP 557526	A1	19930901	EP 1992-916814	19920806	<--
EP 557526	B1	20030402			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE					
JP 3242653	B2	20011225	JP 1993-503481	19920806	<--
AT 236163	T	20030415	AT 1992-916814	19920806	
ES 2196000	T3	20031216	ES 1992-916814	19920806	
US 5399557	A	19950321	US 1993-30427	19930407	<--

PRIORITY APPLN. INFO.: JP 1991-221192 A 19910807
WO 1992-JP1009 A 19920806
OTHER SOURCE(S): CASREACT 119:180819; MARPAT 119:180819
GI





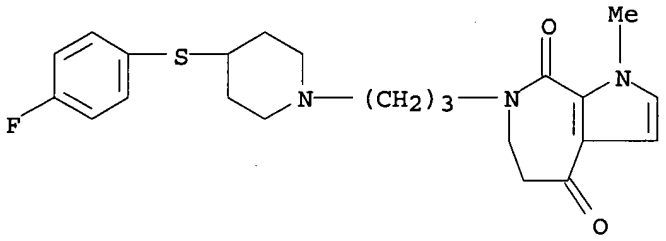
AB The title compds. [I; II; Z1 = H; when the dotted line is not present, Z1 = H, Z2 = OH; Z1Z2 may be O, NOR1; R1 = H, alkyl, (un)substituted aryl, (un)substituted aralkyl; R = alkyl, cycloalkyl, cycloalkylalkyl, (un)substituted aryl, (un)substituted aralkyl; Z = alkylene, alkenylene,

alkynylene; Y = (un)substituted heterocyclyl, (un)substituted amino; A = alkylene, alkenylene, alkynylene] are prepared A mixture of 3-[1-methylpyrrol-2-carboxamido]propionic acid (prepared by amidation of 1-methylpyrrole-2-carboxylic acid with β-alanine benzyl ester followed by hydrolysis) and 80% polyphosphoric acids was heated at 100° for 30 min to give 67% 1-methyl-6,7-dihydropyrrolo[2,3-c]azepine-4,8(1H,5H)-dione, which was further converted into the title compound III. III at 10-7 M showed 80.5% contraction of norepinephrine-induced contraction in marmot arteries.

IT 150159-32-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 150159-32-3 CA

CN Pyrrolo[2,3-c]azepine-4,8(1H,5H)-dione, 7-[3-[4-[(4-fluorophenyl)thio]-1-piperidinyl]propyl]-6,7-dihydro-1-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 49 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 119:72495 CA

TITLE: Preparation of N-(piperidinoalkyl)cycloalkanedicarboxyimide derivatives and analogs as drugs for preventing reperfusion disorder of heart muscle

INVENTOR(S): Takeo, Satoshi; Antoku, Fujio

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04308569	A	19921030	JP 1991-99409	19910403 <--
JP 3219281	B2	20011015		

PRIORITY APPLN. INFO.: JP 1991-99409 19910403

OTHER SOURCE(S): MARPAT 119:72495

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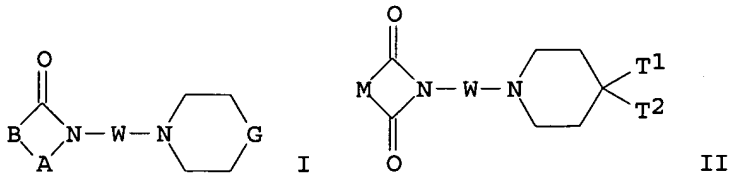
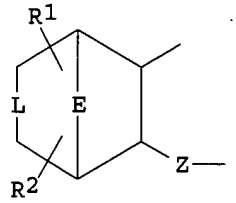
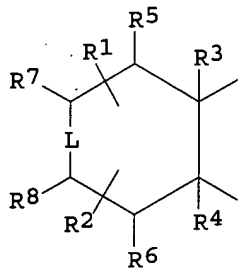
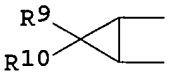


I II

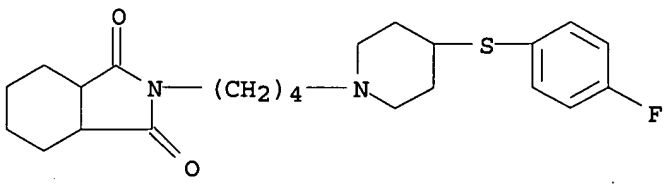
Q=  Q1=  Q2= 

AB The title compds. [I; A = SO, SO2; when A = CO, B = Q - Q2, CH2CR11R12; when A = SO2, B = 1,2-phenylene; R1, R2 = H, or one of R1 and R2 = H and the other = HO, alkyl, alkanoyloxy, or R1R2 = O; E = CH2, CH2CH2; L = single or double bond; when Z = bond, E = CH2, CH2CH2, or O and when Z = CH2, E = CH2 or CH2CH2; R3 - R8 = H, alkyl; R9 - R12 = alkyl; n = 0, 1; W = (un)substituted lower alkylene, alkenylene, alkynylene; G = (un)substituted NH or CH2] and II [M = Q, Q1; T1 = cyano, HO, alkanoyloxy, acyl, H, alkoxy, CO2H, its ester or amide; T2 = (un)substituted Ph or cyclic amino], useful as protectants for ischemic heart muscle, e.g. for preventing heart failure and arrhythmia in reperfusion disorder after treating myocardial infarction, are prepared Thus, a mixture of 1.5 g N-(4-bromobutyl)cyclohexane-1,2-dicarboxyimide, 1 g 4-(p-chlorophenyl)-4-hydroxypiperidine, 719 mg K2CO3, and 15 mL DMF were stirred at 100-110° for 5 h to give after silica gel chromatog. 69.3% N-[4-[4-(4-chlorophenyl)-4-hydroxypiperidino]butyl]cyclohexane-1,2-dicarboxyimide-HCl. A total of 16 title compds. were prepared and 7 N-(piperidinoalkyl)cyclohexanedicarboxyimide derivs. at 100 μg/min in vitro restored the myocardial contractility of ischemic rat hearts by 17-48%.

IT 116364-10-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as protectant for myocardial reperfusion disorder)

RN 116364-10-4 CA

CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[4-[(4-fluorophenyl)thio]-1-piperidinyl]butyl]hexahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L11 ANSWER 50 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 119:8684 CA
TITLE: Preparation of N-(aminoalkyl)piperidines, their enantiomers, and pharmaceutical compositions as neurokinin receptor antagonists
INVENTOR(S): Emonds-Alt, Xavier; Martinez, Serge; Proietto, Vincenzo; Van Broeck, Didier
PATENT ASSIGNEE(S): Elf Sanofi SA, Fr.
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 515240	A1	19921125	EP 1992-401237	19920430	<--
EP 515240	B1	19970924			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE					
FR 2676054	A1	19921106	FR 1991-5486	19910503	<--
FR 2676054	B1	19930903			
NO 9201733	A	19921104	NO 1992-1733	19920430	<--
NO 178572	B	19960115			
NO 178572	C	19960424			
ZA 9203176	A	19930428	ZA 1992-3176	19920430	<--
HU 65273	A2	19940502	HU 1992-1459	19920430	<--
HU 213915	B	19971128			
RU 2089547	C1	19970910	RU 1992-5011510	19920430	<--
AT 158574	T	19971015	AT 1992-401237	19920430	<--
CZ 282919	B6	19971112	CZ 1992-1328	19920430	<--
ES 2109987	T3	19980201	ES 1992-401237	19920430	<--
FI 103041	B	19990415	FI 1992-1950	19920430	<--
FI 103041	B1	19990415			
CA 2067924	A1	19921104	CA 1992-2067924	19920501	<--
CA 2067924	C	20040330			
AU 9215918	A	19921105	AU 1992-15918	19920501	<--
AU 657321	B2	19950309			
IL 101762	A	19961016	IL 1992-101762	19920501	<--
BR 9201655	A	19921215	BR 1992-1655	19920504	<--
US 5411971	A	19950502	US 1992-877734	19920504	<--
JP 05140103	A	19930608	JP 1992-113818	19920506	<--
JP 3108719	B2	20001113			
US 5606065	A	19970225	US 1995-410292	19950324	<--

PRIORITY APPLN. INFO.: FR 1991-5486 A 19910503
US 1992-877734 A3 19920504

OTHER SOURCE(S): MARPAT 119:8684
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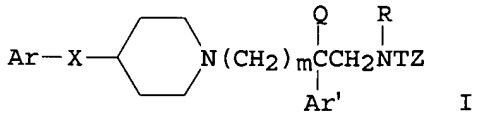
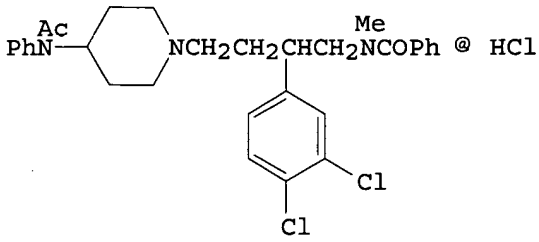


I



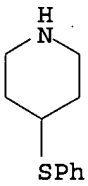
II

AB The preparation of title compds. I [m = 2, 3; Ar = (un)substituted Ph, thienyl, pyridyl, (un)substituted imidazolyl; Ar' = (un)substituted Ph, thienyl, (un)substituted imidazolyl or benzothienyl, (un)substituted naphthyl, biphenyl, (un)substituted indolyl; X = O, S, SO, SO2, NH, NCO-Alk, N-Alk (Alk = C1-3 alkyl), N-Alk1-NX1X2 (Alk1 = C1-3 alkylene; X1, X2 = H, C1-3 alkyl; NX1X2 = pyrrolidino, piperidino, morpholino); Q = H, C1-4 alkyl, specified aminoalkyls; R = H, Me, (CH2)nL {n = 2-6, L = H, amino, CO, C(S)NH, C(O)NH}; T = CO, Z = M or OM; T = C(S)NH, C(O)NH, Z = M, where M = H, linear or branched C1-6 alkyl, α-hydroxybenzyl, α-alkylbenzyl, specified phenylalkyls, pyridylalkyls, naphthylalkyls, pyridylthioalkyls, styryl, specified imidazolylthioalkyls, 1-oxo-3-phenylindan-2-yl, mono- or polysubstituted aromatic or heteroarom.], their salts, isomers, and quaternary ammonium salts are claimed with preparative examples given. The compds. are of interest as neurokinin receptor antagonists. Title compound II antagonized neurokinin A with a Ki = 5.5 nM.

IT 101798-65-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with (aroylamino)mesyloxybutane, in preparation
of neurokinin receptor antagonist)

RN 101798-65-6 CA

CN Piperidine, 4-(phenylthio)- (9CI) (CA INDEX NAME)



L11 ANSWER 51 OF 66 CA COPYRIGHT 2007 ACS on STN

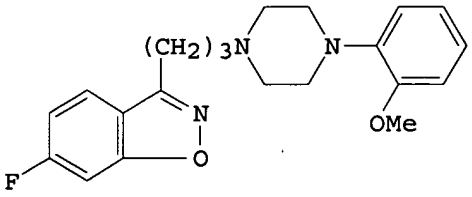
ACCESSION NUMBER: 117:103575 CA

TITLE: 3-Substituted-1,2-benzisoxazoles: novel antipsychotic agents

AUTHOR(S): Davis, Larry; Effland, Richard C.; Klein, Joseph T.; Dunn, Robert W.; Geyer, Harry M., III; Petko, Wayne m.

CORPORATE SOURCE: Chem. Res. Dep., Hoechst-Roussel Pharm. Inc., Sommerville, NJ, 08876, USA

SOURCE: Drug Design and Discovery (1992), 8(3), 225-40
CODEN: DDDIEV; ISSN: 1055-9612
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



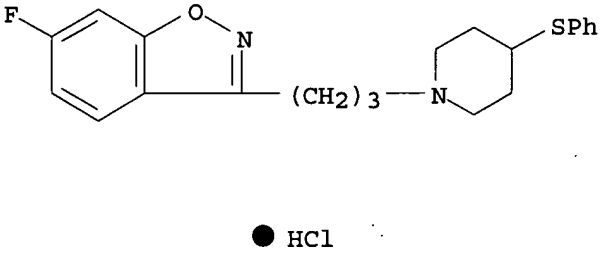
I

AB A series of 3-substituted-6-fluoro-1,2-benzisoxazoles was synthesized and evaluated for potential antipsychotic activity. Many of the compds. displayed potent antipsychotic-like activity in the apomorphine induced climbing in mice (CMA) or spiroperidol binding assays, and HRP 392 (I) was selected for more detailed antipsychotic evaluation in a battery of preclin. assays. I is a potential antipsychotic drug with less propensity for EPS than some standard neuroleptics in monkeys. The compound was advanced for toxicol. evaluation.

IT 88793-02-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antipsychotic activity of)

RN 88793-02-6 CA

CN 1,2-Benzisoxazole, 6-fluoro-3-[3-[4-(phenylthio)-1-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L11 ANSWER 52 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 117:69731 CA

TITLE: Preparation of 4,5,6,7-tetrahydroindole derivatives and their thia or oxa analogs and serotoninergic or dopaminergic receptor antagonists containing them

INVENTOR(S): Imuda, Junichi; Kihara, Noriaki; Mizuchi, Akira; Horigome, Kazutoshi; Awaya, Akira

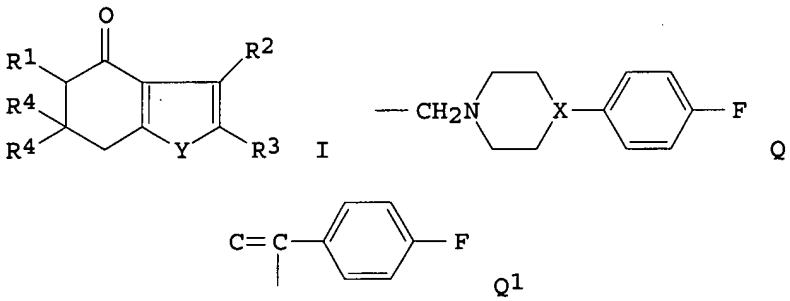
PATENT ASSIGNEE(S): Mitsui Sekiyu Kagaku Kogyo K. K., Japan; Mitsui Seiyaku K. K.

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04054179	A	19920221	JP 1990-162677	19900622 <--
JP 2983257	B2	19991129		

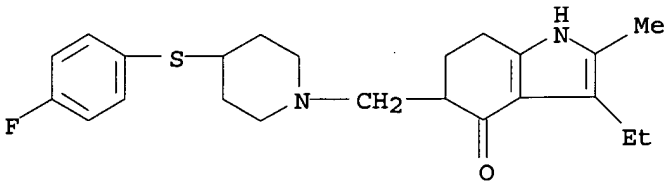
PRIORITY APPLN. INFO.: JP 1990-162677 19900622
OTHER SOURCE(S): MARPAT 117:69731
GI



AB The title derivs. I (one of R1 and R2 = Q and the other = H, lower alkyl, halo; R3 = H, lower alkyl, halo., NO2, CO2H, lower alkylcarbonyl, lower alkoxycarbonyl; R2 and R3 may be bonded to form condensed 6-membered hydrocabon ring; R4 = H, lower alkyl; X = Q1, CHCO, CHS; Y = S, O, NR5; R5 = H, lower alkyl, lower alkylsulfonyl, arylsulfonyl) and pharmaceutical compds. containing I as active ingredients are claimed. I show antagonistic action against serotoninergic receptors and dopaminergic receptors and are useful as psychotropic agents and antihypertensives. A mixture of 4,5,6,7-tetrahydro-2-methyl-3-ethyl-4-oxoindole, 4-[(4-fluorobenzene)thio]-1-piperidine hydrochloride, paraformaldehyde, and EtOH was refluxed for 40 h to give 60% I (R1 = Q, R2 = Et, R3 = Me, R4 = H, X = CHS, Y = NH) (II). A tablet containing I 10, corn starch 55, crystalline cellulose 35, poly(vinylpyrrolidone) 10% aqueous solution 5, CM-cellulose Ca 10, Mg stearate 4, and talc 1 mg was prepared II at 0.1 mL/10 g (as 1 mg/mL solution) i.p. inhibited 24% quipazine-induced head twitch and 33% apomorphine-induced climbing in mice.

IT 142407-78-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotoninergic receptor and dopaminergic receptor antagonist)

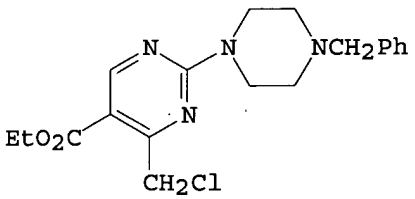
RN 142407-78-1 CA
CN 4H-Indol-4-one, 3-ethyl-5-[[4-[(4-fluorophenyl)thio]-1-piperidinyl]methyl]-1,5,6,7-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



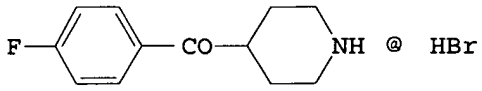
L11 ANSWER 53 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 117:48598 CA
TITLE: Preparation of heterocyclic compounds as psychotropic agents
INVENTOR(S): Imuda, Junichi; Furuya, Yoshiro; Ishitoku, Takeshi; Mizuchi, Akira; Horigome, Kazutoshi; Awaya, Akira
PATENT ASSIGNEE(S): Mitsui Sekiyu Kagaku Kogyo K. K., Japan; Mitsui Seiyaku Kogyo K. K.
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04054181	A	19920221	JP 1990-162676	19900622 <--
JP 3036789	B2	20000424		
PRIORITY APPLN. INFO.:			JP 1990-162676	19900622

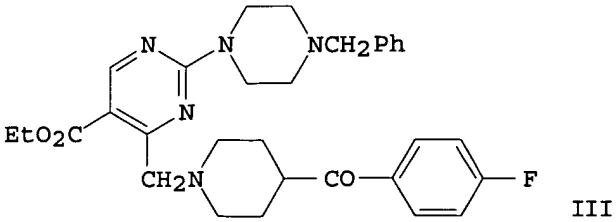
OTHER SOURCE(S): MARPAT 117:48598
GI



I



II



III

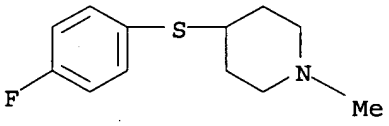
AB Heterocyclic compds. are prepared as serotoninergic and dopaminergic antagonists. Refluxing a mixture of pyrimidine derivative I, piperidine salt

II, and K2CO2 in MeCOCH2CHMe2 gave 80% III, which showed 39% inhibition of dopamineric activity at 1 mg/mL. Also prepared and tested were 16 addnl. heterocyclic compds. Tablet, capsule, and injection formulations were given.

IT 66496-80-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of psychotropic agent)

RN 66496-80-8 CA

CN Piperidine, 4-[(4-fluorophenyl)thio]-1-methyl- (9CI) (CA INDEX NAME)



L11 ANSWER 54 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 116:151794 CA

TITLE: Preparation of [[[(carboximidomethyl)cycloalkyl]methyl]azinyl]arenes as antipsychotics

INVENTOR(S): Saji, Ikutaro; Muto, Masayuki; Tanno, Norihiko; Yoshigi, Mayumi

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 67 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

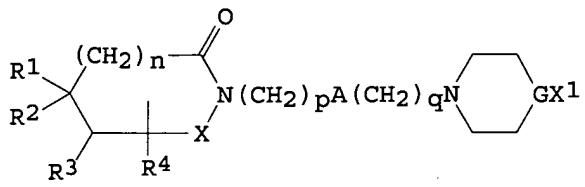
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 464846	A1	19920108	EP 1991-111223	19910705 <--
EP 464846	B1	19980422		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05017440	A	19930126	JP 1991-183640	19910627 <--
JP 2800953	B2	19980921		
CA 2046429	A1	19920107	CA 1991-2046429	19910705 <--
CA 2046429	C	20030916		
AT 165359	T	19980515	AT 1991-111223	19910705 <--
ES 2115599	T3	19980701	ES 1991-111223	19910705 <--
US 5532372	A	19960702	US 1993-113320	19930830 <--
US 5780632	A	19980714	US 1996-634738	19960418 <--

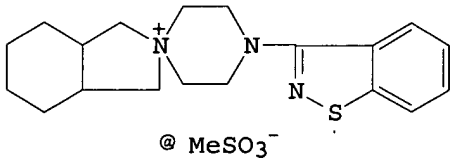
PRIORITY APPLN. INFO.:
JP 1990-180271 A 19900706
US 1991-726172 B1 19910705
US 1993-113320 A3 19930830

OTHER SOURCE(S): CASREACT 116:151794; MARPAT 116:151794

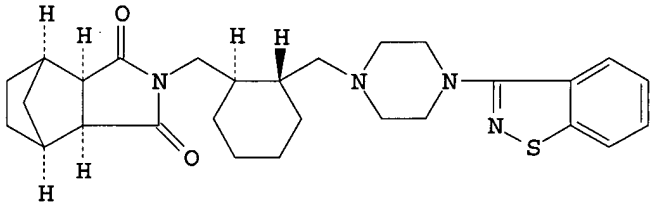
GI



I



@ $MeSO_3^-$ II



@ HCl III

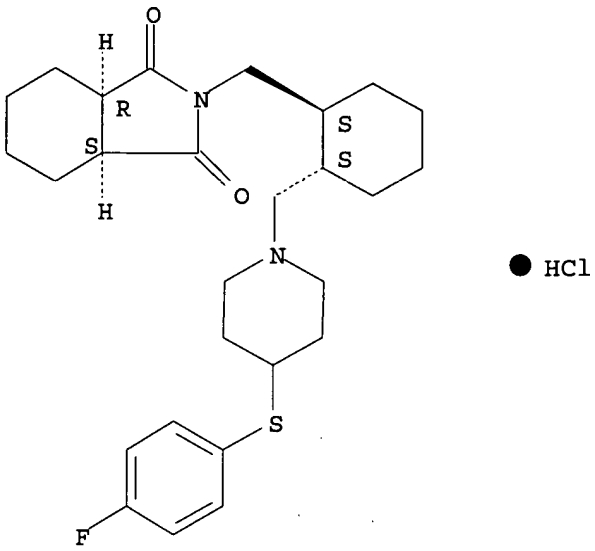
AB Title compds. [I; R1-R4 = H, alkyl; R1R2 = nonarom. hydrocarbylene; R1R3 = (aromatic) (substituted) (bridged) hydrocarbylene; X = CO, SO2; n = 0, 1; A = (substituted) (bridged) nonarom. hydrocarbon ring; p, q = 0-2; X1 = (hetero)aryl, PhCO, PhO, PhS, and G = N, CH, COH; or X1 = biphenylmethylidene, G = C] were prepared Thus, spiro derivative II (preparation from trans-1,2-cyclohexanecarboxylic anhydride given) was refluxed with bicyclo[2.2.1]heptane-2-exo-3-exo-dicarboximide, K2CO3, and dibenzo-18-crown-6 in PhMe to give title compound III. III showed ED50 of 10.3 mg/kg orally for suppression of apomorphine-induced climbing behavior in mice.

IT 139505-64-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antipsychotic)

RN 139505-64-9 CA

CN 1H-Isoindole-1,3(2H)-dione, 2-[[(1R,2R)-2-[[4-[(4-fluorophenyl)thio]-1-piperidinyl]methyl]cyclohexyl]methyl]hexahydro-, monohydrochloride, (3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

L11 ANSWER 55 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 115:232223 CA
TITLE: Preparation of pyrroloazepines as cardiovascular agents
INVENTOR(S): Mizuno, Akira; Cho, Hidetsura; Hamaguchi, Mikiko; Tatsuoka, Toshio; Takafumi, Ishihara
PATENT ASSIGNEE(S): Suntory, Ltd., Japan
SOURCE: Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

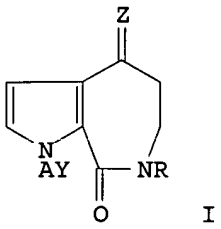
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 441349	A1	19910814	EP 1991-101616	19910206	<--
EP 441349	B1	19960103			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 05097856	A	19930420	JP 1991-27739	19910130	<--
JP 3198117	B2	20010813			
AU 9170806	A	19910808	AU 1991-70806	19910206	<--
AU 642960	B2	19931104			
CA 2035749	A1	19910808	CA 1991-2035749	19910206	<--
CA 2035749	C	20011023			
AT 132497	T	19960115	AT 1991-101616	19910206	<--
ES 2084719	T3	19960516	ES 1991-101616	19910206	<--
KR 229403	B1	19991101	KR 1991-2025	19910206	<--
US 5206239	A	19930427	US 1991-651778	19910207	<--
US 5391731	A	19950221	US 1992-987703	19921209	<--
US 5416082	A	19950516	US 1994-195019	19940214	<--

PRIORITY APPLN. INFO.:

JP 1990-26137	A	19900207
JP 1991-27739	A	19910130
US 1991-651778	A3	19910207
US 1992-987703	A1	19921209

OTHER SOURCE(S): MARPAT 115:232223

GI



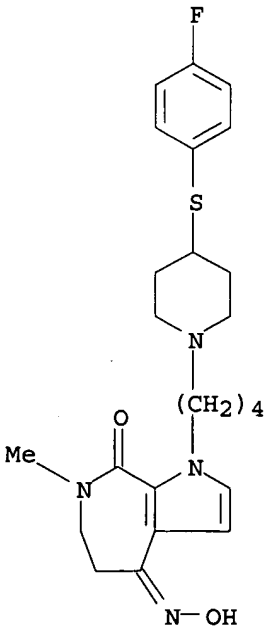
I

AB Title compds. I (R = H, C1-6 alkyl, C7-10 aralkyl; A = C2-10 alkylene, alkenylene, alkynylene, Y = substituted piperidinyl, pyrrolidinyl; Z = O, R1ON, R1 = H, alkyl, aryl, aralkyl, R5CONO; R5 = H, alkyl, aryl, aralkyl) having strong anti-α1 and antiserotonin actions and useful as therapeutics for circulatory diseases, are prepared 1-(4-Chlorobutyl)-4-(hydroxyimino-7-methyl-6,7-dihydropyrrolo[2,3-c]azepine-8(1H,5H)-one [preparation starting from pyrrole-2-carboxylic acid and Et 3-(methylamino)propionate given], 4-(4-fluorobenzoyl)piperidine.HCl, and K2CO3 in DMF were stirred for 14 h at 80° to give I [R = Me, A = (CH2)4, Y = 4-(4-fluorobenzoyl)piperidino, Z = HON:] (II). II at 10-8 M reduced contraction of guinea pig aortal strips induced by norepinephrine to 44.7% of controls.

IT 136976-20-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of circulatory diseases)

RN 136976-20-0 CA

CN Pyrrolo[2,3-c]azepine-4,8(1H,5H)-dione, 1-[4-[4-[(4-fluorophenyl)thio]-1-piperidinyl]butyl]-6,7-dihydro-7-methyl-, 4-oxime (9CI) (CA INDEX NAME)



L11 ANSWER 56 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 115:8584 CA

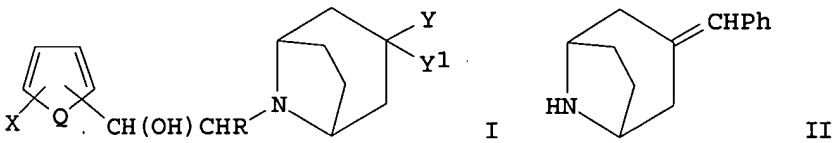
TITLE: Preparation of 2-piperidino-1-alkanol derivatives as antiischemic agents
INVENTOR(S): Chenard, Bertrand Leo
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 398578	A2	19901122	EP 1990-304975	19900509 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
SK 279476	B6	19981104	SK 1990-2328	19890517 <--
CZ 284342	B6	19981014	CZ 1990-2328	19900511 <--
CA 2016860	C	19980728	CA 1990-2016860	19900515 <--
US 5185343	A	19930209	US 1991-784446	19911023 <--
FI 113645	B1	20040531	FI 1991-5403	19911115
US 5272160	A	19931221	US 1992-932844	19920820 <--
US 5338754	A	19940816	US 1993-96913	19930723 <--
US 5391742	A	19950221	US 1994-228466	19940415 <--
US 5710168	A	19980120	US 1994-336639	19941109 <--
US 5527912	A	19960618	US 1995-411030	19950327 <--

PRIORITY APPLN. INFO.:

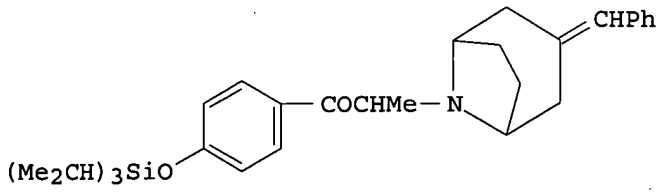
WO 1989-US2176	A	19890517
WO 1990-US292	A	19900116
US 1991-784446	A3	19911023
US 1992-932844	A3	19920820
US 1993-96913	A3	19930723
US 1994-228466	A2	19940415
US 1994-336639	A3	19941109

OTHER SOURCE(S): MARPAT 115:8584
GI



I

II



III

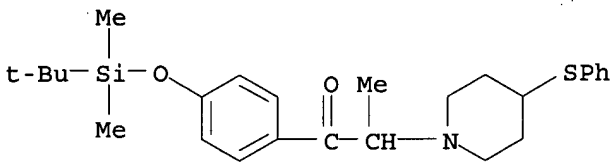
AB The title compds. (I; R = H, alkyl, alkenyl, alkynyl; X = H, OH, aryl; Y = H, OH; Y1 = aryl, aralkyl, arylthio, aryloxy, YY1 = arylmethylene, aralkylmethylene; Q = S, CH:CH), useful as antiischemic agents in treating strokes, Alzheimer's disease, Huntington's disease, and Parkinson's disease (no data), are prepared A mixture of piperidine derivative II, p-(Me2CH)3SiOC6H4COCHBrMe, and Et3N in EtOH was refluxed to give 23% propiophenone III, which was reduced with LiAlH4 to give 89% mixture of (1R*,2S*)- and (1S*,2S*)-I [R = Me, X = 4-(Me2CH)3SiO, YY1 = PhCH, Q =

CH:CH] (IV). Hydrolysis of IV with Bu4N+ F- in THF at room temperature gave the
mixture phenolic alc. (1S*,2S*)- and (1R*,2S*)-I (R = Me, X = 4-HO, YY1 = PhCH, Q = CH:CH). Also prepared were 75 addnl. I and intermediates.

IT 134136-69-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antiischemic agent)

RN 134136-69-9 CA

CN 1-Propanone, 1-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-2-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 57 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 114:6267 CA

TITLE: Pyridonecarboxylic acid antibacterial agents. XV. Synthesis of 7-thio-substituted 4-oxoquinoline-3-carboxylic acids with antibacterial activity

AUTHOR(S): Nishimura, Yoshiro; Hirose, Tohru; Okada, Hidetsugu; Shibamori, Kohichiro; Nakano, Junji; Matsumoto, Junichi

CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, 564, Japan

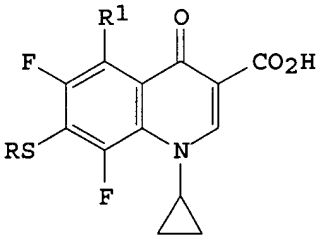
SOURCE: Chemical & Pharmaceutical Bulletin (1990), 38(8), 2190-6
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:6267

GI



I

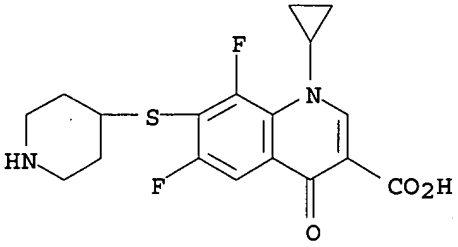
AB A series of C-7 thio-substituted 1-cyclopropyl-1,4-dihydro-4-oxoquinoline-3-carboxylic acids, e.g., I (R = CH2CH2NH2, Me, Et, PhCH2, CH2CH2OH, aryl heteroaryl, R1 = H, F, NH2, OH) were prepared and tested for their antibacterial activity. Structure-activity relationships associated with the C-5 and C-7 substituents were discussed. Among the C-7 substituents including alkylthio, arylthio, heteroarylthio, and cyclic aminothio

groups, a 2-aminoethylthio group was the best for enhancing in vitro antibacterial activity. The C-5 variants increased activity in the order OH < F < H < NH2. Of compds. prepared I (R = CH2CH2NH2, R1 = NH2) was the most active.

IT 124278-06-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

RN 124278-06-4 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-(4-piperidinylthio)- (9CI) (CA INDEX NAME)



L11 ANSWER 58 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 112:178707 CA

TITLE: Preparation of quinoline-3-carboxylic acid derivatives and their pharmaceutical compositions as bactericides

INVENTOR(S): Yasuo, Itoh; Hideo, Kato; Eiichi, Koshinaka; Nobuo, Ogawa; Kazuya, Mitani; Noriyuki, Yagi; Toshihiko, Yoshida; Tomio, Suzuki

PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

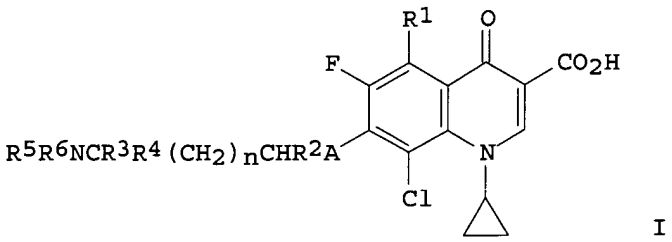
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
EP 339406	A1	19891102	EP 1989-106778		19890415	<--
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE						
JP 02223559	A	19900905	JP 1989-11987		19890123	<--
DK 8901905	A	19891020	DK 1989-1905		19890419	<--

PRIORITY APPLN. INFO.:

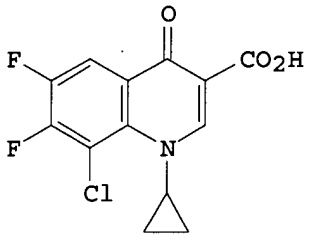
JP 1988-94679	A	19880419
JP 1988-150340	A	19880620
JP 1988-285640	A	19881114
JP 1989-11987	A	19890123

OTHER SOURCE(S): MARPAT 112:178707

GI



I



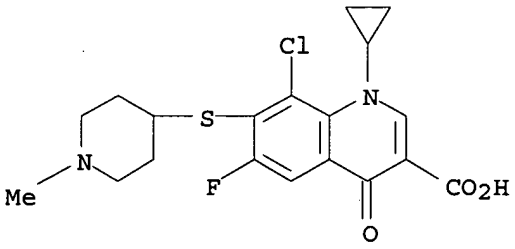
II

AB The title compds. (I; R1 = H, NH2; R2 = H, alkyl, R2R4 = C1-4 alkylene; R3, R4 = H, alkyl, R3R4 = C2-6 alkylene; R5, R6 = H, alkyl, R2R5 = C2-4 alkylene, R3R5N, R5R6N = 5- to 7-membered heterocycle; A = O, S; n = 0-3) and their pharmacol. acceptable salts were prepared NaH (60%) was added to a solution of Me2NCH2CH2OH in DMF with stirring at room temperature, difluoro compound II was added under cooling, and the mixture was stirred at room temperature to give I (R1-R4 = H, R5 = R6 = Me, A = O, n = 0). Addnl. 55 I were prepared, of which some showed MIC of 0.20-0.39 μg/mL against Staphylococcus aureus. Tablet, capsule, granule, injection, and suppository formulations were given.

IT 126496-22-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)

RN 126496-22-8 CA

CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-7-[(1-methyl-4-piperidinyl)thio]-4-oxo- (9CI) (CA INDEX NAME)



L11 ANSWER 59 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 112:20980 CA

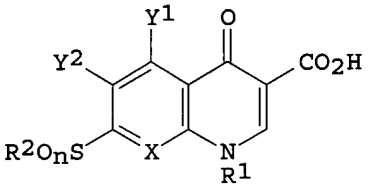
TITLE: Oxonaphthyridine- and oxoquinoline-3-carboxylic acid as microbicides

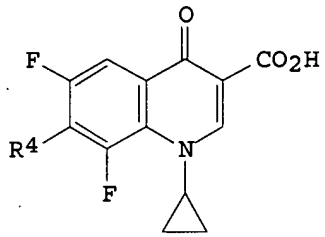
INVENTOR(S): Hirose, Tooru; Nishimura, Yoshio; Okada, Hidetsugu; Nakano, Junji; Matsumoto, Junichi; Nakamura, Shinichi

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01156961	A	19890620	JP 1988-105604	19880428 <--
JP 2640967	B2	19970813		

PRIORITY APPLN. INFO.: JP 1987-108528 A1 19870430
JP 1987-230450 A1 19870914
OTHER SOURCE(S): MARPAT 112:20980
GI


I

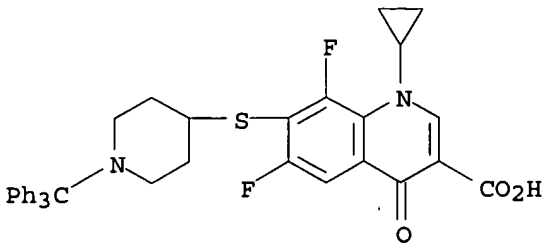

II

AB Title compds. I [X = N, CR3; R3 = H, Cl; Y1 = H, halo, OH, (substituted) NH2; Y2 = H, halo; R1 = alkyl, haloalkyl, alkenyl, cycloalkyl, (substituted) Ph; R2 = H, (mono- or di-substituted) alkyl, alkenyl, Ph, or heterocyclyl; n = 0-2; excluding a combination of X = CH, Y1 = H, Y2 = F, R1 = Et, R2 = H2N(CH2)2, and n = 0] or their salts or esters are prepared as medical and agrochem. microbicides and food preservatives. A mixture of a quinoline II (R4 = F), H2N(CH2)2SH, and Et3N in MeCN was refluxed to give II [R4 = H2N(CH2)2S]. The latter showed a MIC of 0.39 μg/mL against Staphylococcus aureus.

IT 124256-45-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as microbicide)

RN 124256-45-7 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-[[1-(triphenylmethyl)-4-piperidinyl]thio]- (9CI) (CA INDEX NAME)



L11 ANSWER 60 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 111:133993 CA
TITLE: Preparation of piperidines as antiarrhythmic agents

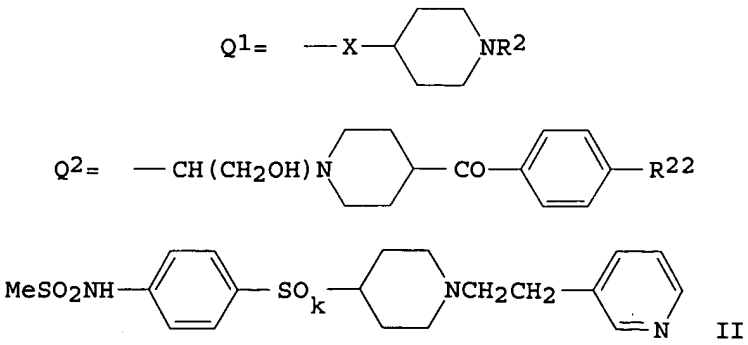
INVENTOR(S): Oinuma, Hitoshi; Yamanaka, Motosuke; Miyake, Kazutoshi; Hoshiko, Tomonori; Minami, Norio; Shoji, Tadao; Daiku, Yoshiharu; Sawada, Kohei; Nomoto, Kenichi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 304888	A1	19890301	EP 1988-113786	19880824	<--
EP 304888	B1	19921111			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
JP 01052756	A	19890228	JP 1987-209726	19870824	<--
JP 2637989	B2	19970806			
JP 01052752	A	19890228	JP 1987-209727	19870824	<--
JP 08019083	B	19960228			
JP 01052717	A	19890228	JP 1987-209728	19870824	<--
JP 2584454	B2	19970226			
US 4977165	A	19901211	US 1988-234468	19880819	<--
NO 8803750	A	19890227	NO 1988-3750	19880822	<--
DK 8804704	A	19890225	DK 1988-4704	19880823	<--
HU 48587	A2	19890628	HU 1988-4430	19880823	<--
HU 207043	B	19930301			
CA 1263658	A1	19891205	CA 1988-575436	19880823	<--
AT 82263	T	19921115	AT 1988-113786	19880824	<--
ES 2045044	T3	19940116	ES 1988-113786	19880824	<--
US 5082850	A	19920121	US 1990-571313	19900822	<--
US 5162347	A	19921110	US 1991-703208	19910520	<--
US 5246946	A	19930921	US 1992-930727	19920814	<--

PRIORITY APPLN. INFO.:

JP 1987-209726	A	19870824
JP 1987-209727	A	19870824
JP 1987-209728	A	19870824
US 1988-234468	A3	19880819
EP 1988-113786	A	19880824
US 1990-571313	A3	19900822
US 1991-703208	A3	19910520

OTHER SOURCE(S): MARPAT 111:133993
GI



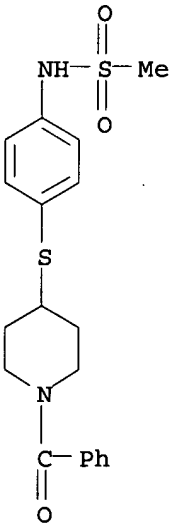
II

AB R1SO2NHC6H4W-4 [I; R1 = alkyl; W = X1(CH2)pNR12Y1, Q1, Q2; R2 = H, (CH2)nY; R12 = H, alkyl; R22 = H, OH, halo, alkyl, alkoxy; X = S, SO, SO2; X1 = CO, CH(OH); Y = aryl, (un)substituted pyridyl; Y1 = (CH2)mA; A = (un)substituted aryl, pyridyl; NR12Y1 = (un)substituted heterocyclyl; m = 1, 2; n = 1-5; p = 1-4] were prepared N-Benzoyl-4-bromopiperidine (preparation given) was stirred 1.5 h at 90° with RSH [R = 4-(MeSO2NH)C6H4] (preparation given) in DMF containing K2CO3 and KI to give, after hydrolysis, RQ1.HCl (R as above, R2 = Bz, X = S) which was stirred 40 min at 85° with NaHCO3, followed by addition of KI and 2-(3-pyridyl)ethyl chloride-HCl and stirring 1.5 h at 85°, to give (phenylthio)(pyridylethyl)piperidine II (k = 0). The latter was stirred 1 h with NaIO4 in MeOH containing aqueous HCl to give II (k = 1) which gave 40% prolongation of action potential duration in isolated guinea pig myocardium at 10-5 M with no Vmax inhibition.

IT 122374-28-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antiarrhythmic agents)

RN 122374-28-1 CA

CN Piperidine, 1-benzoyl-4-[[4-[(methylsulfonyl)amino]phenyl]thio]- (9CI) (CA INDEX NAME)



L11 ANSWER 61 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 111:115198 CA

TITLE: Preparation of pyrimidine derivatives for treatment of neurological disorders

INVENTOR(S): Awaya, Akira; Horikomi, Kazutoshi; Sasaki, Tadayuki; Kobayashi, Hisashi; Mizuchi, Akira; Nakano, Takuo; Tomino, Ikuo; Araki, Shintaro; Takesu, Mitsuyuki; et al.

PATENT ASSIGNEE(S): Mitsui Pharmaceuticals, Inc., Japan; Mitsui Petrochemical Industries, Ltd.

SOURCE: Eur. Pat. Appl., 73 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

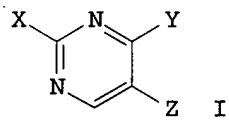
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 305184	A1	19890301	EP 1988-307893	19880825 <--
EP 305184	B1	19940427		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01139572	A	19890601	JP 1988-208190	19880824 <--
JP 2628707	B2	19970709		
CA 1336904	C	19950905	CA 1988-575504	19880824 <--
WO 8901938	A1	19890309	WO 1988-JP845	19880825 <--
W: HU, KR, US				
HU 57211	A2	19911128	HU 1988-5376	19880825 <--
HU 205931	B	19920728		
AT 104980	T	19940515	AT 1988-307893	19880825 <--
CN 1032004	A	19890329	CN 1988-106967	19880826 <--
CN 1025617	B	19940810		
CN 1079742	A	19931222	CN 1993-103112	19930317 <--

PRIORITY APPLN. INFO.:
JP 1987-210170 A 19870826
EP 1988-307893 A 19880825
CN 1988-106967 A 19880826

OTHER SOURCE(S): MARPAT 111:115198
GI



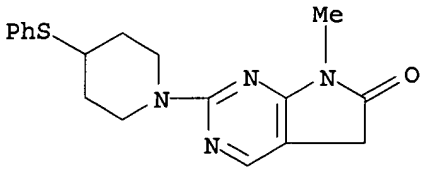
I

AB Title compds. I {X = R1R2N [R1 = H, alkyl; R2 = PhCH2CH2, cyclohexyl, PhCH2, etc.; R1R2N = heterocyclyl (nine structures are given)], R4S (R4 = alkyl); Y = (mono- or dialkyl-substituted) amino; Z = alkoxycarbonylmethyl, alkoxycarbonyl; YZ = NR5COCH2 [R5 = (alkoxy-substituted)alkyl], CH2NR6COCH2 (R6 = alkyl)} are prepared Treatment of I (X = Me2CHNH, Y = OH, Z = CH2CO2Et) with POCl3 gave 74% I (Y = Cl), which in EtOH was autoclaved with 40% MeNH2/MeOH at 120° to afford 35% I (X = Me2CHNH, YZ = NMeCOCH2). A HCl salt of the latter at 30 mM showed 30.5 ± 0.3% (number of cells having neurites with a length at least two times the diameter of cells/total number of cells) in mouse neuro-2a cells, vs. 28.5 ± 3.0% for 10 mM isaxonine and 2.5 ± 0.7% for control. A tablet was formulated containing I 10, corn starch 55, crystalline cellulose 35, polyvinyl pyrrolidone (10% aqueous solution) 5, CM-cellulose Ca 10, Mg stearate 4, and talc 1 mg.

IT 122112-89-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of central and peripheral nerve disorders)

RN 122112-89-4 CA

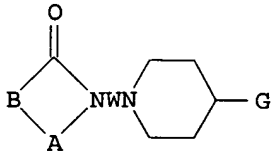
CN 6H-Pyrrolo[2,3-d]pyrimidin-6-one, 5,7-dihydro-7-methyl-2-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 62 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 109:128836 CA
TITLE: Preparation of N-(N-piperidylalkyl)imides as antipsychotic agents
INVENTOR(S): Antoku, Fujio; Yoshigi, Mayumi; Saji, Ikutaro; Kojima, Atsuyuki; Ishizumi, Kikuo
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 261688	A1	19880330	EP 1987-114026	19870925 <--
EP 261688	B1	19920325		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 8778860	A	19880331	AU 1987-78860	19870922 <--
AU 593194	B2	19900201		
JP 63183576	A	19880728	JP 1987-238061	19870922 <--
DK 8705065	A	19880327	DK 1987-5065	19870925 <--
US 4812461	A	19890314	US 1987-100824	19870925 <--
AT 74132	T	19920415	AT 1987-114026	19870925 <--
ES 2031865	T3	19930101	ES 1987-114026	19870925 <--
CA 1329935	C	19940531	CA 1987-547842	19870925 <--
JP 63132887	A	19880604	JP 1987-271410	19871027 <--
US 4948799	A	19900814	US 1989-293440	19890104 <--
AU 8945407	A	19900308	AU 1989-45407	19891121 <--
AU 617902	B2	19911205		

PRIORITY APPLN. INFO.: JP 1986-228795 A 19860926
EP 1987-114026 A 19870925
US 1987-100824 A3 19870925
OTHER SOURCE(S): CASREACT 109:128836; MARPAT 109:128836
GI



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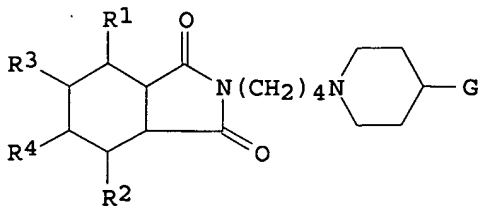


II

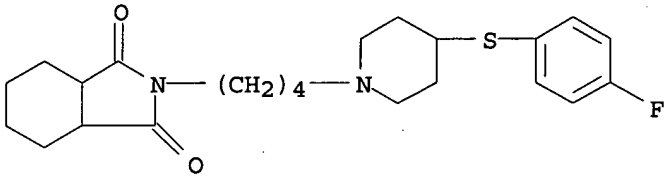
AB The title compds. [I; A = CO, SO; B = alkylene, 1,2-cycloalkylene,

cycloalkylidine, 1,2-phenylene; G = benzisothiazolyl, ZC6H4Y; W = alkylene, alkenylene, alkynylene; Y = O, CO, CH2, S, SO, SO2, CH(OR), C:NOH; R = H, alkyl, alkanoyl; Z = H, halo, alkyl, alkoxy] were prepared Bicyclo[2.2.1]heptane-2,3-dicarboximide and (BrCH2CH2)2 were refluxed 5 h in Me2CO containing K2CO3 and the product heated 3 h with 4-(4-fluorobenzoyl)piperidine (preparation given) in DMF containing Na2CO3 to give II (R1R2 = CH2, R3 = R4 = H, G = 4-FC6H4CO) which had ED50 of 0.12 and 25-50 mg/kg s.c. and orally, resp., for anticlimbing and catalepsy inducing activity, resp., in mice.

IT 116364-10-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antipsychotic agent)

RN 116364-10-4 CA

CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[4-[(4-fluorophenyl)thio]-1-piperidinyl]butyl]hexahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L11 ANSWER 63 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 105:226390 CA

TITLE: 1-[4-(4-Quinolinylamino)benzoyl]piperidines and their hypertensive use

INVENTOR(S): Ueda, Ikuo; Matsuo, Masaaki; Taniguchi, Kiyoshi; Ogahara, Takatomo

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan

SOURCE: Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

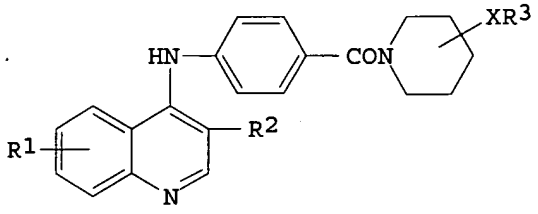
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 191603	A2	19860820	EP 1986-300808	19860206 <--
EP 191603	A3	19870902		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ZA 8600485	A	19860924	ZA 1986-485	19860122 <--
AU 8652707	A	19860814	AU 1986-52707	19860124 <--
US 4735952	A	19880405	US 1986-821974	19860124 <--
FI 8600381	A	19860812	FI 1986-381	19860128 <--
JP 61183283	A	19860815	JP 1986-24698	19860206 <--
CN 86100964	A	19861008	CN 1986-100964	19860208 <--
DK 8600643	A	19860812	DK 1986-643	19860210 <--
NO 8600459	A	19860812	NO 1986-459	19860210 <--
HU 40431	A2	19861228	HU 1986-545	19860210 <--
HU 195804	B	19880728		

ES 551800	A1	19880101	ES 1986-551800		19860210 <--
SU 1450740	A3	19890107	SU 1986-4024094		19860210 <--
ES 557679	A1	19880301	ES 1987-557679		19870817 <--
PRIORITY APPLN. INFO.:			GB 1985-3416	A	19850211
			GB 1985-17675	A	19850712

OTHER SOURCE(S): CASREACT 105:226390; MARPAT 105:226390

GI



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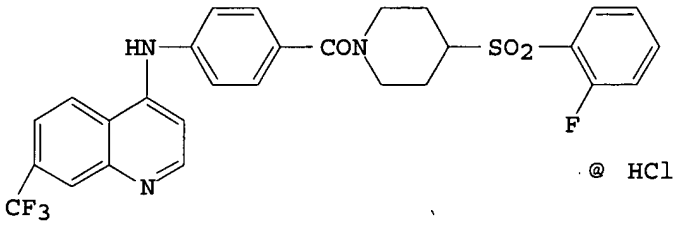


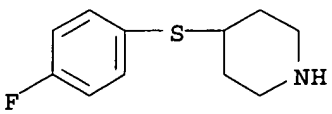
II

AB Title compds. [I; R1 = H, trihalomethyl; R2 = H, protected CO2H; R3 = (halo-substituted) aryl, heterocyclyl; X = S, S(O), S(O)2, O, NH, (hydroxy-substituted) alkylene] are prepared as hypertensives. Thus, 4-[(2-fluorophenyl)sulfonyl]piperidine-HCl, prepared in 4 steps from 2-FC6H4SH and 4-chloro-1-methylpiperidine, reacted with 4-[[7-(trifluoromethyl)-4-quinolinyl]amino]benzoyl chloride-HCl to give title compound II, which was characterized by x-ray diffraction and DTA. At 10 mg/kg orally in hypertensive rats, II gave a 37% decrease in blood pressure.

IT 101798-76-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)

RN 101798-76-9 CA

CN Piperidine, 4-[(4-fluorophenyl)thio]-, hydrochloride (9CI) (CA INDEX NAME)



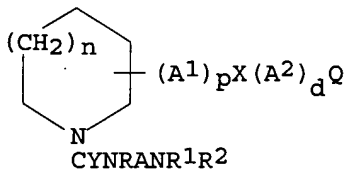
● HCl

L11 ANSWER 64 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 104:186309 CA
TITLE: N-(Amino)alkyl-1-pyrrolidine, 1-piperidine and 1-homopiperidinecarboxamides (and thiocarboxamides) with sulphur linked substitution in the 2, 3 or 4-position
INVENTOR(S): Shanklin, James Robert, Jr.
PATENT ASSIGNEE(S): A. H. Robins Co., Inc., USA
SOURCE: Eur. Pat. Appl., 147 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 160436	A2	19851106	EP 1985-302526	19850410 <--
EP 160436	A3	19880608		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 74140	A	19880531	IL 1985-74140	19850123 <--
AU 8538116	A	19851017	AU 1985-38116	19850125 <--
AU 565886	B2	19871001		
JP 60228454	A	19851113	JP 1985-66382	19850329 <--
CA 1247093	A1	19881220	CA 1985-478539	19850409 <--
US 4593102	A	19860603	US 1985-750156	19850701 <--
US 4642348	A	19870210	US 1985-750180	19850701 <--
CA 1256866	A2	19890704	CA 1988-569484	19880614 <--

PRIORITY APPLN. INFO.: US 1984-598582 A 19840410
CA 1985-478539 A3 19850409
OTHER SOURCE(S): CASREACT 104:186309; MARPAT 104:186309
GI

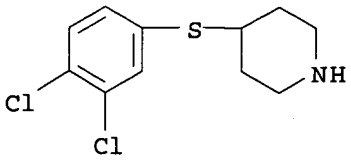


I

AB The title compds. I (R, R1, R2 = H, C1-8 alkyl, Ph, C1-9 cycloalkyl, C7-14 phenylalkyl or NR1R2 = (un)substituted heterocyclyl; A, A1, A2 = C1-8 alkylene; Q = naphthyl, heterocyclyl, (un)substituted Ph; X = S, SO, SO2; Y = O or S; n = 0-2; d, p = 0 or 1) and their salts useful as antiarrhythmic agents were prepared Thus, 1,1'-carbonyldiimidazole and Et2NCH2CH2NH2 in THF were stirred at room temperature for 1 h, to this was added 3-(phenylsulfonyl)piperidine and refluxed for 22 h to give 58% N-[2-(diethylamino)ethyl]-3-(phenylsulfonyl)-1-piperidinecarboxamide which was converted to the oxalate sale (1:1) (II). In ouabain-induced arrhythmia in dogs, II was effective i.v. at 3-7 mg/kg. A tablet formulation contained I 10.0, cornstarch, kelacid, and keltose each 20.0, and Mg starch 1.3 mg/tablet.

IT 101798-71-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to hydrobromide salt)

RN 101798-71-4 CA
CN Piperidine, 4-[(3,4-dichlorophenyl)thio]- (9CI) (CA INDEX NAME)

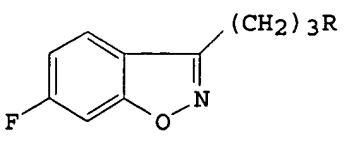


L11 ANSWER 65 OF 66 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 100:85679 CA
TITLE: 6-Fluoro-3-[3-(1-heterocyclo)propyl]-1,2-benzisoxazoles, pharmaceutical compositions thereof and their use as medicaments
INVENTOR(S): Davis, Larry; Klein, Joseph Thomas
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA
SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 91512	A2	19831019	EP 1982-109802	19821023 <--
EP 91512	A3	19841212		
EP 91512	B1	19880511		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4458075	A	19840703	US 1982-366245	19820409 <--
IL 66868	A	19870731	IL 1982-66868	19820924 <--
FI 8203434	A	19831010	FI 1982-3434	19821008 <--
AT 34172	T	19880515	AT 1982-109802	19821023 <--
ZA 8207814	A	19830831	ZA 1982-7814	19821026 <--
ES 516828	A1	19830916	ES 1982-516828	19821026 <--
DK 8204745	A	19831010	DK 1982-4745	19821026 <--
NO 8203557	A	19831010	NO 1982-3557	19821026 <--
AU 8289777	A	19831013	AU 1982-89777	19821026 <--
AU 560513	B2	19870409		
JP 58177981	A	19831018	JP 1982-186908	19821026 <--
HU 29187	A2	19840130	HU 1982-3416	19821026 <--
HU 191076	B	19870128		
CA 1189858	A1	19850702	CA 1982-414158	19821026 <--
US 4524209	A	19850618	US 1984-603255	19840423 <--
US 4591586	A	19860527	US 1984-602791	19840423 <--
US 4598152	A	19860701	US 1984-602781	19840423 <--

PRIORITY APPLN. INFO.: US 1982-366245 A 19820409
EP 1982-109802 A 19821023

OTHER SOURCE(S): CASREACT 100:85679; MARPAT 100:85679
GI



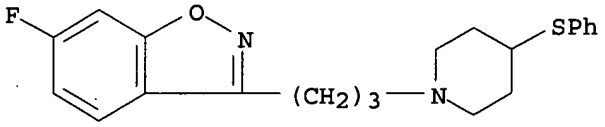
I

AB Antipsychotic, antihypertensive, and analgesic title compds I (R = N-containing heterocyclic) were prepared Thus I (R = Cl) was treated with pyrrolidine to give I (R = pyrrolidinyl)(II). II had an ED50 of 1.2 mg/kg against phenyl-p-quinone induced writhing in mice.

IT 88793-02-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, analgesic, and antipsychotic activity of)

RN 88793-02-6 CA

CN 1,2-Benzisoxazole, 6-fluoro-3-[3-[4-(phenylthio)-1-piperidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L11 ANSWER 66 OF 66 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 89:6187 CA

TITLE: Psychoactive agents. Part V. Synthesis and CNS depressant activity of some pyridyl and piperidyl ethers

AUTHOR(S): Arya, V. P.; David, J.; Grewal, R. S.; Marathe, S. B.; Patil, S. D.; Shenoy, S. J.

CORPORATE SOURCE: Res. Cent., Ciba-Geigy, Bombay, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(12), 1125-8
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 89:6187

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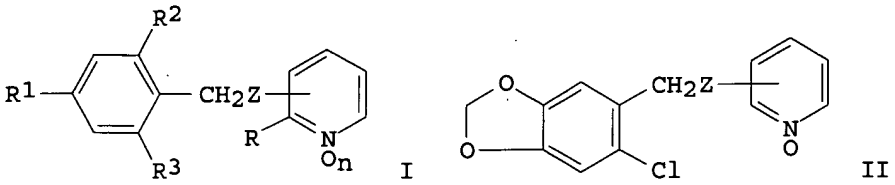
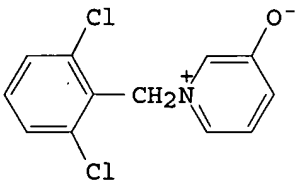
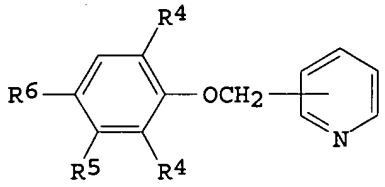


I

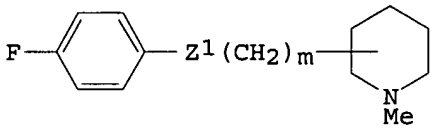
II



III



IV



V

AB Pyridyl and piperidyl ethers related to Viloxazine were prepared Pyridyl ethers I (R = H, R1 = F, R2 = R3 = H, 3-, 4-yl, R = R1 = H, R2 = R3 = Cl, 2-, 3-, 4-yl, R = NO2, R1 = H, R2 = R3 = Cl, 3-yl, n = 0, R = R1 = H, R2 = R3 = Cl, 3-yl, n = 1, Z = O); thioether I (R = R1 = H, R2 = R3 = Cl, 2-yl, n = 1, Z = S); ether II (Z = O, 3-yl), and thio ether II (Z = S, 2-yl), useful as nervous system depressants and sedatives, were prepared by alkylating hydroxy- or mercaptopyridines or -pyridine oxides with 4,2,6-R1R2R3C6H2CH2Cl or 6-chloropiperonyl chloride. 2,6-Cl2C6H3CH2Cl and 3-pyridinol gave predominantly betaine III. Picolyl ethers IV (R4 = Cl, OMe, 2-, 3-, 4-yl, R4 = Me, 2-yl, R5 = R6 = H; R4 = R5 = H, R6 = F, 2-, 3-yl; R4 = R6 = H, R5 = F, 3-yl) were prepared by alkylation of phenols 2,6,3,4-R24R5R6C6HOH with picolyl chlorides. Similarly prepared were pipecolyl ethers V (Z1 = O, m = 1, 3-yl) and thio ethers V (Z1 = S, m = O, 4-yl, m = 1, 3-yl). Depressant activity for several title compds. was given.

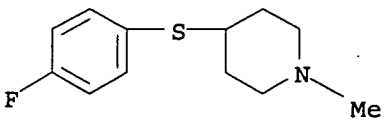
IT 66496-81-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 66496-81-9 CA

CN Piperidine, 4-[(4-fluorophenyl)thio]-1-methyl-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

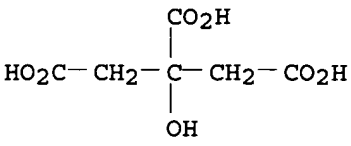
CM 1

CRN 66496-80-8
CMF C12 H16 F N S



CM 2

CRN 77-92-9
CMF C6 H8 O7



=> d ibib abs fhitstr 1-45

L12 ANSWER 1 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:184491 CA
TITLE: Preparation of Benzothiazole Heteroaromatic Derivatives as Inhibitors of Stearoyl-Coenzyme A δ-9 Desaturase
INVENTOR(S): Black, Cameron; Deschenes, Denis; Gagnon, Marc; Lachance, Nicolas; Leblanc, Yves; Leger, Serge; Li, Chun Sing; Oballa, Renata M.
PATENT ASSIGNEE(S): Merck Frosst Canada Ltd., Can.
SOURCE: PCT Int. Appl., 108pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007009236	A1	20070125	WO 2006-CA1175	20060718

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-700798P P 20050720
US 2005-738435P P 20051121

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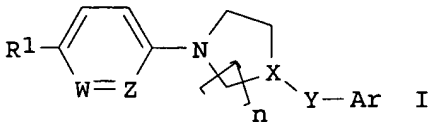

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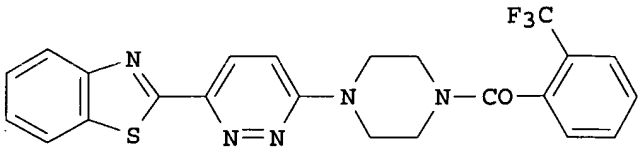


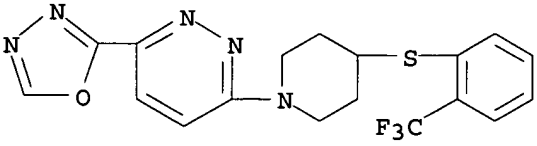
II

AB Benzothiazole heteroarom. derivs. I, wherein R1 can be a Ph, naphthyl or heteroarom. ring; n is 1, 2 or 3; W and Z are independently CH or N, provided that at least one of W or Z is N; X-Y is an amide, sulfonamide, (un)substituted amine, (un)substituted alkane; and Ar can be (un)substituted Ph, benzyl, naphthyl or heteroaryl groups are prepared as selective inhibitors of stearoyl-CoA δ-9 desaturase (SCD1) relative to other known stearoyl-CoA desaturases. Thus, II was prepared and tested an in vitro inhibitor of SCD1 (no data). Further, I are useful for the prevention and treatment of conditions related to abnormal lipid synthesis and metabolism, including cardiovascular disease atherosclerosis, lipid disorders, obesity, diabetes, neurol. disease, metabolic syndrome, insulin resistance, and fatty liver disease.

IT 921607-07-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazole heteroarom. derivs. as inhibitors of stearoyl-CoA delta-9 desaturase)

RN 921607-07-0 CA

CN Pyridazine, 3-(1,3,4-oxadiazol-2-yl)-6-[4-[[2-(trifluoromethyl)phenyl]thio]-1-piperidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:163136 CA
TITLE: Preparation of heteroarylbenzylpiperazines as GPR38 receptor agonists
INVENTOR(S): MacDonald, Gregor James; Stanway, Steven James; Thompson, Mervyn; Westaway, Susan Marie
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 93pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

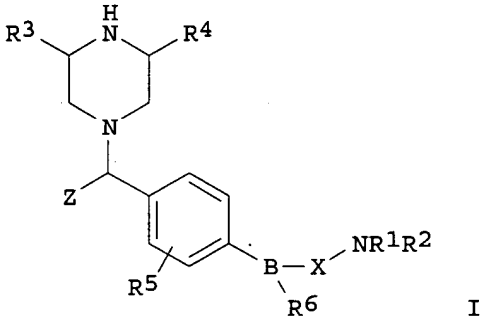
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007007018	A1	20070118	WO 2005-GB2731	20050712

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2005-GB2731 20050712

GI



I

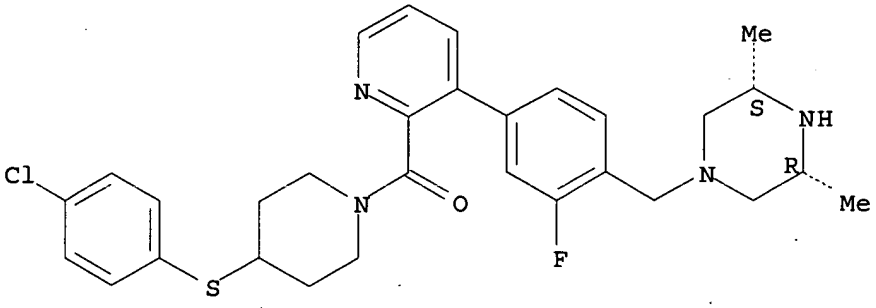
AB Title compds. [I; X = CH2, CO, SO2; R1 = alkyl; R2 = YR7; R1R2N = (substituted) 4-7 membered heterocyclyl; R3, R4, Z = H, alkyl; R5 = H, halo, alkoxy; R6 = H, halo, alkoxy; Y = CO(CH2)n, SO2(CH2)n, (CH2)n, (CH2)nA, CO(CH2)nA, SO2(CH2)nA; n = 1-4; A = O, S, CO, SO2, NH, NHCO, alkylimino; B = 5-6 membered heteroaryl], were prepared Thus, 4-[2-[[4-[(4-fluorophenyl)methyl]-1-piperidinyl]carbonyl]-3-pyridinyl]benzaldehyde, (2R,6S)-2,6-dimethylpiperazine, and NaBH(OAc)3 were stirred together in CH2Cl2 for 1 day to give (3R,5S)-3,5-dimethyl-1-[[4-[2-[[4-[(4-fluorophenyl)methyl]piperidin-1-yl]carbonyl]pyridin-3-yl]phenyl]methyl]piperazine. The latter showed pEC50 >6.0 in a GPR38 FLIPR functional agonist assay.

IT 920510-57-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of heteroarylbenzylpiperazines as GPR38 agonists)

RN 920510-57-2 CA

CN Methanone, [4-[(4-chlorophenyl)thio]-1-piperidinyl][3-[4-[[(3R,5S)-3,5-dimethyl-1-piperazinyl]methyl]-3-fluorophenyl]-2-pyridinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

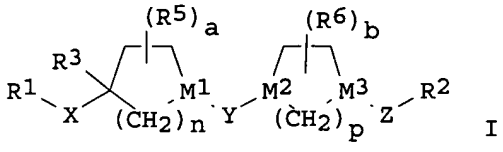


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

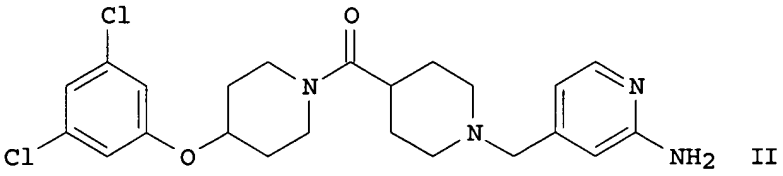
L12 ANSWER 3 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 146:121827 CA
TITLE: Piperidine derivatives useful as histamine H3 antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Aslanian, Robert G.; Berlin, Michael Y.; Boyce, Christopher W.; Chao, Jianhua; De Lera Ruiz, Manuel; Mangiaracina, Pietro; McCormick, Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.; Shih, Neng-Yang; Solomon, Daniel M.; Tom, Wing C.; Vaccaro, Henry A.; Zheng, Junying; Zhu, Xiaohong
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 119pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007001975	A1	20070104	WO 2006-US23800	20060619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2007015807	A1	20070118	US 2006-455625	20060619
PRIORITY APPLN. INFO.:			US 2005-692110P P	20050620

OTHER SOURCE(S): MARPAT 146:121827
GI



I



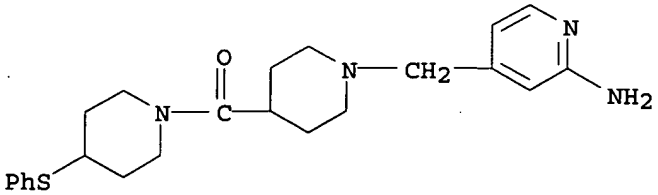
II

AB Disclosed are novel compds. of the formula I or a pharmaceutically acceptable salt thereof; compns. and methods of treating allergy-induced airway responses, congestions, obesity, metabolic syndrome, alc. fatty liver disease, hepatic steatosis, nonalcoholic steatohepatitis, cirrhosis, hepatacellular carcinoma and cognitive deficit disorders, using said compds., alone or in combination with other agents. Compds. of formula I wherein M1 and M3 are independently CH and N; M2 is CH, CF and N; Y is CO, CS, C1-5 alkyl, C-NOH and derivs., and SO1-2; X is NH and derivs., aminoalkyl, alkylamino, , C0-3 alkyl, etc.; Z is bond, (un)substituted C1-6 alkyl, (un)substituted alkoxy, (un)substituted alkylamino, etc.; R1 is H, (un)substituted alkyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl, etc.; R2 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (hetero)aryl, and (un)substituted (hetero)cycloalkyl; R3 is H, alkyl, (un)substituted (hetero)aryl, (un)substituted (hetero)cycloalkyl, and CONH2; R5 and R6 are independently halo, alkyl, OH, alkoxy, haloalkyl, CN, etc.; a and b are independently 0, 1 and 2; n and p are independently 1, 2 and 3; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by etherification ot N-Boc-piperidin-4-ol with 3,5-dichlorophenol; the resulting N-Boc-4-(3,5-dichlorophenoxy) underwent hydrolysis to give 4-(3,5-dichlorophenoxy)piperidine, which underwent amidation with N-[2-(tert-butoxycarbonylamino)pyridin-4-ylmethyl]piperidine-4-carboxylic acid lithium salt; the resulting amide underwent hydrolysis to give compound II. All the invention compds. were evaluated for their histamine antagonistic activity (data given).

IT 918532-05-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine derivs. as histamine H3 antagonists useful in treatment of diseases)

RN 918532-05-5 CA

CN Methanone, [1-[(2-amino-4-pyridinyl)methyl]-4-piperidinyl][4-(phenylthio)-1-piperidinyl]- (CA INDEX NAME)

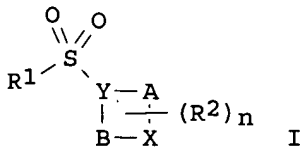


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:419173 CA
TITLE: Arylsulfonylpiperazines and related compounds as hydroxysteroid dehydrogenase inhibitors and their preparation and pharmaceutical compositions
INVENTOR(S): Aertgeerts, Kathleen; Brennan, Nancy, K.; Cao, Sheldon, X.; Chang, Edcon; Kiryanov, Andre, A.; Liu, Yan
PATENT ASSIGNEE(S): Takeda San Diego, Inc., USA
SOURCE: PCT Int. Appl., 199pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006105127	A2	20061005	WO 2006-US11347	20060328
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006223829	A1	20061005	US 2006-392297	20060328
PRIORITY APPLN. INFO.:			US 2005-667297P P	20050331

OTHER SOURCE(S): MARPAT 145:419173
GI



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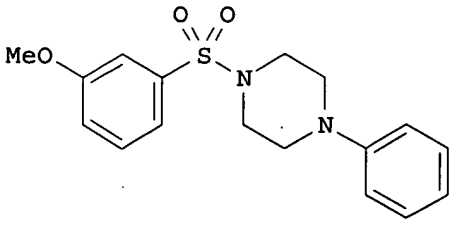


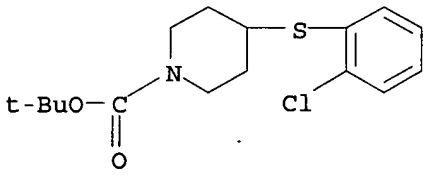
II

AB Compds. of formula I, pharmaceutical compns., kits and methods are provided for use with hydroxysteroid dehydrogenases that comprise a compound selected from the group consisting of: formula I. Compds. of formula I wherein A and B are independently CH2, CH2CH2, and CH2CH2CH2; n is an integer 0 - 10; X is NH and derivs., and CR4R5; Y is N and CR10; R1 is (un)substituted C3-12 (hetero)cycloalkyl, (un)substituted C9-12 (hetero)bicycloalkyl, (un)substituted (hetero)aryl, (un)substituted C9-12 bicycloaryl, and (un)substituted C4-12 heterobicycloaryl; R2 is H, NO2, CN, S, OH, alkoxy, (hetero)aryloxy, carbonyl, amino, etc.; R4 is halo, NO2, CN, S, OH, alkoxy, (hetero)aryloxy, carbonyl, amino, etc.; R5 is H, halo, CN, NO2, S, OH, alkoxy, (hetero)aryloxy, CO, amino, etc.; R10 NO2, CN, S, OH, alkoxy, (hetero)aryloxy, CO, amino, etc.; are claimed. Example compound II was prepared by sulfonylation of 1-phenylpiperazine with 3-methoxybenzenesulfonyl chloride. All the invention compds. were evaluated for their hydroxysteroid dehydrogenase inhibitory activity.

IT 911643-98-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of arylsulfonylpiperazines and related compds. as hydroxysteroid dehydrogenase inhibitors)

RN 911643-98-6 CA

CN 1-Piperidinecarboxylic acid, 4-[(2-chlorophenyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 5 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 145:271810 CA

TITLE: Preparation of pyridyl non-aromatic nitrogenated heterocyclic-1-carboxylate ester derivatives as FAAH inhibitors

INVENTOR(S): Ishii, Takahiro; Sugane, Takashi; Maeda, Jun; Narazaki, Fumie; Kakefuda, Akio; Sato, Kentaro; Takahashi, Tatsuhisa; Kanayama, Takatoshi; Saitoh, Chikashi; Suzuki, Jotaro; Kanai, Chisato

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 180pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006088075	A1	20060824	WO 2006-JP302698	20060216

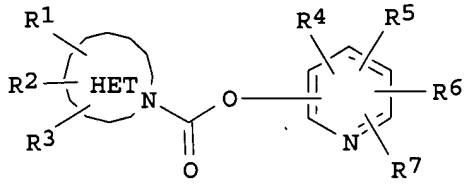
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,

SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-40197 A 20050217
JP 2005-303065 A 20051018

OTHER SOURCE(S): MARPAT 145:271810

GI



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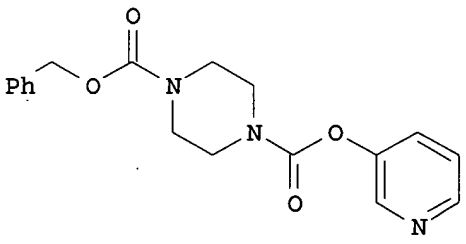


II

AB Title compds. I [HET = non-aromatic nitrogenated heterocycle; R1-R3 = H, OH, cyano, etc.; R4-R7 = H, halo, OH, etc.] and their pharmaceutically acceptable salts were prepared For example, reaction of 3-pyridyl 1-piperazinecarboxylate·2HCl with benzyl chloroformate followed by treatment with p-toluenesulfonic acid afforded compound II p-toluenesulfonic acid salt. In fatty acid amide hydrolase (FAAH) inhibition assays using human bladder epithelial cancer-derived cell, compound II p-toluenesulfonic acid salt exhibited the IC50 value of 0.093 nM. Compds. I are claimed useful for the treatment of increased urinary frequency, incontinence, etc.

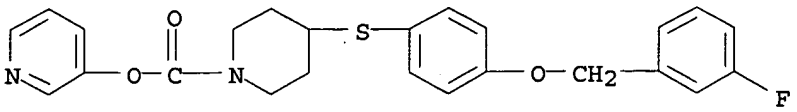
IT 906736-04-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridyl non-aromatic nitrogenated heterocyclic-1-carboxylate ester derivs. as FAAH inhibitors)

RN 906736-04-7 CA

CN 1-Piperidinecarboxylic acid, 4-[[4-[(3-fluorophenyl)methoxy]phenyl]thio]-, 3-pyridinyl ester, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

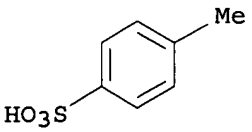
CM 1

CRN 906736-03-6
CMF C24 H23 F N2 O3 S



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



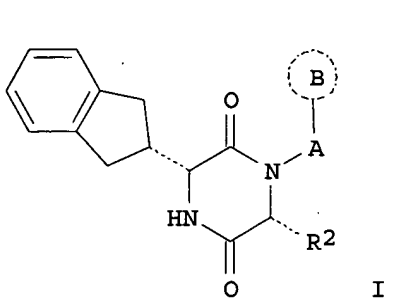
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:103719 CA
TITLE: Preparation of 1,6-disubstituted-(3R,6R)-3-(2,3-dihydro-1H-inden-2-yl)-2,5-piperazinedione derivatives as oxytocin receptor antagonists for the treatment of pre-term labor, dysmenorrhea and endometriosis
INVENTOR(S): Leach, Colin Andrew; Liddle, John; Peace, Simon; Philp, Joanne; Smith, Ian Edward David; Terrell, Lamont Roscoe; Zhang, Jing
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067462	A1	20060629	WO 2005-GB5007	20051222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2004-28235 A 20041223
OTHER SOURCE(S): MARPAT 145:103719
GI



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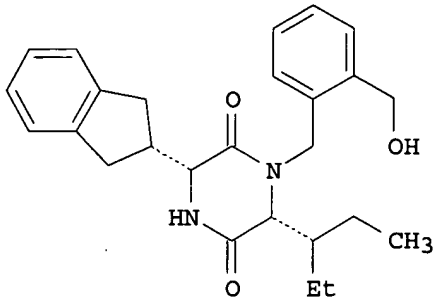


II

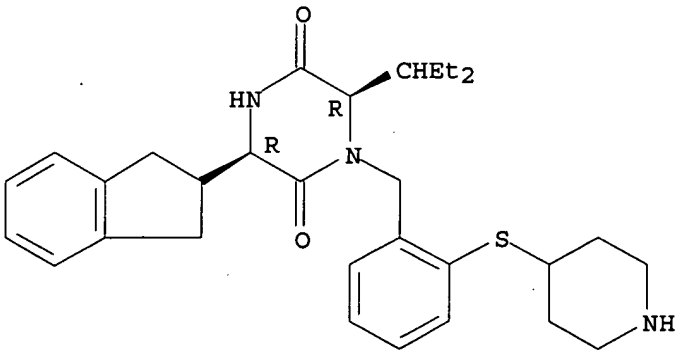
AB Title compds. I [wherein A = (un)substituted alkylene; ring B = (un)substituted O/N/S-containing mono/bi/tricyclic (hetero)aryl; R2 = (un)substituted (cyclo)alkyl or phenyl] and physiol. acceptable derivs. thereof were prepared as oxytocin receptor antagonists. For instance, four-component condensation of [2-(aminomethyl)phenyl]methanol, 2-ethylbutanal, (2R)-2,3-dihydro-1H-inden-2-yl[[[(1,1-dimethylethyl)oxy]carbonyl]amino]ethanoic acid, and 4-chlorophenyl isonitrile followed by deprotection/intramol. cyclocondensation in the presence of acetyl chloride in methanol gave diketopiperazine II. About 230 examples of I were tested and found to have antagonistic affinity at human oxytocin-1 receptors with pKi values of ≥ 6.9 in a FLIPR assay or/and ≥ 7.5 in a fluorescence polarization assay, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment or prevention of diseases mediated through the action of oxytocin, including pre-term labor, dysmenorrhea and endometriosis.

IT 894781-13-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dihydroindenyl piperazinediones as oxytocin receptor antagonists for treatment of pre-term labor, dysmenorrhea and endometriosis)

RN 894781-13-6 CA

CN 2,5-Piperazinedione, 3-(2,3-dihydro-1H-inden-2-yl)-6-(1-ethylpropyl)-1-[[2-(4-piperidinylthio)phenyl]methyl]-, (3R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

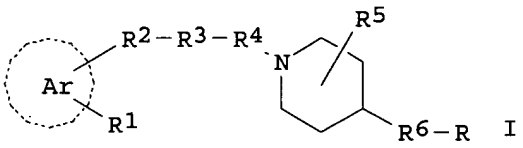


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:103563 CA
TITLE: Preparation of piperidine derivatives as antagonists of the CC chemokine receptor CCR1 and their use as anti-inflammatory agents
INVENTOR(S): Arnaiz, Damian O.; Chou, You-Ling; Kochanny, Monica J.; Lee, Wheeseong; Lu, Shou-Fu; Mengel, Anne; Phillips, Gary; Wei, Guo Ping; Yu, Hongyi
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066948	A1	20060629	WO 2005-EP13938	20051220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006167044	A1	20060727	US 2005-305322	20051219
PRIORITY APPLN. INFO.:			US 2004-638033P P	20041220

OTHER SOURCE(S): MARPAT 145:103563
GI



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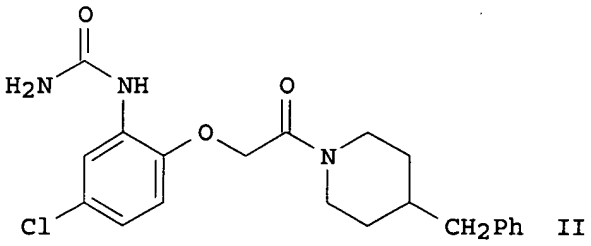


II

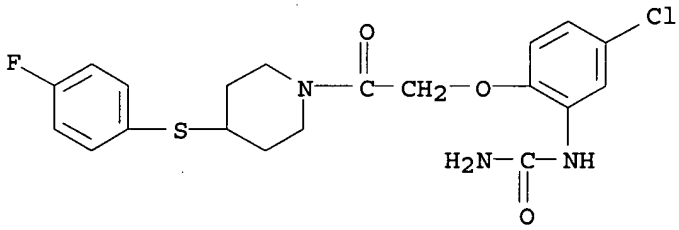
AB Title compds. represented by the formula I [wherein Ar = Ph, pyridinyl,

(iso)quinolinyl; R1 = H, halo, (cyclo)alkyl, etc.; R2 = a bond, O, S, N(R8), N(R8)C(O) or C(R9)2; R3 = (un)substituted alkylene or alkenylene; R4 = CO, OCO, CS, CH2 or a bond; R5 = independently H, oxo, (halo)alkyl, etc.; R6 = CO, CS, C(R9)2, etc.; R8 = independently H, halo, (cyclo)alkyl, etc.; R9 = independently H, (halo)alkyl, aryl, etc.; R = (un)substituted Ph or 2-thienyl; and enantiomers, diastereomers, tautomers, salts, solvates and radiolabeled analogs thereof] were prepared as CC chemokine receptor CCR1 antagonists. For example, II was provided in a multi-step synthesis starting from 1-(5-chloro-2-hydroxyphenyl)urea. I and their pharmaceutical compns. are useful for the treatment of inflammatory disorders, such as multiple sclerosis, leukoencephalopathy, and etc.

IT 894769-70-1P, 1-[5-Chloro-2-[2-[4-[(4-fluorophenyl)thio]-1-piperidinyl]-2-oxoethoxy]phenyl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidine derivs. as antagonists of CC chemokine receptor CCR1 and their use as anti-inflammatory agents)

RN 894769-70-1 CA

CN Piperidine, 1-[[2-[(aminocarbonyl)amino]-4-chlorophenoxy]acetyl]-4-[(4-fluorophenyl)thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 145:20398 CA
TITLE: Discovery of a Piperidine-4-carboxamide CCR5 Antagonist (TAK-220) with Highly Potent Anti-HIV-1 Activity
AUTHOR(S): Imamura, Shinichi; Ichikawa, Takashi; Nishikawa, Youichi; Kanzaki, Naoyuki; Takashima, Katsunori; Niwa, Shinichi; Iizawa, Yuji; Baba, Masanori; Sugihara, Yoshihiro
CORPORATE SOURCE: Pharmaceutical Research Division, Takeda Pharmaceutical Company Limited, 2-17-85 Jusohonmachi, Yodogawa-ku, Osaka, 532-8686, Japan
SOURCE: Journal of Medicinal Chemistry (2006), 49(9), 2784-2793
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

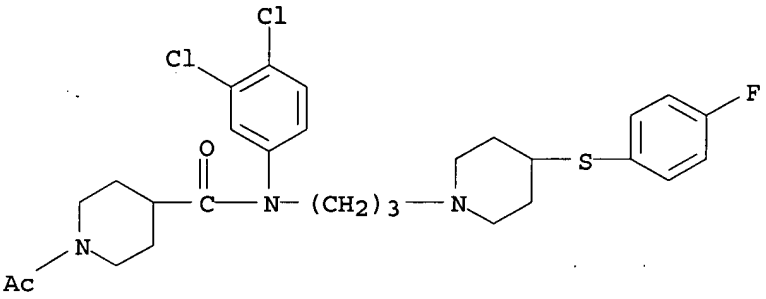
AB We incorporated various polar groups into previously described piperidine-4-carboxamide CCR5 antagonists to improve their metabolic stability in human hepatic microsomes. Introducing a carbamoyl group into the Ph ring of the 4-benzylpiperidine moiety afforded the less lipophilic compound 5f, which possessed both high metabolic stability and good inhibitory activity of HIV-1 envelope-mediated membrane fusion (IC50 = 5.8 nM). Further optimization to increase potency led to the discovery of

1-acetyl-N-{3-[4-(4-carbamoylbenzyl)piperidin-1-yl]propyl}-N-(3-chloro-4-methylphenyl)piperidine-4-carboxamide (5m, TAK-220), which showed high CCR5 binding affinity (IC50 = 3.5 nM) and potent inhibition of membrane fusion (IC50 = 0.42 nM), as well as good metabolic stability. Compound 5m strongly inhibited the replication of CCR5-using HIV-1 clin. isolates in human peripheral blood mononuclear cells (mean EC50 = 1.1 nM, EC90 = 13 nM) and exhibited a good pharmacokinetic profile in monkeys (BA = 29%). This compound has been chosen as a clin. candidate for further development.

IT 333991-84-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Discovery of a Piperidine-4-carboxamide CCR5 Antagonist with Highly Potent Anti-HIV-1 Activity)

RN 333991-84-7 CA

CN 4-Piperidinecarboxamide, 1-acetyl-N-(3,4-dichlorophenyl)-N-[3-[4-[(4-fluorophenyl)thio]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:324123 CA

TITLE: Affinity prediction on A1 adenosine receptor agonists: The chemometric approach

AUTHOR(S): Fossa, Paola; Mosti, Luisa; Bondavalli, Francesco; Schenone, Silvia; Ranise, Angelo; Casolino, Chiara; Forina, Michele

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita degli Studi di Genova, Genoa, I-16132, Italy

SOURCE: Bioorganic & Medicinal Chemistry (2006), 14(5), 1348-1363
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In this paper, we are presenting a quant.-structure-activity relationship (QSAR) study performed on 21 selective A1 adenosine receptor agonists plus the endogenous substrate, adenosine, so as to identify those predictors which play a key role in describing the binding of the ligand with the A1 receptor. A large number of mol. descriptors plus a calculated receptor-agonist binding energy and atomic charges were taken into account to derive different QSAR models, using different regression techniques. The results obtained both with linear and nonlinear approaches converge to the selection of the same informative parameters, highlighting the correlation of these descriptors with the biol. Response. The evaluation a priori' of these

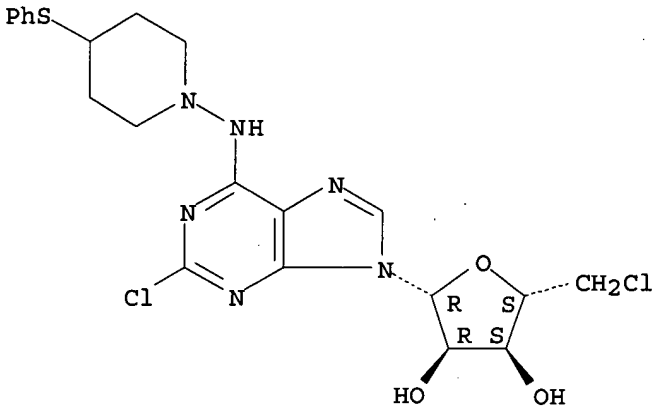
predictors could therefore represent a useful tool in the screening of large libraries of compds. and in the rational design of new selective agonists.

IT 169190-51-6, NNC 210147
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(affinity prediction on A1 adenosine receptor agonists)

RN 169190-51-6 CA

CN Adenosine, 2,5'-dichloro-5'-deoxy-N-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:22949 CA

TITLE: Preparation of 2,3-dihydro-6-nitroimidazo[2,1-b]oxazoles as antibacterial agents

INVENTOR(S): Tsubochi, Hidetsugu; Sasaki, Hirofumi; Kuroda, Hideaki; Itotani, Motohiro; Hasegawa, Takeshi; Haraguchi, Yoshikazu; Kuroda, Takeshi; Matsuzaki, Takayuki; Tai, Kuninori; Komatsu, Makoto; Matsumoto, Makoto; Hashizume, Hiroyuki; Tomishige, Tatsuo; Seike, Yuji; Kawasaki, Masanori; Sumida, Takumi; Miyamura, Shin; Oguro, Kinue; Tanaka, Kazuho; Takemura, Isao

PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 1050 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

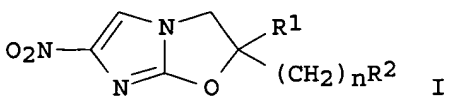
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2005330266	A	20051202	JP 2005-113726		20050411
PRIORITY APPLN. INFO.:			JP 2004-114975	A	20040409
			JP 2004-125055	A	20040421

OTHER SOURCE(S): MARPAT 144:22949

GI



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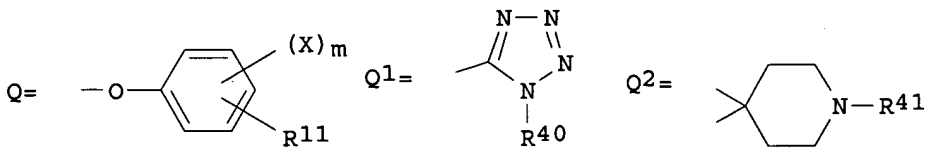
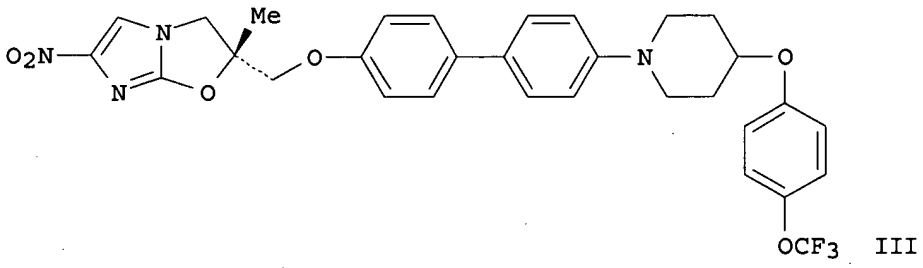




III

AB The title compds. [I; wherein R1 = H, C1-6 alkyl; n = an integer of 0-6; R2 = OR3, SR5, CO2R6, O2CNR7R8, Q, NR19R20, Q1; wherein R3 = H, C1-6 alkoxy, C1-6 alkoxy-C1-6 alkyl, (un)substituted phenyl-C1-6 alkoxy, biphenylyl-C1-6 alkoxy, phenyl-C2-6 alkenyl, C1-6 alkylsulfonyl, etc.; R5 = tetrazolyl or phenyltetrazolyl optionally substituted by halo or C1-6 alkyl on phenyl; R6 = C1-6 alkyl; R7, R8 = H, C1-8 alkyl, halo-C1-6 alkyl, C1-6 alkoxycarbonyl-C1-6 alkyl, C3-8 cycloalkyl, phenyl-C1-6 alkyl, Ph, naphthyl, pyridyl, etc.; X = halo, amino-C1-6 alkyl, C1-6 alkylamino-C1-6 alkyl; R11 = H, C1-6 alkyl, halo-C1-6 alkyl, C1-6 alkoxy, halo-C1-6 alkoxy, etc.; m = an integer of 0-3; R40 = C1-6 alkyl, Ph, halophenyl; or R1 and -(CH2)nR2 may be united via a nitrogen atom to form together with the adjacent carbon atom a spiro ring represented by the general formula Q2; wherein R41 = H, C1-6 alkyl, phenyl-C1-6 alkyl, biphenylyl-C1-6 alkyl, (un)substituted Ph, etc.] or optical isomers thereof or pharmacol. acceptable salts thereof are prepared These compds. exhibit excellent bactericidal activity against Tubercle bacillus, multiple drug resistant T. bacillus, and atypical acid-fast bacteria, and are useful as antitubercular agents. Thus, 0.43 g (S)-1-(2-chloro-4-nitroimidazol-1-yl)-2-methyl-3-[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]propan-2-ol and 0.22 g 2-chloro-4-nitro-1H-imidazole were suspended in 4 mL MeCN, treated with 0.17 g NaHCO3, and refluxed for 9 h to give 31% (S)-1-(2-chloro-4-nitroimidazol-1-yl)-2-methyl-3-[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]propan-1-ol which (5.85 g) was dissolved in 150 mL THF, treated with 0.66 g NaH under ice-cooling and refluxed for 6 h to give 48% (S)-2-[[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]methyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole (II). II and compound (III) showed min. inhibitory concentration of 0.024 and 0.0015 μg/mL, resp., against Mycobacterium tuberculosis H37Rv.

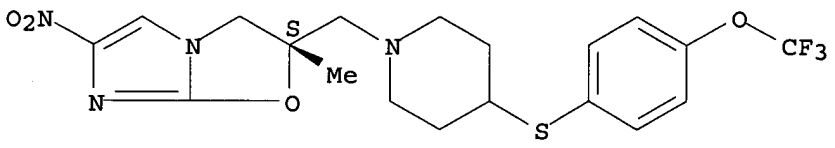
IT 681493-63-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3-dihydro-6-nitroimidazo[2,1-b]oxazoles as antibacterial agents and antitubercular agents)

RN 681493-63-0 CA

CN Imidazo[2,1-b]oxazole, 2,3-dihydro-2-methyl-6-nitro-2-[[4-[[4-(trifluoromethoxy)phenyl]thio]-1-piperidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 11 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 143:405931 CA

TITLE: Preparation of benzotriazine inhibitors of kinases

INVENTOR(S): Noronha, Glenn; Barrett, Kathy; Cao, Jianguo; Gritzen, Colleen; Gong, Xianchang; Hood, John; Mak, Chi Ching; Mcpherson, Andrew; Pathak, Ved Prakash; Renick, Joel; Soll, Richard; Splittgerber, Ute; Wrasidlo, Wolfgang; Zeng, Binqi; Zhao, Ningning; Dneprovskaia, Elena

PATENT ASSIGNEE(S): Targegen, Inc., USA

SOURCE: PCT Int. Appl., 375 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005096784	A2	20051020	WO 2005-US12057		20050407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005231507	A1	20051020	AU 2005-231507		20050407
CA 2567574	A1	20051020	CA 2005-2567574		20050407
US 2005245524	A1	20051103	US 2005-102405		20050407
PRIORITY APPLN. INFO.:			US 2004-561237P	P	20040408
			US 2005-643439P	P	20050112
			WO 2005-US12057	W	20050407

OTHER SOURCE(S): MARPAT 143:405931

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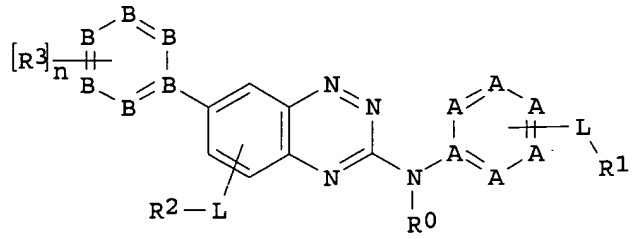

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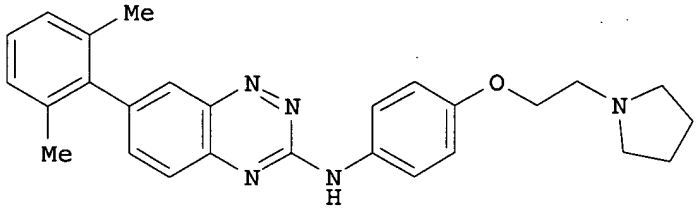


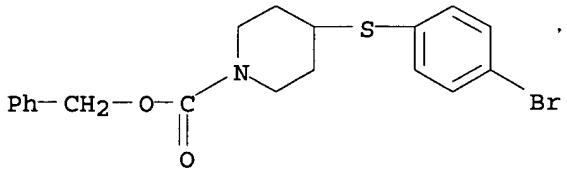
II

AB The title compds. I [each of A and each of B = CH0-1, N, NH, O, S; R0 = H, alkyl; L = a bond, alkyl, alkenyl, alkynyl; R1 = hydroxy, alkoxy, (un)substituted NH2, etc.; R2 = Me, Et, OH, etc.; R3 = H, alkyl, alkoxy, etc.; n = 0-5; with provisions] which are capable of inhibiting kinases, such as members of the Src kinase family, and various other specific receptor and non-receptor kinases, were prepared E.g., a multi-step synthesis of II, starting from 7-bromobenzo[1,2,4]triazin-3-ylamine-1-oxide and 2,6-dimethylphenylboronic acid, was given. II possesses an IC50 value of 15 nM for Src kinase. Pharmaceutical compns. comprising the compound I are disclosed.

IT 867331-40-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzotriazines as kinase inhibitors for treating a disorder associated with compromised vasculostasis)

RN 867331-40-6 CA

CN 1-Piperidinecarboxylic acid, 4-[(4-bromophenyl)thio]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 12 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 143:386926 CA

TITLE: Preparation of N-(2-pyridyl)cyclic amine derivatives as pest control agents

INVENTOR(S): Hamamoto, Isami; Takahashi, Jun; Yano, Makio; Hanai, Daisuke; Iwasa, Takao

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan

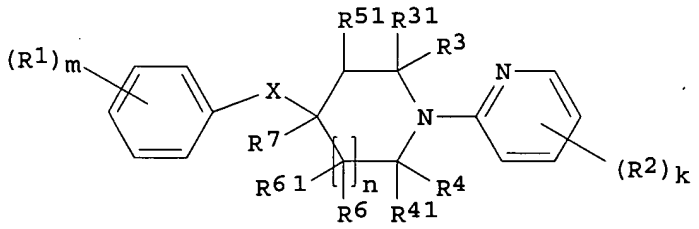
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095380	A1	20051013	WO 2005-JP6887	20050330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005228289	A1	20051013	AU 2005-228289	20050330
EP 1731518	A1	20061213	EP 2005-728646	20050330
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				

PRIORITY APPLN. INFO.:
JP 2004-106668 A 20040331
JP 2004-374007 A 20041224
WO 2005-JP6887 W 20050330

OTHER SOURCE(S): MARPAT 143:386926
GI



I

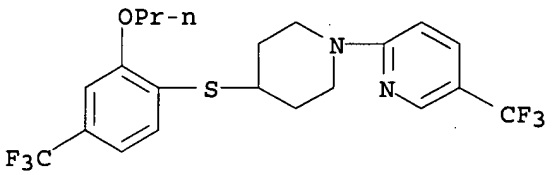
AB The title compds. (I) [R1 = HO, halo, cyano, NO2, CHO, each (un)substituted C1-6 alkyl, C1-6 alkoxy, NH2, or 5- or 6-membered heterocyclyl containing at least one heteroatom selected from O, N, and S, C2-6 alkenyl, C2-6 alkynyl, C1-6 haloalkyl, C1-6 haloalkenyl, C1-6 alkylcarbonyl, C1-6 haloalkoxy, C2-6 alkenyloxy, C2-6 haloalkenyloxy, C2-6 alkynyloxy, C1-6 alkylcarbonyloxy, C1-6 alkoxycarbonyloxy, C1-6 alkylthiocarbonyloxy, C1-6 alkylthio, C1-6 haloalkylthio, C1-6 alkylsulfinyl, C1-6 haloalkylsulfinyl, C1-6 alkylsulfonyl, etc.; m = 0-5; R2 = halo, NO2, C1-6 alkyl, C1-6 alkoxy, C1-6 haloalkyl, (un)substituted 5- or 6-membered heterocyclyl containing at least one heteroatom selected from O, N, and S; k = 0-4; R3, R31 R4, R41, R5, R51, R6, R61, R7 = H, C1-6 alkyl, C1-6 alkoxycarbonyl, C1-6 alkoxy; or R3 and R4 or R5 and R6 together form a saturated ring; X = O, S, S(O), S(O)2;n = 0, 1], salts, or N-oxide thereof are prepared Thus, a solution of 3.0 g 4-hydroxypiperidine and 5.4 g 2-chloro-5-trifluoromethylpyridine in 25 mL ethanol was treated with 4.5 g Et3N and refluxed overnight to give 5.98 g 1-[5-(Trifluoromethyl)pyridin-2-yl]piperidin-4-ol (II). A solution of II 4.9, 5-hydroxy-2-nitrobenzotrifluoride 3.2, and Ph3P 5.6 g in 30 mL THF was

treated dropwise with a solution of 4.3 g diisopropyl azodicarboxylate in 30 mL THF under ice-cooling, warmed to room temperature, and stirred for 3 h to give 5.98 g 4-[4-Nitro-3-(trifluoromethyl)phenoxy]-1-[5-(trifluoromethyl)-2-pyridyl]-piperidine (III). A solution of 5.7 g III in 300 mL ethanol was treated with 18.8 g zinc powder and 1.9 g CaCl2.2H2O and refluxed overnight to give 5.4 g 4-[4-Amino-3-(trifluoromethyl)phenoxy]-1-[5-(trifluoromethyl)-2-pyridyl]-piperidine (IV). IV at 125 ppm controlled 100% adult Tetranychus urticae on kidney bean leaf.

IT 866615-42-1P, 4-[2-Propoxy-4-(trifluoromethyl)phenylsulfanyl]-1-[5-(trifluoromethyl)-2-pyridyl]piperidine
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(2-pyridyl)cyclic amine derivs. as pesticides such as insecticides and miticides)

RN 866615-42-1 CA

CN Pyridine, 2-[4-[[2-propoxy-4-(trifluoromethyl)phenyl]thio]-1-piperidinyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 142:212366 CA

TITLE: Fibrosis inhibitors containing pyridine derivatives and their use for drugs to prevent progression of cirrhosis, chronic pancreatitis, and/or pulmonary hypertension

INVENTOR(S): Katsuramaki, Tadashi; Hirata, Koichi

PATENT ASSIGNEE(S): Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005041837	A	20050217	JP 2003-279360	20030724
JP 3700854	B2	20050928		

PRIORITY APPLN. INFO.: JP 2003-279360 20030724

OTHER SOURCE(S): MARPAT 142:212366

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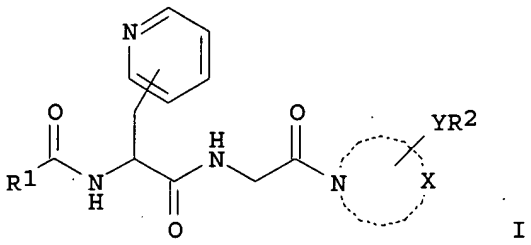


I

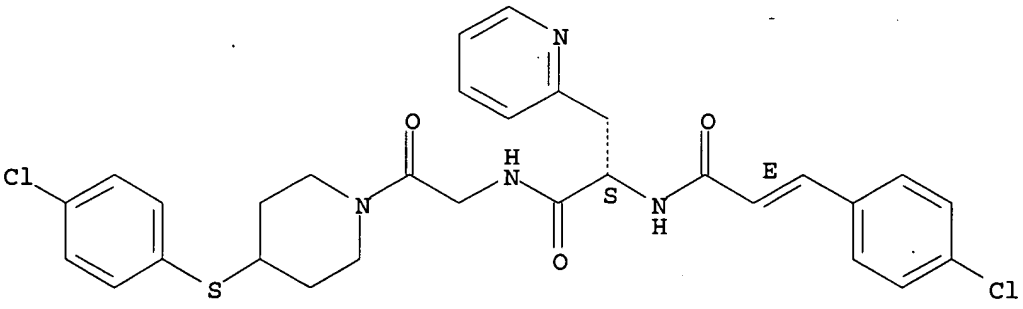
AB Fibrosis inhibitors, which inhibit fibrosis in liver, pancreas, lung, etc., induced by increase in TGFβ1 or activation of Kupffer cells, contain pyridine derivs. I [X = (CH2)5, (CH2)4, (CH2)3; R1 = halobenzofuranyl, halostyryl; R2 = C1-6 (halo)alkyl, heterocyclyl which may be substituted with ≥1 C1-6 (halo)alkyl, (halo)alkoxy, or halo, aryl which may be substituted with C1-6 (halo)alkyl, alkoxy, or halo; Y = O, S, SO2] or their pharmacol. acceptable salts. Thus, (2E)-3-(4-chlorophenyl)-N-[(1S)-2-oxo-2-[[2-oxo-2-[4-[[6-(trifluoromethyl)-4-pyrimidinyl]oxy]-1-piperidinyl]ethyl]amino]-1-(2-pyridylmethyl)ethyl]-2-propenamide (preparation given) significantly suppressed inflammatory cell infiltration and fibrosis in thioacetamide-induced cirrhotic rats.

IT 442199-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine derivs. as fibrosis inhibitors for treatment of cirrhosis, chronic pancreatitis, and pulmonary hypertension)

RN 442199-03-3 CA

CN 2-Pyridinepropanamide, α-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-[4-[(4-chlorophenyl)thio]-1-piperidinyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L12 ANSWER 14 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:93701 CA
TITLE: Novel aza-ring derivatives and their use as monoamine neurotransmitter re-uptake inhibitors
INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet Ostergaard; Scheel-Krueger, Jorgen
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: PCT Int. Appl., 24 pp.

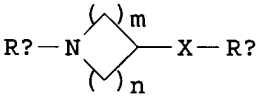
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113297	A2	20041229	WO 2004-EP51166	20040618
WO 2004113297	A9	20051124		
WO 2004113297	A3	20060119		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1638939	A2	20060329	EP 2004-741836	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 2007021404	A1	20070125	US 2005-561986	20051222

PRIORITY APPLN. INFO.:

DK 2003-941	A	20030624
US 2003-482565P	P	20030626
WO 2004-EP51166	W	20040618

OTHER SOURCE(S): MARPAT 142:93701
GI



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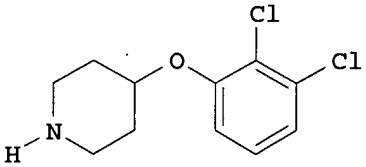


II

AB The invention relates to novel aza-ring derivs. useful as monoamine neurotransmitter reuptake inhibitors. Other aspects of the invention relate to the use of these compds. in a method of therapy, and to pharmaceutical compns. comprising the compds. In particular, compds. I are claimed, including any isomers, mixts. of isomers, or pharmaceutically acceptable salts [wherein: Ra = H or alkyl; m = 0-2; n = 1-5; with the proviso that the sum of m and n equals 2-5; X = O, S, or NRc; Rc = H, alkyl, C(O)Rd or SO2Rd; Rd = H or alkyl; Rb = aryl or heteroaryl, both optionally substituted with one or more of halo, CF3, CF3O, cyano, OH, amino, nitro, alkoxy, cycloalkoxy, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, and alkynyl]. I were tested for their ability to inhibit reuptake of the monoamines dopamine, noradrenaline, and serotonin in synaptosomes. Preferred compds. showed biol. activity in the submicromolar and micromolar range, i.e., from below 1 to 100 μM. Use in the treatment of a wide variety of CNS disorderes is claimed. In a preferred embodiment, I are considered useful for the treatment,

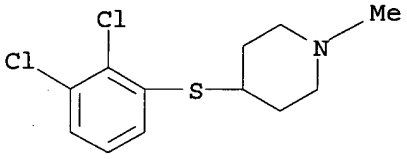
prevention, or alleviation of depression. Over 50 examples of I free bases and salts were prepared and/or claimed. For instance, 4-hydroxypiperidine was treated with NaHCO3 and Boc2O to give the N-Boc derivative (100%), which underwent Mitsunobu etherification with 2,3-dichlorophenol (70%) and deprotection with HCl in AcOH (81%) to give II.HCl.

IT 817186-89-3P, 4-(2,3-Dichlorothiophenoxy)-1-methylpiperidine fumarate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aza-ring derivs. as monoamine neurotransmitter reuptake inhibitors)

RN 817186-89-3 CA
CN Piperidine, 4-[(2,3-dichlorophenyl)thio]-1-methyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

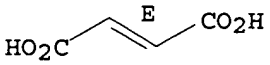
CRN 817186-88-2
CMF C12 H15 Cl2 N S



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



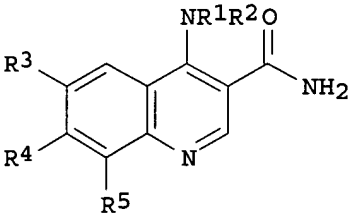
L12 ANSWER 15 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 142:23205 CA
TITLE: Preparation of quinoline derivatives as phosphodiesterase inhibitors
INVENTOR(S): Baldwin, Ian Robert; Barker, Michael David; Dean, Anthony William; Eldred, Colin David; Evans, Brian; Gough, Sharon Lisa; Guntrip, Stephen Barry; Hamblin, Julie Nicole; Holman, Stuart; Jones, Paul; Lindvall, Mika Kristian; Lunniss, Christopher James; Redfern, Tracy Jane; Redgrave, Alison Judith; Robinson, John Edward; Woodrow, Michael
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004103998	A1	20041202	WO 2004-EP5494		20040519
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004240759	A1	20041202	AU 2004-240759		20040519
CA 2526228	A1	20041202	CA 2004-2526228		20040519
EP 1633748	A1	20060315	EP 2004-733799		20040519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR					
BR 2004010477	A	20060530	BR 2004-10477		20040519
CN 1823063	A	20060823	CN 2004-80020651		20040519
JP 2007501264	T	20070125	JP 2006-529889		20040519
NO 2005005421	A	20051220	NO 2005-5421		20051116
IN 2005KN02416	A	20061013	IN 2005-KN2416		20051129
US 2006178416	A1	20060810	US 2006-349677		20060208
US 2007049570	A1	20070301	US 2006-349701		20060208
PRIORITY APPLN. INFO.:			GB 2003-11688	A	20030521
			GB 2003-26187	A	20031110
			WO 2004-EP5494	W	20040519
			US 2006-557079	A1	20060523

OTHER SOURCE(S): MARPAT 142:23205

GI



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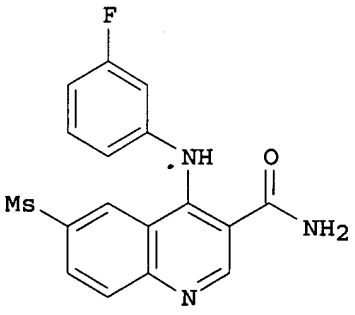


II

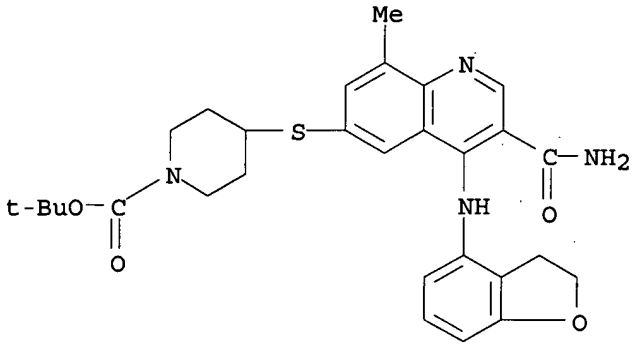
AB Title compds. represented by the formula I [wherein R1 = (un)substituted (cyclo)alkyl, (hetero)aryl, cycloalkylalkyl, etc.; R2 = H or alkyl; R3 = H, (un)substituted SOnalkyl, 2-oxopyrrolidin-1-yl, cycloalkyl, etc.; R4 = H or SOnalkyl; R5 = H, halo, alkyl, alkoxy; n = 0-2; and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase inhibitors. For example, reaction of 4-chloro-6-(methylsulfonyl)-3-quinolinecarboxamide with 3-fluoroaniline gave II. Selected prepared compds. were tested for inhibition of PDE4B (human recombinant) enzyme and PDE5 with pIC50 values in the range of 6.0-11.7 and 4.5-7.0, resp. Thus, I and their pharmaceutical compns. are useful as phosphodiesterase

inhibitors, especially PDE4 inhibitors, for the prophylaxis or treatment of a clin. condition, such as inflammatory and/or allergic diseases (no data).

IT 801310-90-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinoline derivs. as phosphodiesterase inhibitors for the treatment of inflammatory diseases)

RN 801310-90-7 CA

CN 1-Piperidinecarboxylic acid, 4-[[3-(aminocarbonyl)-4-[(2,3-dihydro-4-benzofuranyl)amino]-8-methyl-6-quinolinyl]thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 142:6426 CA

TITLE: 4-Arylsulfonylpiperidine derivatives for antagonism of the 5-HT2A receptor and their preparation, pharmaceutical compositions, and use

INVENTOR(S): Gilligan, Myra; Humphries, Alexander Charles; Ladduwahetty, Tamara

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101518	A1	20041125	WO 2004-GB1998	20040507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004238608	A1	20041125	AU 2004-238608	20040507

CA 2525849	A1	20041125	CA 2004-2525849		20040507
EP 1641756	A1	20060405	EP 2004-731651		20040507
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
CN 1787997	A	20060614	CN 2004-80013146		20040507
JP 2006528675	T	20061221	JP 2006-530481		20040507
US 2006211735	A1	20060921	US 2005-552931		20051011
PRIORITY APPLN. INFO.:			GB 2003-11349	A	20030516
			WO 2004-GB1998	W	20040507

OTHER SOURCE(S): MARPAT 142:6426

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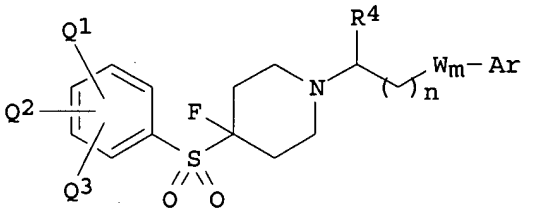

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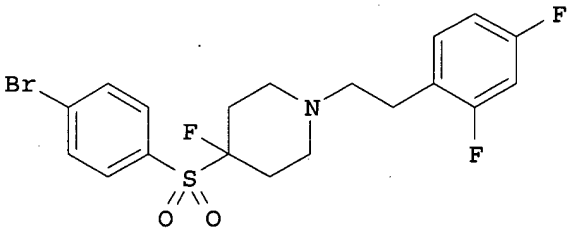


II

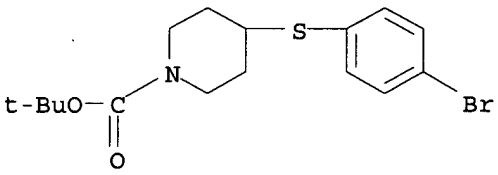
AB Compds. I are potent and selective antagonists of the human 5-HT2A receptor (no data), and hence are useful in the treatment of adverse conditions of the central nervous system, including sleep disorders such as insomnia, psychotic disorders such as schizophrenia, and psychiatric disorders such as anxiety. Claimed compds. include I and pharmaceutically acceptable salts [wherein: Ar = Ph, benzisothiazol-3-yl, or benzthiophen-3-yl, each with substituents R1, R2, and R3; R1 = H, F, Cl, Br, alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, or fluoroalkyl; R2 = H, F, Cl, alkyl, alkoxy, fluoroalkyl, or fluoroalkoxy; R3 = H, F, Cl, Me, MeO, CF3, CHF2, CF3O, or CHF2O; Q1 = H, F, Cl, Br, alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, fluoroalkyl, nitrile, COQ4 or CO2Q4 (Q4 = H or alkyl), NQ5Q6, CONQ5Q6, SO2NQ5Q6 (Q5, Q6 = H or alkyl, or Q5Q6 = atoms to form optionally substituted 4- to 7-membered N/O heterocyclic ring), OH, NO2, SOQ7, SO2Q7 (Q7 = alkyl), NQ8COQ9, NQ8CO2Q9, NQ8SO2Q9 (Q8, Q9 = H or alkyl, or Q8Q9 forms a 5- to 7-membered ring), 5-membered N/O/S heteroarom. ring (with optional Me, Et, or OH substituents), 6-membered N heteroarom. or Ph ring (both optionally substituted by F, Cl, alkyl, alkoxy, or CF3); Q2 = H, F, Cl, nitrile, OH, alkyl, alkoxy, fluoroalkyl, fluoroalkoxy; Q3 = H, F, Cl, Me, MeO, CF3, CHF2, CF3O, or CHF2O; or Q2Q3 = atoms to form 5-, 6-, or 7-membered carbocycle; R4 = H or alkyl; m = 0-1; n = 0-2; W = CH2, CHF, CH(OH), or CO]. I typically display more effective binding to the human 5-HT2A receptor than to other human receptors such as D2, 5-HT2C and IKr receptors (no data). I can therefore be expected to manifest fewer side-effects than less selective compds. In particular, the lower effects

on the IKr receptor indicate the possibility that there is a separation of the desired effect from side effects such as cardiac effects. I generally have a human 5-HT2A receptor binding affinity (Ki) of 100 nM or less, typically of 50 nM or less, and preferably of 10 nM or less. I may possess at least a 10-fold selective affinity, suitably at least 20-fold, and preferably at least 50-fold, for the human 5-HT2A receptor relative to the human dopamine D2, IKr, and 5-HT2C receptors. Preferred I show selectivities of at least 100 fold relative to the human 5-HT2C receptor. Approx. 50 example compds. were prepared For instance, N-Boc-4-(4-bromophenylthio)piperidine was oxidized with Oxone to the corresponding S-oxide (69%), which was fluorinated with DAST and further oxidized with mCPBA to give the 4-fluoro sulfone derivative (70%). Removal of the Boc group (80%) and N-alkylation using K2CO3 and 2,4-difluorophenethyl bromide (51%) gave invention compound II.

IT 188527-03-9, N-BOC-4-[(4-bromophenyl)thio]piperidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of arylsulfonylpiperidine derivs. as 5-HT2A receptor antagonists)

RN 188527-03-9 CA

CN 1-Piperidinecarboxylic acid, 4-[(4-bromophenyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:395422 CA
TITLE: Preparation of N-[(piperidinyloxy)phenyl]-, N-[(piperidinyloxy)pyridinyl]-, N-[(piperidinylsulfanyl)phenyl]-, and N-[(piperidinylsulfanyl)pyridinyl]amides as 5-HT1F agonists for treatment of migraine
INVENTOR(S): Blanco-Pillado, Maria-Jesus; Benesh, Dana Rae; Filla, Sandra Ann; Hudziak, Kevin John; Mathes, Brian Michael; Kohlman, Daniel Timothy; Ying, Bai-Ping; Zhang, Deyi; Xu, Yao-Chang
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094380	A1	20041104	WO 2004-US9283	20040414

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

	TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				
	BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,				
	ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,				
	SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,				
	TD, TG				
AU 2004232799	A1	20041104	AU 2004-232799		20040414
CA 2518839	A1	20041104	CA 2004-2518839		20040414
EP 1626958	A1	20060222	EP 2004-759769		20040414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
BR 2004009211	A	20060328	BR 2004-9211		20040414
CN 1777584	A	20060524	CN 2004-80010411		20040414
JP 2006523692	T	20061019	JP 2006-509337		20040414
US 2006211734	A1	20060921	US 2005-552131		20051011
PRIORITY APPLN. INFO.:			US 2003-464396P	P	20030418
			WO 2004-US9283	A	20040414

OTHER SOURCE(S): MARPAT 141:395422

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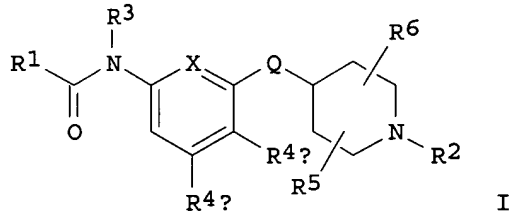

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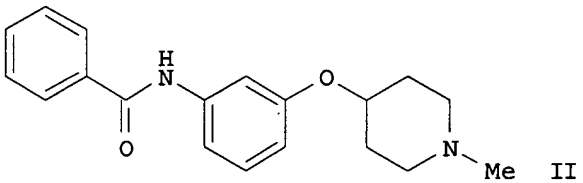


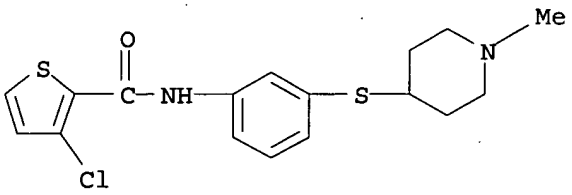
II

AB Title compds. I [wherein Q = O, S; X = CR4c, N; R1 = (un)substituted alkyl, cycloalkyl(alkyl), Ph, heterocyclyl; R2 = H, (fluoro)alkyl, cycloalkylalkyl, (un)substituted pyrazolyl(alkyl); R3 = H, alkyl; R4a, R4b, R4c = independently H, halo, (fluoro)alkyl; R5, R6 = independently H, (fluoro)alkyl; with the proviso that R6 = alkyl only when R5 ≠ H; and pharmaceutically acceptable acid addition salts thereof] were prepared by standard and solid phase combinatorial methods as 5-HT1F agonists. For example, amidation of [3-[(1-methylpiperidin-4-yl)oxy]phenyl]amine (preparation given) with benzoyl chloride afforded II (91%). In a radioligand binding assay using Ltk cells transfected with the human 5-HT1F receptor sequence, exemplified invention compds. exhibited high affinity for the receptor with Ki values of ≤ 150 nM. Thus, I and their pharmaceutical compns. are useful for activating 5-HT1F receptors, inhibiting neuronal protein extravasation, and treating or preventing migraine in mammals, especially humans (no data).

IT 790671-73-7P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);

PREP (Preparation); USES (Uses)
(5-HT1F agonist; preparation of piperidinyl-substituted amides as 5-HT1F agonists for treatment of migraine)
RN 790671-73-7 CA
CN 2-Thiophenecarboxamide, 3-chloro-N-[3-[(1-methyl-4-piperidinyl)thio]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:314354 CA
TITLE: Preparation of 2-Phenoxy- and 2-phenylsulfomamide derivatives with CCR3 antagonistic activity for the treatment of asthma and other inflammatory or immunological disorders
INVENTOR(S): Li, Yingfu; Bacon, Kevin; Sugimoto, Hiromi; Fukushima, Keiko; Hashimoto, Kentaro; Marumo, Makiko; Moriwaki, Toshiya; Nunami, Noriko; Tsuno, Naoki; Urbahns, Klaus; Yoshida, Nagahiro
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004084898	A1	20041007	WO 2004-EP2496	20040311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004224807	A1	20041007	AU 2004-224807	20040311
CA 2520225	A1	20041007	CA 2004-2520225	20040311
EP 1608374	A1	20051228	EP 2004-719389	20040311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008682	A	20060328	BR 2004-8682	20040311
CN 1802159	A	20060712	CN 2004-80013585	20040311
JP 2006523627	T	20061019	JP 2006-504635	20040311
NO 2005004878	A	20051021	NO 2005-4878	20051021

PRIORITY APPLN. INFO.: EP 2003-6293 A 20030324
WO 2004-EP2496 W 20040311

OTHER SOURCE(S): MARPAT 141:314354

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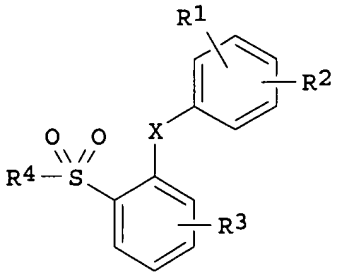


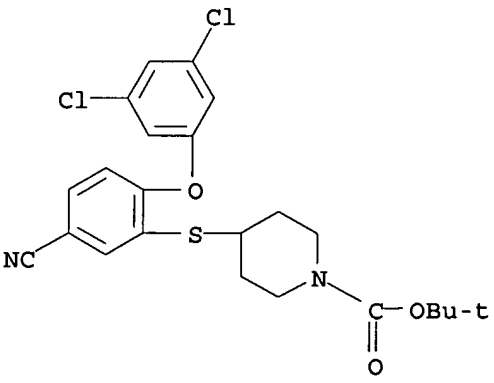
I

AB Title compds. I [X = O, S; R1 = H, halo, OH, NO2, etc.; R2 = H, halo, OH, NO2, CN, alkoxy, etc.; R3 = H, halo, OH, NO2, CN, etc.; R4 = amino, etc.] are prepared For instance, 5-cyano-2-(3,5-dichlorophenoxy)-N-(2-(dimethylamino)ethyl)-N-[2-(2,5-dioxopyrrolidin-1-yl)ethyl]benzenesulfonamide is prepared in 3 steps from N,N-dimethylethane-1,2-diamine, 5-cyano-2-(3,5-dichlorophenoxy)phenylsulfonyl chloride (preparation given) and pyrrolidine. Compds. of the invention exhibit 100 fold selectivity toward the CCR3 receptor compared to CCR1, CCR5, CCR7, CCR8 and CXCR1. I are useful in the treatment of diseases associated with CCR3 activity, e.g., asthma, atopic dermatitis, allergic rhinitis and other inflammatory/immunol. disorders.

IT 769159-61-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-Phenoxy- and 2-phenyl(heterocyclic)sulfonamide derivs. with CCR3 antagonistic activity for treatment of asthma and other inflammatory or immunol. disorders)

RN 769159-61-7 CA

CN 1-Piperidinecarboxylic acid, 4-[[5-cyano-2-(3,5-dichlorophenoxy)phenyl]thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



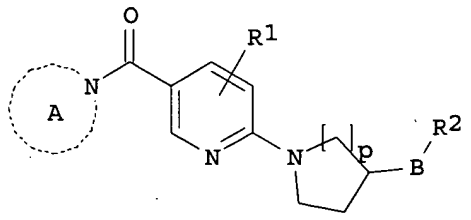
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:243344 CA
TITLE: Preparation of 1-[(3-pyridinyl)carbonyl]pyrrolidine derivatives as immunosuppressants
INVENTOR(S): Baxter, Andrew; Eyssade, Christine; Guile, Simon; King, Sarah; Pimm, Austen; Reuberson, James; Thorne, Philip
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

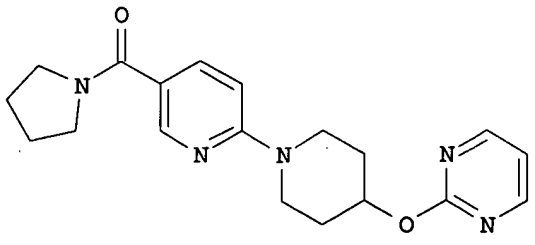
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074278	A1	20040902	WO 2004-SE216	20040218

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2003-456 A 20030219
OTHER SOURCE(S): MARPAT 141:243344
GI



I



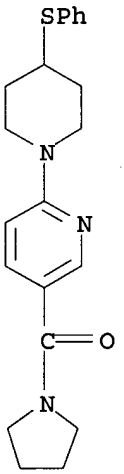
II

AB The title compds. [I; A = 4-6 membered saturated ring; p = 1-2; R1 = H, alkyl, halo, NR4R5, X(alkyl); X = O, S, NR4; B = a bond, CH2, O, S, SO, SO2, NH; R2 = (un)substituted Ph, heteroaryl with one or more N atoms, (un)saturated bicyclic system containing one or more heteroatoms; R4, R5 = H, alkyl] and their pharmaceutically acceptable salts, were prepared E.g., a multi-step synthesis of II, was given. The compds. I were tested for inhibition of PMA/ionomycin-stimulated peripheral blood mononuclear cell proliferation (data were given for representative compds. I). Processes for the preparation of the compds. I together with pharmaceutical compns. containing them and their use in therapy in particular in the modulation of autoimmune disease are also described.

IT 749899-06-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-[(3-pyridinyl)carbonyl]pyrrolidine derivs. as immunosuppressants)

RN 749899-06-7 CA

CN Pyrrolidine, 1-[[6-[4-(phenylthio)-1-piperidinyl]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:236618 CA
TITLE: Inhibitors of hepatitis C virus, compositions and treatments using the same
INVENTOR(S): Duggal, Rohit; Patick, Amy Karen; Zhao, Weidong; Herlihy, Koleen Jill; Sha, Eiann; Liu, Wei
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004073599	A2	20040902	WO 2004-IB403	20040206
WO 2004073599	A3	20041223		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2516328	A1	20040902	CA 2004-2516328	20040206
EP 1596846	A2	20051123	EP 2004-708837	20040206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007587	A	20060214	BR 2004-7587	20040206
JP 2006517960	T	20060803	JP 2006-502443	20040206
US 2004229817	A1	20041118	US 2004-782679	20040218
PRIORITY APPLN. INFO.:			US 2003-448253P P	20030218
			WO 2004-IB403 W	20040206

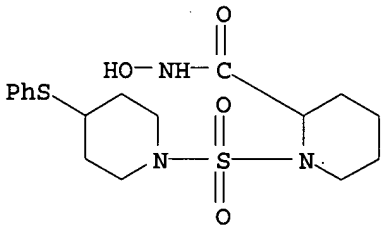
OTHER SOURCE(S): MARPAT 141:236618

AB The invention relates to methods of inhibiting HCV viral replication activity comprising contacting an HCV polymerase with a therapeutically effective amount of a hydroxamate MMP inhibitor, and composition comprising the same.

IT 210915-24-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors of hepatitis C virus)

RN 210915-24-5 CA

CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-(phenylthio)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 21 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 141:174180 CA

TITLE: Preparation of 1,2,3-trisubstituted aryl and heteroaryl derivatives, in particular pyrimidines, as modulators, in particular agonists and inverse agonists, of G-coupled protein receptor and their use in the prophylaxis and treatment of metabolic disorder such as diabetes and hyperglycemia

INVENTOR(S): Jones, Robert M.; Semple, Graeme; Fioravanti, Beatriz; Pereira, Guilherme; Calderon, Imelda; Uy, Jane; Duvvuri, Kameshwari; Choi, Jin Sun Karoline; Xiong, Yifeng; Dave, Vibha

PATENT ASSIGNEE(S): Arena Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004065380	A1	20040805	WO 2004-US1267		20040114
WO 2004065380	A8	20041021			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ					
AU 2004205642	A1	20040805	AU 2004-205642		20040114
CA 2512899	A1	20040805	CA 2004-2512899		20040114
EP 1599468	A1	20051130	EP 2004-702248		20040114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2004006761	A	20051220	BR 2004-6761		20040114
JP 2006516572	T	20060706	JP 2006-501019		20040114
CN 1835943	A	20060920	CN 2004-80002203		20040114
IN 2005KN01150	A	20061020	IN 2005-KN1150		20050615
NO 2005003803	A	20051012	NO 2005-3803		20050811
US 2006217379	A1	20060928	US 2006-541657		20060303
PRIORITY APPLN. INFO.:			US 2003-440394P	P	20030114
			US 2003-449829P	P	20030224
			US 2003-453390P	P	20030306
			US 2003-470875P	P	20030514
			WO 2004-US1267	W	20040114

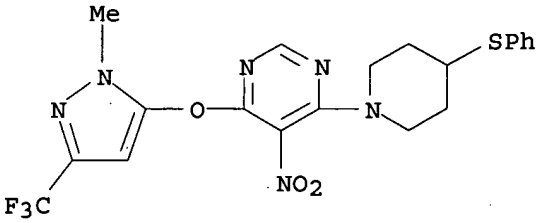
OTHER SOURCE(S): MARPAT 141:174180
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A, B = independently hetero/alkylene optionally substituted with 1-4 Me groups; D = O, S, SO, SO2, CH2 and derivs., NH and derivs.; V = absent, (un)substituted alkylene, ethylene; W = absent, NH and derivs., O, S, SO, SO2; X, Y = N, CH and derivs.; Z = alkyl(thio)carboxamide, monoalkyl/dialkyl/amino, halo, hetero/aryl, heterocyclyl, NO2, tetrazolyl, acyloxy, alkoxy, (un)substituted alkyl, acyl, etc.; Ar1 = (un)substituted hetero/aryl; R1 = H, acyloxy, alk(en/yn)yl, alkoxy, alkylsulfonyl, CN, halo, OH, NH2, etc.; their pharmaceutically acceptable salts, hydrates and solvates] were prepared as modulators, in particular agonists and inverse agonists of G-coupled protein receptor (RUP3), for treating diabetes, hyperglycemia and other metabolic disorders. Eleven biol. examples are given. For example, II was prepared in two steps by amination of 2,6-dichloro-5-nitropyrimidine with piperidine-4-carboxylic acid Et ester, and etherification with 4-(imidazol-1-yl)phenol. III bound to RUP3 receptor with an IC50 = 0.05 μM in a membrane cyclase assay. RUP3 agonist III stimulates cAMP production in HIT-T14 cells at a level comparable to that seen in forskolin. Thus, I are useful in the prophylaxis or treatment of metabolic disorders and complications thereof, such as, diabetes and obesity.

IT 733749-17-2P, 4-[(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-yl)oxy]-5-nitro-6-(4-phenylsulfanylpiperidin-1-yl)pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 1,2,3-trisubstituted aryl and heteroaryl derivs., in particular pyrimidines, as modulators of G-coupled protein receptor and their use in the treatment of diabetes, hyperglycemia and

related diseases)
RN 733749-17-2 CA
CN Pyrimidine, 4-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy]-5-nitro-6-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)



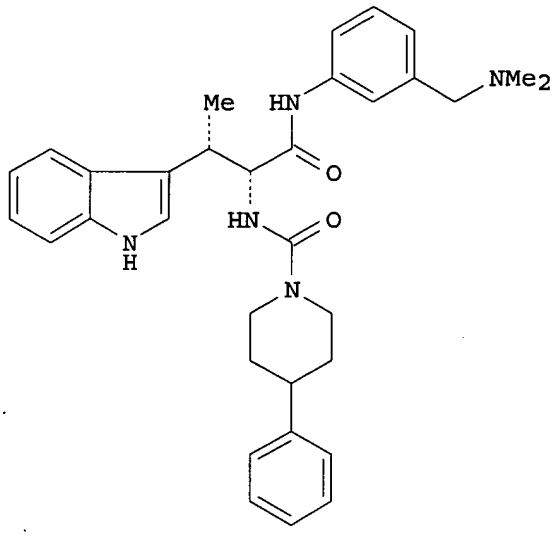
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 141:23903 CA
TITLE: Preparation of indole amino acid derivatives as somatostatin agonists or antagonists
INVENTOR(S): Abe, Hidenori; Matsunaga, Shinichiro; Takekawa, Shiro; Watanabe, Masanori
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 482 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046107	A1	20040603	WO 2003-JP14622	20031118
WO 2004046107	A8	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2506735	A1	20040603	CA 2003-2506735	20031118
AU 2003280838	A1	20040615	AU 2003-280838	20031118
JP 2004300133	A	20041028	JP 2003-388524	20031118
EP 1562898	A1	20050817	EP 2003-772841	20031118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1738798	A	20060222	CN 2003-80108633	20031118
US 2006223826	A1	20061005	US 2005-534725	20050512

PRIORITY APPLN. INFO.:
JP 2002-335661 A 20021119
JP 2003-76435 A 20030319
WO 2003-JP14622 W 20031118

OTHER SOURCE(S): MARPAT 141:23903
GI



I

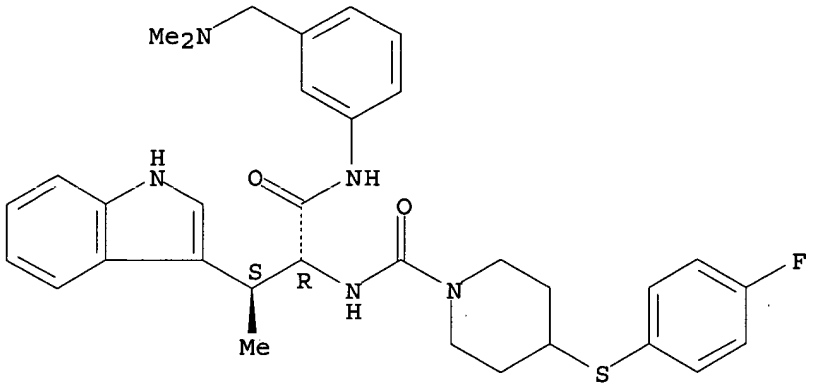
AB The invention relates to compds. Z-Y-N(Ya-Za)CH(CR4R5R6)CONR3-A-B-NR1R2 [A is an aromatic ring optionally having substituents; B, Y and Ya are a bond or spacer; R1, R2 are H, (un)substituted hydrocarbyl or heterocyclyl or R1R2N is a ring or forms a ring with ring A; R3 is H, (un)substituted hydrocarbyl or heterocyclyl; R4, R5 are H or (un)substituted hydrocarbyl or form a ring; R6 is (un)substituted indolyl; Z, Za are H, halo or a cyclic group] or their salts or prodrugs having somatostatin receptor binding inhibition activity. Thus, 2-aminobutanamide derivative I was prepared via amidation of (2R,3S)-3-(1H-indol-3-yl)-2-[[(4-phenyl-1-piperidinyl)carbonyl]amino]butanoic acid with 3-[(dimethylamino)methyl]aniline dihydrochloride.

IT 697307-39-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole amino acid derivs. as somatostatin agonists or antagonists)

RN 697307-39-4 CA

CN 1H-Indole-3-propanamide, N-[3-[(dimethylamino)methyl]phenyl]-α-[[[4-[(4-fluorophenyl)thio]-1-piperidinyl]carbonyl]amino]-β-methyl-, (αR,βS)- (9CI) (CA INDEX NAME)

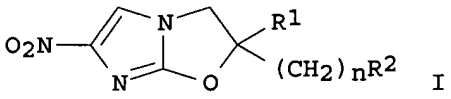
Absolute stereochemistry.



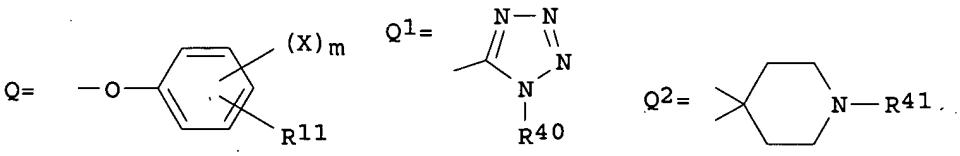
L12 ANSWER 23 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:357387 CA
TITLE: Preparation of 2,3-dihydro-6-nitroimidazo[2,1-b]oxazoles as antibacterial agents
INVENTOR(S): Tsubouchi, Hidetsugu; Sasaki, Hirofumi; Kuroda, Hideaki; Itotani, Motohiro; Hasegawa, Takeshi; Haraguchi, Yoshikazu; Kuroda, Takeshi; Matsuzaki, Takayuki; Tai, Kuninori; Komatsu, Makoto; Matsumoto, Makoto; Hashizume, Hiroyuki; Tomishige, Tatsuo; Seike, Yuji; Kawasaki, Masanori; Sumida, Takumi; Miyamura, Shin
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1084 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004033463	A1	20040422	WO 2003-JP13070		20031010
W: AU, BR, BY, CA, CN, EG, ID, IN, KR, MX, PH, PL, RU, SG, UA, US, VN, ZA					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR					
CA 2497569	A1	20040422	CA 2003-2497569		20031010
AU 2003272979	A1	20040504	AU 2003-272979		20031010
BR 2003014344	A	20050712	BR 2003-14344		20031010
EP 1555267	A1	20050720	EP 2003-754085		20031010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK					
CN 1705670	A	20051207	CN 2003-80101750		20031010
JP 2004149527	A	20040527	JP 2003-353868		20031014
US 2006094767	A1	20060504	US 2005-530429		20050406
IN 2005KN00600	A	20060818	IN 2005-KN600		20050408
PRIORITY APPLN. INFO.:			JP 2002-298259	A	20021011
			WO 2003-JP13070	W	20031010

OTHER SOURCE(S): MARPAT 140:357387
GI



I



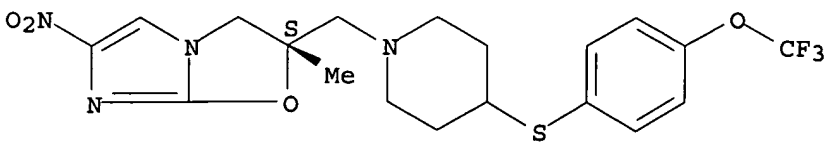
AB The title compds. [I; wherein R1 = H, C1-6 alkyl; n = an integer of 0-6; R2 = OR3, SR5, CO2R6, O2CNR7R8, Q, NR19R20, Q1; wherein R3 = H, C1-6 alkoxy, C1-6 alkoxy-C1-6 alkyl, (un)substituted phenyl-C1-6 alkoxy, biphenylyl-C1-6 alkoxy, phenyl-C2-6 alkenyl, C1-6 alkylsulfonyl, etc.; R5 = tetrazolyl or phenyltetrazolyl optionally substituted by halo or C1-6 alkyl on phenyl; R6 = C1-6 alkyl; R7, R8 = H, C1-8 alkyl, halo-C1-6 alkyl, C1-6 alkoxycarbonyl-C1-6 alkyl, C3-8 cycloalkyl, phenyl-C1-6 alkyl, Ph, naphthyl, pyridyl, etc.; X = halo, amino-C1-6 alkyl, C1-6 alkylamino-C1-6 alkyl; R11 = H, C1-6 alkyl, halo-C1-6 alkyl, C1-6 alkoxy, halo-C1-6 alkoxy, etc.; m = an integer of 0-3; R40 = C1-6 alkyl, Ph, halophenyl; or R1 and -(CH2)nR2 may be united via a nitrogen atom to form together with the adjacent carbon atom a spiro ring represented by the general formula Q2; wherein R41 = H, C1-6 alkyl, phenyl-C1-6 alkyl, biphenylyl-C1-6 alkyl, (un)substituted Ph, etc.] are prepared These compds. exhibit excellent bactericidal activity against Tubercle bacillus, multiple drug resistant T. bacillus, and atypical acid-fast bacteria, and are useful as antitubercular agents. Thus, 0.43 g (S)-1-(2-chloro-4-nitroimidazol-1-yl)-2-methyl-3-[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]propan-2-ol and 0.22 g 2-chloro-4-nitro-1H-imidazole were suspended in 4 mL MeCN, treated with 0.17 g NaHCO3, and refluxed for 9 h to give 31% (S)-1-(2-chloro-4-nitroimidazol-1-yl)-2-methyl-3-[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]propan-1-ol which (5.85 g) was dissolved in 150 mL THF, treated with 0.66 g NaH under ice-cooling and refluxed for 6 h to give 48% (S)-2-[[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]methyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole (II). II showed min. inhibitory concentration of 0.024 μg/mL against Mycobacterium tuberculosis H37Rv.

IT 681493-63-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,3-dihydro-6-nitroimidazo[2,1-b]oxazoles as antibacterial agents and antitubercular agents)

RN 681493-63-0 CA

CN Imidazo[2,1-b]oxazole, 2,3-dihydro-2-methyl-6-nitro-2-[[4-[[4-(trifluoromethoxy)phenyl]thio]-1-piperidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

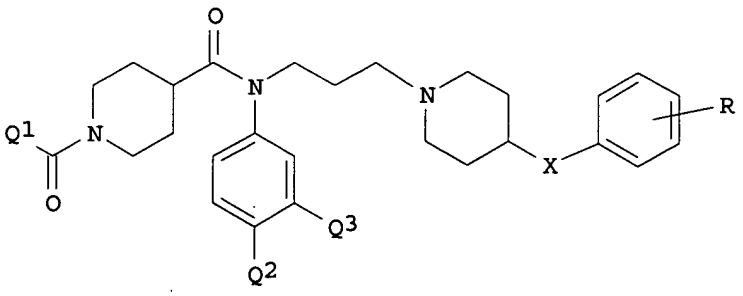


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 24 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:303539 CA
TITLE: Preparation of cyclic amine compounds as chemokine receptor antagonists useful in treatment of AIDS
INVENTOR(S): Sugihara, Yoshihiro; Nishikawa, Yoichi; Kanzaki, Naoyuki; Iizawa, Yuji; Baba, Masanori
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026833	A1	20040401	WO 2003-JP11906	20030918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003266528	A1	20040408	AU 2003-266528	20030918
JP 2004131501	A	20040430	JP 2003-328854	20030919
PRIORITY APPLN. INFO.:			JP 2002-275534 A	20020920
			WO 2003-JP11906 W	20030918

OTHER SOURCE(S): MARPAT 140:303539
GI

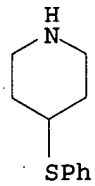


I

AB The title compds. I [Q1 and Q2 each represents C1-3 alkyl; Q3 represents halogeno; X represents CH2 or SO2; and R represents SO2NR1R2, etc. (when X is CH2) and represents C1-8 alkyl, etc. when X is SO2; R1, R2 = H, (un)substituted alkyl; or NR1R2 forms N-containing heterocyclic ring] are prepared The CCR5 antagonist activity of compds. of this invention was demonstrated. A process for preparing I is disclosed. Formulations are given.
IT 101798-66-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic amine compds. as chemokine receptor antagonists useful in treatment of AIDS)

RN 101798-66-7 CA
CN Piperidine, 4-(phenylthio)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

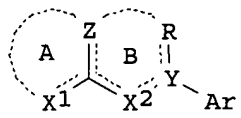
L12 ANSWER 25 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:235738 CA
TITLE: Preparation of pyrazolopyrimidines as calcium receptor modulators
INVENTOR(S): Yasuma, Tsuneo; Mori, Akira; Kawase, Masahiro; Kimura, Hiroyuki; Yoshida, Masato; Gyorkos, Albert Charles; Pratt, Scott Alan; Corrette, Christopher Peter
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan; Takeda Pharmaceutical Company Limited
SOURCE: PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004017908	A2	20040304	WO 2003-US26317	20030821
WO 2004017908	A3	20060105		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494700	A1	20040304	CA 2003-2494700	20030821
AU 2003265585	A1	20040311	AU 2003-265585	20030821
EP 1572113	A2	20050914	EP 2003-793273	20030821
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006510582	T	20060330	JP 2004-529835	20030821
CN 1771231	A	20060510	CN 2003-823938	20030821

US 2006079536	A1	20060413	US 2005-525158		20050222
IN 2005KN00280	A	20060818	IN 2005-KN280		20050225
NO 2005001328	A	20050315	NO 2005-1328		20050315
PRIORITY APPLN. INFO.:			US 2002-406012P	P	20020826
			US 2003-466129P	P	20030428
			WO 2003-US26317	W	20030821

OTHER SOURCE(S): MARPAT 140:235738

GI



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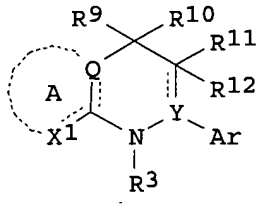
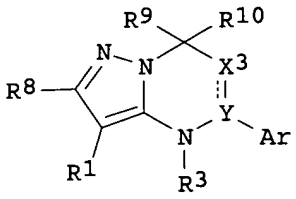
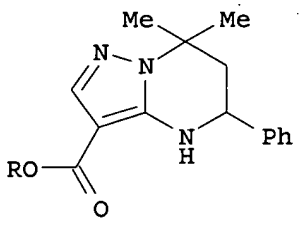


II



III



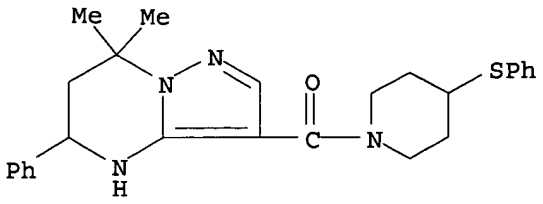
IV

AB The title compds. [I; ring A = (un)substituted 5-7 membered ring; ring B = (un)substituted 5-7 membered heterocyclic ring; X1 = (un)substituted CH, CH2, N or NH; X2 = N or (un)substituted NH; Y = C, (un)substituted CH or N; Z = (un)substituted CH, CH2, N or NH; Ar = (un)substituted cyclic group; R = H, (un)substituted alkyl, etc.; and their salts], useful as calcium receptor modulators, were provided. The compds. II, III [wherein ring A = (un)substituted 5-7 membered ring; Q = C, CR5 (R5 = H, alkyl, hydroxyalkyl, etc.), or N; X1 = CR1 (R1 = H, alkyl, hydroxyalkyl, etc.), CR1R2 (R1 as above; R2 = H, heterocyclyl, etc.); R3 = H, alkyl, hydroxyalkyl, aminoalkyl, etc.; Y = C, CR4 (R4 = H, alkyl, hydroxyalkyl, etc.), or N; R8-R12 = H, (un)substituted alkyl, etc.; X3 = a bond, O, (un)oxidized S, N, (un)substituted NH, C1-2 alkylene; or their salts], were also provided. Thus, reacting amidation of the acid IV [R = H] with 4-(F3C)C6H4C(Et)2NH2 afforded 31% IV [R = 4-(F3C)C6H4C(Et)2NH]. Biol. data were given for selected compds. The pharmaceutical composition comprising the compound I is claimed.

IT 667928-59-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as calcium receptor modulators)

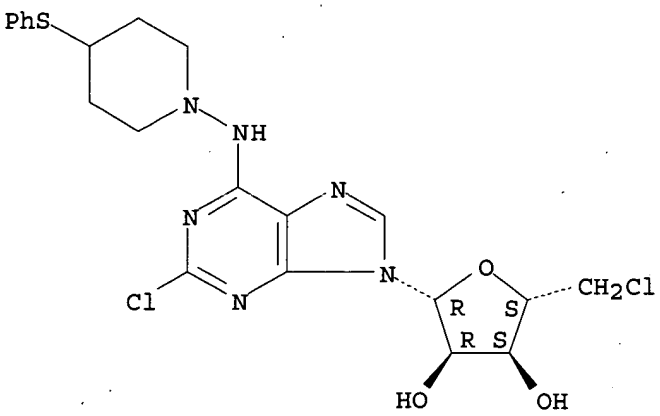
RN 667928-59-8 CA

CN Piperidine, 4-(phenylthio)-1-[(4,5,6,7-tetrahydro-7,7-dimethyl-5-phenylpyrazolo[1,5-a]pyrimidin-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 26 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 140:157213 CA
TITLE: Exploring the molecular basis of selectivity in A1 adenosine receptors agonists: a case study
AUTHOR(S): Giordanetto, Fabrizio; Fossa, Paola; Menozzi, Giulia; Schenone, Silvia; Bondavalli, Francesco; Ranise, Angelo; Mosti, Luisa
CORPORATE SOURCE: Department of Chemistry, Centre for Computational Science, Queen Mary University of London, London, E1 4NS, UK
SOURCE: Journal of Computer-Aided Molecular Design (2003), 17(1), 39-51
CODEN: JCADEQ; ISSN: 0920-654X
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Adenosine is a naturally occurring purine nucleoside that has a wide variety of well-documented regulatory functions and physiol. roles. Selective activation of the adenosine A1 receptor has drawn attention in drug discovery for the therapeutic effects on neural and cardiovascular disorders. We have developed a model of the human A1 adenosine receptor using bovine rhodopsin as a template. A flexible docking approach has been subsequently carried out for evaluating the mol. interactions of twenty-one selective A1 agonists with the receptor model. The results of these studies are consistent with mutational and biochem. data. In particular, they highlight a wide hydrogen-bonding network between the nucleoside portion of the ligands and the A1 receptor as well as key amino acids for hydrophobic interactions with the different N6-groups of the agonists. The models presented here provide a detailed mol. map for the selective stimulation of the adenosine A1 receptor subtype and a steady basis for the rational design of new A1 selective ligands.
IT 169190-51-6, NNC 210147
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(mol. basis of selectivity in A1 adenosine receptors agonists using flexible docking approach)
RN 169190-51-6 CA
CN Adenosine, 2,5'-dichloro-5'-deoxy-N-[4-(phenylthio)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

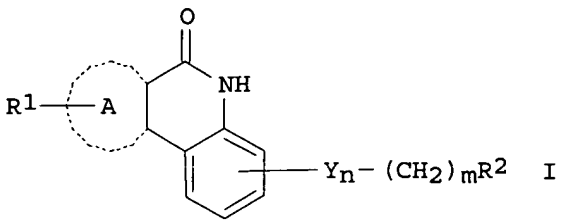


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 27 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:292164 CA
TITLE: Preparation of phenanthridinones as PARP inhibitors
INVENTOR(S): Yamamoto, Hirofumi; Mukoyoshi, Koichiro; Hattori, Kouji
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080581	A1	20031002	WO 2003-JP3579	20030325
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480384	A1	20031002	CA 2003-2480384	20030325
AU 2003217491	A1	20031008	AU 2003-217491	20030325
EP 1487800	A1	20041222	EP 2003-712891	20030325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005521698	T	20050721	JP 2003-578336	20030325
US 2005171101	A1	20050804	US 2003-508004	20030325
PRIORITY APPLN. INFO.:			AU 2002-1374 A	20020326
			WO 2003-JP3579 W	20030325

OTHER SOURCE(S): MARPAT 139:292164
GI

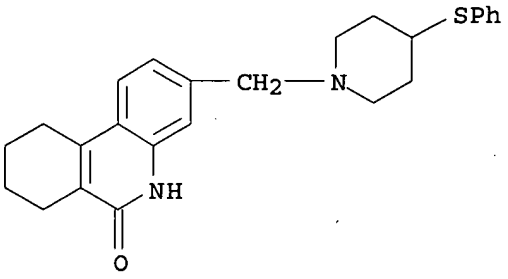


AB The compds. I or its prodrug, or their salt are claimed (ring A is a carbocyclic group, R1 = H or a halogen atom or a lower alkyl group, R2 = di(lower)alkylamino group or N-containing heterocyclic group, among which the N-containing heterocyclic group may be substituted with one or more substituent(s), Y = O or S, n = 0-2, and m = 0-4).which has poly(adenosine 5'-diphosphoribose)polymerase (PARP) inhibiting activity. For example, 4-(4-fluorophenyl)-1,2,3,6-tetrahydropyridine hydrochloride was added to a solution of 2-(3-bromophenyl)-6(5H)-phenanthridinone in DMF in presence of Et3N to give 2-{3-[4-(4-fluorophenyl)-3,6-dihydro-1(2H)-pyridyl]propyl}-6(5H)-phenanthridinone. These phenanthridinones have pharmaceutical use.

IT 608126-45-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenanthridinones as PARP inhibitors)

RN 608126-45-0 CA

CN 6(5H)-Phenanthridinone, 7,8,9,10-tetrahydro-3-[[4-(phenylthio)-1-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

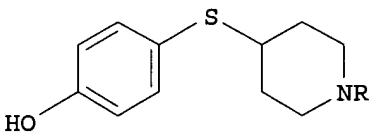
L12 ANSWER 28 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 139:149532 CA
TITLE: Preparation of thio-bridged aryl substituted azacyclic derivatives for use in pharmaceutical compositions as modulators of acetylcholine receptors
INVENTOR(S): Astles, Peter Charles; Baker, Stephen Richard; Bonnefous, Celine; Vernier, Jean Michel; Keenan, Martine; Sanderson, Adam Jan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062224	A1	20030731	WO 2002-US21297	20020729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1467986	A1	20041020	EP 2002-756389	20020729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005070520	A1	20050331	US 2004-500517	20040629

PRIORITY APPLN. INFO.: US 2002-350150P P 20020117
WO 2002-US21297 W 20020729

OTHER SOURCE(S): MARPAT 139:149532
GI



I

AB Arylthio substituted azacyclic compds., such as A-S-B [A = azacyclic, such as 4-piperidinyl, 3-pyrrolidinyl, or 4-azepanyl; B = aryl, heteroaryl], were prepared for therapeutic uses that require modulation of neurotransmission by promoting the release of neurotransmitters such as acetylcholine, dopamine and norepinephrine and are useful for the treatment of disorders of the central and autonomic nervous systems. More particularly, the present invention relates to thio-bridged aryl compds. that are capable of modulating acetylcholine receptors and pharmaceutical compns. comprising such compds. Thus, the trifluoroacetate salt of 4-(4-hydroxyphenylthio)piperidine I (R = H) was prepared via a substitution reaction of 1-(tert-butoxycarbonyl)-4-methanesulfonyloxypiperidine with 4-mercaptophenol using NaH in THF and DMF and subsequent deprotection/salt formation of the N-BOC protected intermediate using TFA. I (R = cyclopropanylmethyl) was then prepared by reacting cyclopropanecarboxaldehyde with I.TFA (R = H) using MP-carbonate resin and 1% AcOH/DMF followed by treatment with triacetoxyborohydride and 1% AcOH/DMF. Effects of the prepared azacyclics on nicotine receptor β4 subtypes were determined using a functional Ca-flux assay.

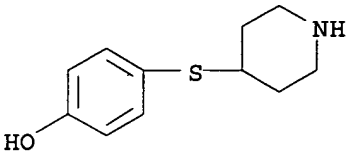
IT 569660-16-8P, 4-(4-Hydroxyphenylthio)piperidine trifluoroacetate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thio-bridged aryl substituted azacyclic derivs. for use in pharmaceutical compns. as modulators of acetylcholine receptors)

RN 569660-16-8 CA
CN Phenol, 4-(4-piperidinylthio)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

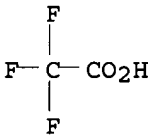
CM 1

CRN 569660-15-7
CMF C11 H15 N O S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 29 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:338143 CA
TITLE: Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria
INVENTOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc
PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

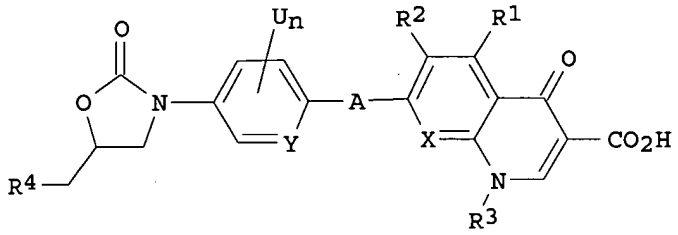
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032962	A2	20030424	WO 2002-EP11163	20021004
WO 2003032962	A3	20030717		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

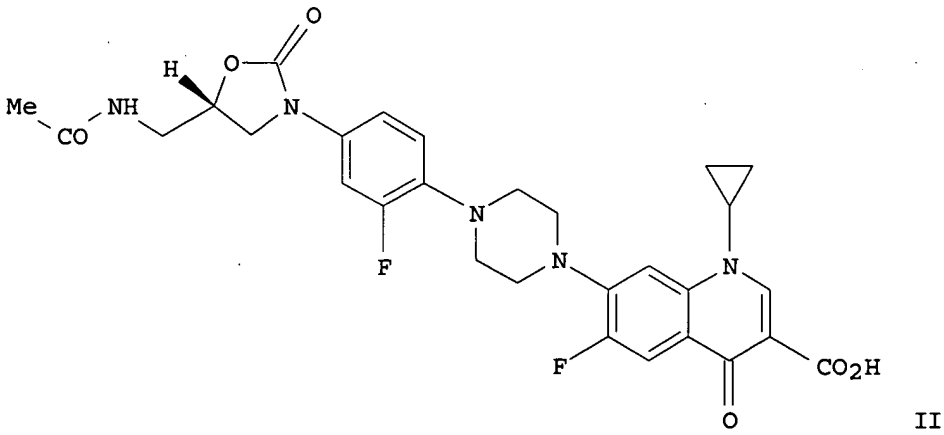
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2460572	A1	20030424	CA 2002-2460572		20021004
EP 1432705	A2	20040630	EP 2002-796533		20021004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002013063	A	20040928	BR 2002-13063		20021004
HU 200402126	A2	20050228	HU 2004-2126		20021004
US 2005096343	A1	20050505	US 2003-491519		20021004
CN 1630655	A	20050622	CN 2002-819724		20021004
JP 2005529061	T	20050929	JP 2003-535766		20021004
NZ 531879	A	20051028	NZ 2002-531879		20021004
IN 2004MN00158	A	20050218	IN 2004-MN158		20040304
ZA 2004001909	A	20050309	ZA 2004-1909		20040309
PRIORITY APPLN. INFO.:			US 2001-327162P	P	20011004
			WO 2002-EP11163	W	20021004

OTHER SOURCE(S): MARPAT 138:338143
GI



I



II

AB The present invention relates to compds. of the Formula (I) that are useful antimicrobial agents and effective against a variety of multi-drug resistant bacteria. The present invention relates to oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-

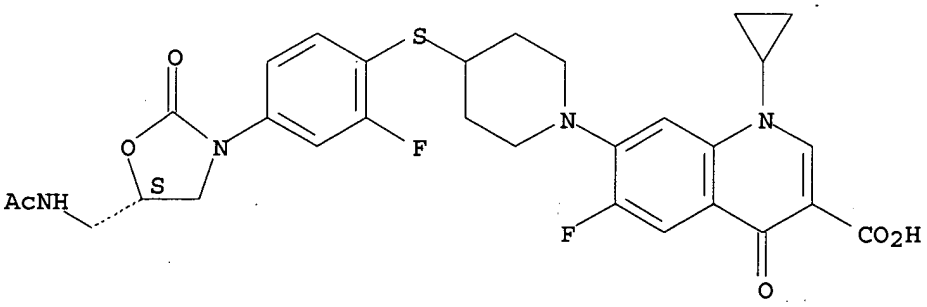
dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included; the examples of this patent and many of the claims are the same as those of WO 03/031443 A1. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds.

IT 510729-82-5P, 7-[4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]sulfanyl]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-82-5 CA

CN 3-Quinolinecarboxylic acid, 7-[4-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]thio]-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 30 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:321016 CA
TITLE: Preparation of aromatic sulfone hydroxamic acids and their use as protease inhibitors
INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; Decrescenzo,

Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Li, Madeleine H.; Hockerman, Susan L.; Howard, Carol Pearcy; Kolodziej, Steve A.; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.; Kassab, Darren J.

PATENT ASSIGNEE(S): Pharmacia Corp., USA
SOURCE: U.S. Pat. Appl. Publ., 99 pp., Cont. of U.S. Ser. No. 570,731.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003073718	A1	20030417	US 2001-989943	20011121
US 6683093	B2	20040127		
US 6750228	B1	20040615	US 2000-570731	20000512
CA 2467565	A1	20030605	CA 2002-2467565	20021119
WO 2003045944	A1	20030605	WO 2002-US37093	20021119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002352795	A1	20030610	AU 2002-352795	20021119
BR 2002014450	A	20040914	BR 2002-14450	20021119
EP 1472244	A1	20041103	EP 2002-789749	20021119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005514375	T	20050519	JP 2003-547394	20021119
US 2004209914	A1	20041021	US 2003-730403	20031208

PRIORITY APPLN. INFO.:

US 2000-570731	A2	20000512
US 1997-66007P	P	19971114
US 1998-95347P	P	19980804
US 1998-101080P	P	19980918
US 1999-256948	B2	19990224
US 1999-311837	A2	19990514
US 2001-989943	A	20011121
WO 2002-US37093	W	20021119

OTHER SOURCE(S): MARPAT 138:321016
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

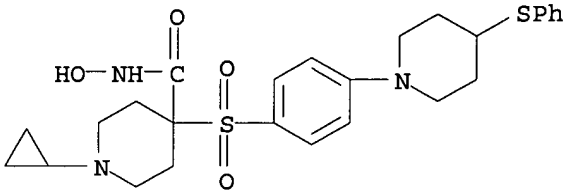
AB Title compds. I [Z = C(O), O, S, NR6, etc.; R6 = H, CHO, sulfonyl, etc.; E = bond, C(O), S; Y = H, alkyl, alkoxy, haloalkyl, aryl, etc.; R = H, CN, perfluoroalkyl, trifluoromethoxy, etc.] are prepared For instance, Me chloroacetate is reacted with p-fluorothiophenol and the resulting sulfide oxidized to the sulfone (MeOHaq, Oxone), reacted with bis(2-

bromoethyl)ether (DMAC, K2CO3, DMAP, Bu4NBr), saponified (THF, KOTMS) and coupled to a solid support to give II [P = polymer support]. II is reacted with Et isonipecotate (NMP, 80°, 65 h), the product saponified (dioxane, KOH), coupled with 3,5-dimethylpiperidine and released from the resin to give hydroxamic acid III. Example compds. are tested for inhibition of MMP-13, MMP-2 and MMP-1. I are useful for disorders associated with MMP and/or aggrecanase activity.

IT 308825-68-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aromatic sulfone hydroxamic acids and their use as protease inhibitors)

RN 308825-68-5 CA

CN 4-Piperidinecarboxamide, 1-cyclopropyl-N-hydroxy-4-[[4-[4-(phenylthio)-1-piperidinyl]phenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 31 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 138:304289 CA

TITLE: Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria

INVENTOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc

PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany

SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

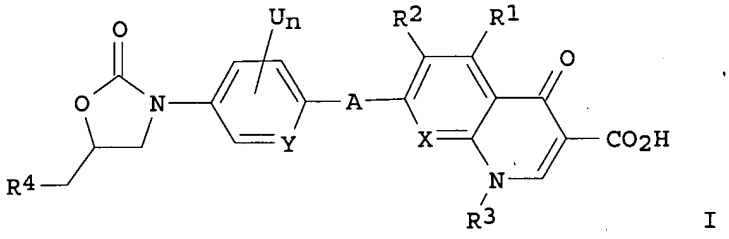
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031443	A1	20030417	WO 2002-EP10766	20020925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1630655	A	20050622	CN 2002-819724	20021004
ZA 2004001909	A	20050309	ZA 2004-1909	20040309

PRIORITY APPLN. INFO.: US 2001-327162P P 20011004
OTHER SOURCE(S): MARPAT 138:304289

GI



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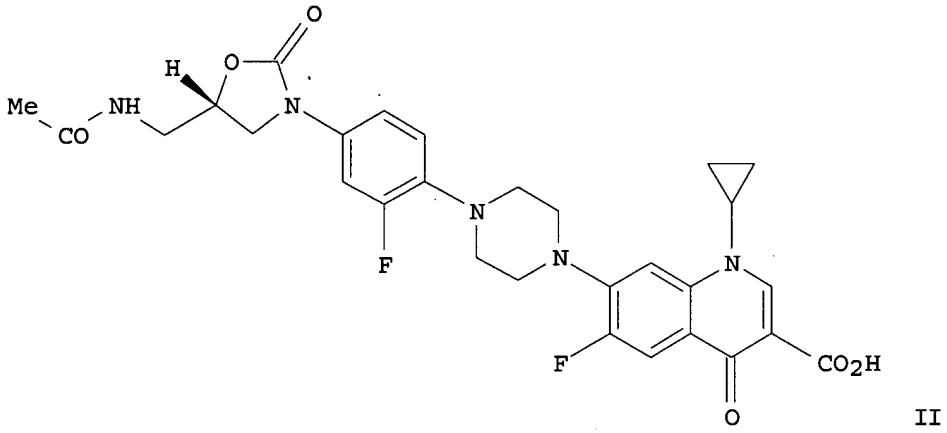


II

AB The present invention relates to oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30 example prepns. are included. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and

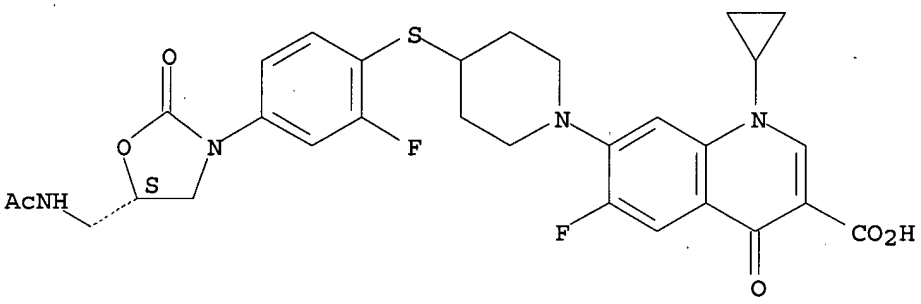
oxazolidinone as well as a 1+1 combination of these two compds.

IT 510729-82-5P, 7-[4-[[4-[(5S)-5-[(Acetylamino)methyl]-2-oxooxazolidin-3-yl]-2-fluorophenyl]sulfanyl]piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-82-5 CA

CN 3-Quinolinecarboxylic acid, 7-[4-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]thio]-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

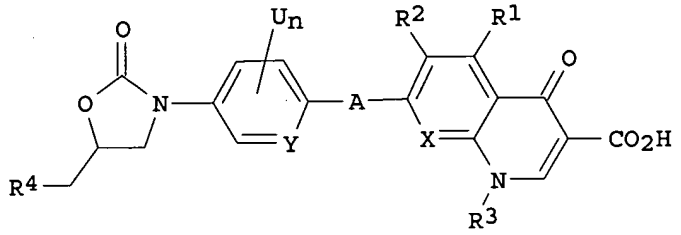
L12 ANSWER 32 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:304288 CA
TITLE: Preparation of dual action bactericides comprising a oxazolidinone and a quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria
INVENTOR(S): Hubschwerlen, Christian; Specklin, Jean-Luc
PATENT ASSIGNEE(S): Morphochem Aktiengesellschaft fuer Kombinatorische Chemie, Germany
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031441	A1	20030417	WO 2002-EP10765	20020925

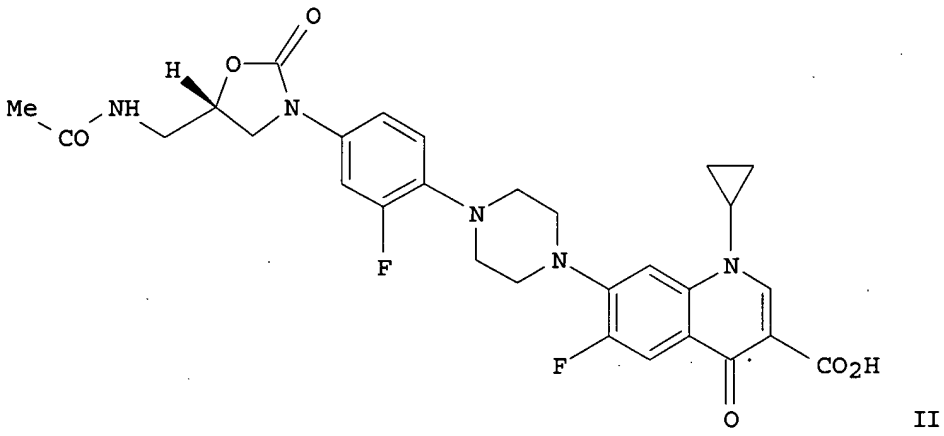
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-327208P P 20011004
OTHER SOURCE(S): MARPAT 138:304288
GI



I



II

AB The present invention refers to novel multiple action compds., i.e., to compds. which contain at least two pharmaceutically active components in one mol. The compds. have a higher stability than corresponding compds. of the prior art. Although the present invention does not claim any specific compds. or even a Markush expression, the examples involve oxazolidinones having a quinolone or naphthyridinone moiety (shown as I; variables defined below; e.g. 7-[4-[4-[(5S)-5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2-fluorophenyl]piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (shown as II)) that are useful antibacterial agents and effective against a variety of multi-drug resistant bacteria. For I: A is a bond, NH, O, S, SO, SO2, SO2NH, PO4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, alkylene, alkenylene, alkynylene, heteroalkylene, arylene, heteroarylene, cycloalkylene, heterocycloalkylene, alkylarylene or heteroarylalkylene or a combination of two or more of these atoms or groups. X is CR5 or N; Y is CR6 or N; U is F or Cl; n = 0-3; R1 is H, F, Cl, Br, I, OH, NH2, alkyl or heteroalkyl; R2 is H, F or Cl; R3 is H, alkyl, alkenyl, alkynyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R4 is heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or heteroarylalkyl; R5 is H, F, Cl, OH, NH2, alkyl or heteroalkyl, or R3 and R5 can be linked via an alkylene, an alkenylene or heteroalkylene or be a part of a cycloalkylene or heterocycloalkylene group, in which case R3 is not H and R5 is not H, F, OH, NH2 or Cl; R6 is H, F, Cl or OMe. Although the methods of preparation are not claimed, 30

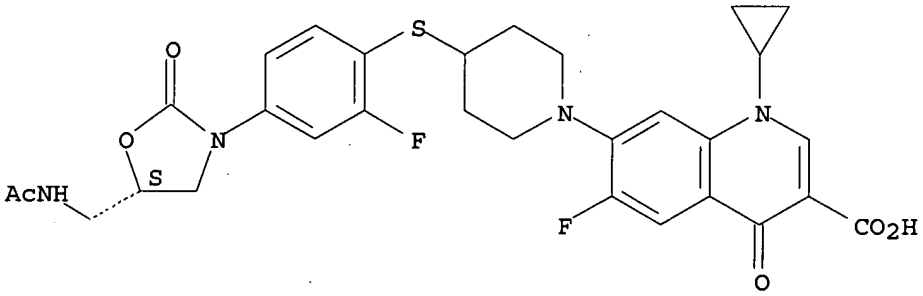
example prepns. are included. All examples were tested against several gram pos. and gram neg. bacteria; typical MIC ranges (mg/L) are: S. aureus (MRSA: 0.125-2; MSSA: 0.06-1), E. faecalis (≤0.03-1), E. faecium (≤0.03-1), and S. pneumoniae (≤0.03-1). They all have a broader and more pronounced activity than the corresponding quinolone and oxazolidinone as well as a 1+1 combination of these two compds. The examples of this patent are the same as those of WO 03/031443 A1.

IT 510729-82-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dual action bactericides comprising oxazolidinone and quinolone or naphthyridinone moiety effective against multi-drug resistant bacteria)

RN 510729-82-5 CA

CN 3-Quinolinecarboxylic acid, 7-[4-[[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]thio]-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 33 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:117647 CA
TITLE: Sulfonyl aryl hydroxamates and their use as matrix metalloprotease inhibitors
INVENTOR(S): McDonald, Joseph J.; Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Rao, Shashidhar N.; Freskos, John N.; De Crescenzo, Gary A.; Mischke, Brent V.; Getman, Daniel P.; Villamil, Clara I.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA; et al.
SOURCE: PCT Int. Appl., 214 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007954	A2	20030130	WO 2002-US23219	20020719
WO 2003007954	A3	20031023		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

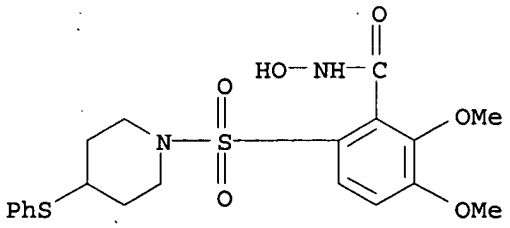
US 2003073845	A1	20030417	US 2001-909227		20010719
US 6696449	B2	20040224			
CA 2453613	A1	20030130	CA 2002-2453613		20020719
AU 2002326432	A1	20030303	AU 2002-326432		20020719
EP 1406626	A2	20040414	EP 2002-761148		20020719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002011430	A	20040713	BR 2002-11430		20020719
JP 2005502632	T	20050127	JP 2003-513561		20020719
PRIORITY APPLN. INFO.:			US 2001-909227	A	20010719
			US 1997-35182P	P	19970304
			WO 1998-US4300	W	19980304
			US 1999-310813	B2	19990512
			US 1999-230209	A2	19990624
			US 2000-569034	A2	20000511
			US 2000-728408	A2	20001201
			WO 2002-US23219	W	20020719

OTHER SOURCE(S): MARPAT 138:117647

AB The invention discloses sulfonyl aromatic hydroxamic acid compds. and salts thereof that, inter alia, inhibit matrix metalloprotease (MMP) activity and/or aggrecanase activity. The invention also is directed to a process that comprises administering such a compound or pharmaceutically acceptable salt thereof to a host animal having a condition associated with MMP activity.

IT 308385-58-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(use of sulfonyl aryl or heteroaryl hydroxamic acids and derivs. as aggrecanase inhibitors)

RN 308385-58-2 CA
CN Benzamide, N-hydroxy-2,3-dimethoxy-6-[[4-(phenylthio)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 34 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 138:117646 CA
TITLE: Use of sulfonyl aryl or heteroaryl hydroxamic acids and derivatives as aggrecanase inhibitors
INVENTOR(S): McDonald, Joseph J.; Barta, Thomas A.; Arner, Elizabeth; Boehm, Terri L.; Becker, Daniel P.; Decrescenzo, Gary A.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 274 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

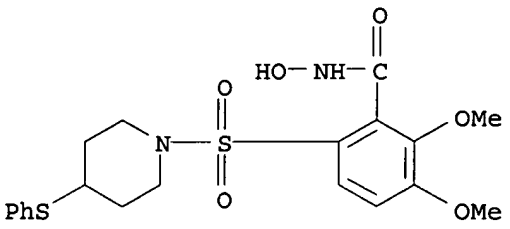
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007930	A2	20030130	WO 2002-US22867	20020719
WO 2003007930	A3	20030821		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003171404	A1	20030911	US 2002-194897	20020712
US 6683078	B2	20040127		
CA 2453602	A1	20030130	CA 2002-2453602	20020719
EP 1406602	A2	20040414	EP 2002-763298	20020719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011210	A	20040713	BR 2002-11210	20020719
JP 2005504026	T	20050210	JP 2003-513538	20020719
PRIORITY APPLN. INFO.:			US 2001-306629P P	20010719
			WO 2002-US22867 W	20020719

OTHER SOURCE(S): MARPAT 138:117646

AB The invention discloses a process for inhibiting aggrecanase activity. The process comprises administering a therapeutically effective amount of a sulfonyl aromatic or heteroarom. hydroxamic acid, a derivative thereof, or a pharmaceutically acceptable salt of the hydroxamic acid or derivative to a host animal.

IT 308385-58-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(use of sulfonyl aryl or heteroaryl hydroxamic acids and derivs. as aggrecanase inhibitors)

RN 308385-58-2 CA
CN Benzamide, N-hydroxy-2,3-dimethoxy-6-[[4-(phenylthio)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 35 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:263031 CA

TITLE: Preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors

INVENTOR(S): Eriksson, Anders; Lepistoe, Matti; Lundkvist, Michael; Munck Af Rosenschoeld, Magnus; Zlatoidsky, Pavol

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

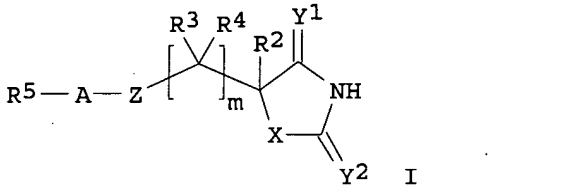
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074767	A1	20020926	WO 2002-SE472	20020313
WO 2002074767	A8	20040422		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2440630	A1	20020926	CA 2002-2440630	20020313
EE 200300445	A	20031215	EE 2003-445	20020313
EP 1370556	A1	20031217	EP 2002-704031	20020313
EP 1370556	B1	20060719		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008104	A	20040302	BR 2002-8104	20020313
CN 1509272	A	20040630	CN 2002-809788	20020313
CN 1509286	A	20040630	CN 2002-809915	20020313
CN 1509276	A	20040630	CN 2002-810093	20020313
JP 2004527515	T	20040909	JP 2002-573776	20020313
HU 200400327	A2	20050128	HU 2004-327	20020313
NZ 528106	A	20050324	NZ 2002-528106	20020313
EP 1676846	A2	20060705	EP 2006-8158	20020313
EP 1676846	A3	20060726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 333454	T	20060815	AT 2002-704031	20020313
RU 2288228	C2	20061127	RU 2003-127734	20020313
IN 2003MN00805	A	20050318	IN 2003-MN805	20030827
ZA 2003006731	A	20041129	ZA 2003-6731	20030828
ZA 2003006732	A	20041129	ZA 2003-6732	20030828
ZA 2003006734	A	20041129	ZA 2003-6734	20030828
ZA 2003006737	A	20041129	ZA 2003-6737	20030828
NO 2003004045	A	20031110	NO 2003-4045	20030912
US 2004127528	A1	20040701	US 2004-471900	20040114
HK 1059932	A1	20061222	HK 2004-102796	20040421

PRIORITY APPLN. INFO.:

SE 2001-902	A	20010315
EP 2002-704031	A3	20020313
WO 2002-SE472	W	20020313

OTHER SOURCE(S): MARPAT 137:263031

GI



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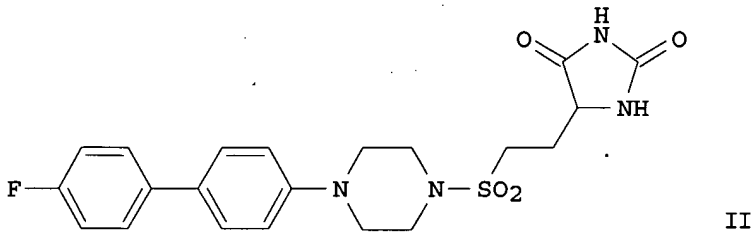


II

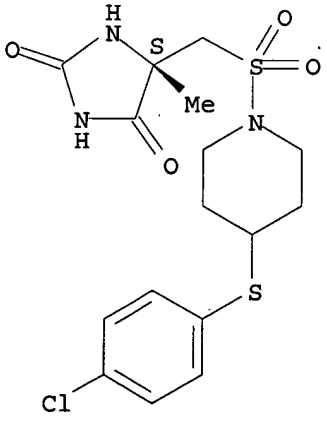
AB The title compds. [I; X = NR1, O, S; Y1, Y2 = O, S; Z = SO, SO2; m = 1, 2; A = a bond, alkyl, haloalkyl, etc.; R1 = H, alkyl, haloalkyl; R2, R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, haloalkyl; R5 = monocyclic, bicyclic or tricyclic group selected from (un)substituted cycloalkyl, aryl, heterocycloalkyl, heteroaryl], useful as metalloproteinase inhibitors, especially as inhibitors of MMP12, were prepared Thus, reacting 1-[4-(4-fluorophenyl)phenyl]piperazine and 2-(2,5-dioxo-4-imidazolidinyl)-1-ethanesulfonyl chloride (preparation given) in the presence Et3N in CH2Cl2 afforded II.

IT 459815-70-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors)

RN 459815-70-4 CA

CN Piperidine, 4-[(4-chlorophenyl)thio]-1-[[[(4S)-4-methyl-2,5-dioxo-4-imidazolidinyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

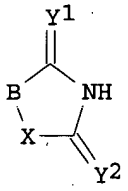
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 36 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:247696 CA
TITLE: Preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors
INVENTOR(S): Eriksson, Anders; Lepistoe, Matti; Lundkvist, Michael; Munck Af Rosenschoeld, Magnus; Zlatoidsky, Pavol
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074750	A1	20020926	WO 2002-SE475	20020313
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2440632	A1	20020926	CA 2002-2440632	20020313
EE 200300439	A	20031215	EE 2003-439	20020313
EP 1370536	A1	20031217	EP 2002-704034	20020313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008105	A	20040309	BR 2002-8105	20020313
CN 1509275	A	20040630	CN 2002-810041	20020313
HU 200400206	A2	20040830	HU 2004-206	20020313
JP 2004527511	T	20040909	JP 2002-573759	20020313
EP 1676846	A2	20060705	EP 2006-8158	20020313
EP 1676846	A3	20060726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
IN 2003MN00800	A	20050318	IN 2003-MN800	20030827
NO 2003004025	A	20031113	NO 2003-4025	20030911
US 2004147573	A1	20040729	US 2003-471808	20030912

PRIORITY APPLN. INFO.:	SE 2001-902	A	20010315
	SE 2001-903	A	20010315
	EP 2002-704031	A3	20020313
	WO 2002-SE475	W	20020313

OTHER SOURCE(S): MARPAT 137:247696
GI



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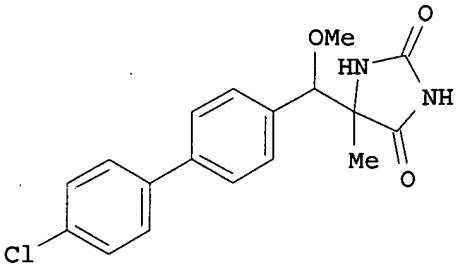


II

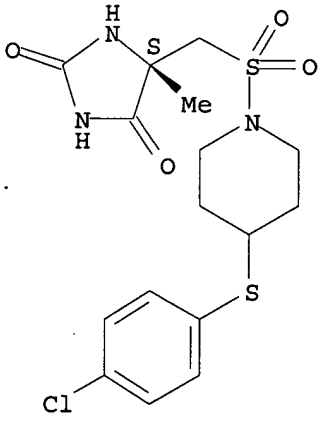
AB The title compds. [I; X = NR1, O, S; B = C, CH, and is a point of attachment of one or more other functional groups or side chains; Y1, Y2 = O, S; R1 = H, alkyl, haloalkyl], useful in the treatment of a disease or condition mediated by one or more metalloproteinase enzymes (no biol. data), were prepared E.g., a 4-step synthesis of II, starting with 4-(4-chlorophenyl)benzaldehyde, was given.

IT 459815-70-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors)

RN 459815-70-4 CA

CN Piperidine, 4-[(4-chlorophenyl)thio]-1-[[[(4S)-4-methyl-2,5-dioxo-4-imidazolidinyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 37 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:201139 CA
TITLE: Substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines useful for inhibiting cholesteryl ester transfer protein activity
INVENTOR(S): Sikorski, James A.; Durley, Richard C.; Mischke, Deborah A.; Reinhard, Emily J.; Fobian, Yvette M.; Tollefson, Michael B.; Wang, Lijuan; Grapperhaus,

Margaret L.; Hickory, Brian S.; Massa, Mark A.; Norton, Monica B.; Vernier, William F.; Parnas, Barry L.; Promo, Michele A.; Hamme, Ashton T.; Spangler, Dale P.; Rueppel, Melvin L.

PATENT ASSIGNEE(S): G.D. Searle & Co., USA

SOURCE: U.S. Pat. Appl. Publ., 157 pp., Division of U.S. Ser. No. 405,524.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002120011	A1	20020829	US 2001-991174	20011114
US 6479552	B2	20021112		
US 6448295	B1	20020910	US 2001-991208	20011114
US 6451823	B1	20020917	US 2001-990645	20011114
US 6451830	B1	20020917	US 2001-991085	20011114
US 6458852	B1	20021001	US 2001-991210	20011114
US 6458849	B1	20021001	US 2001-991273	20011114
US 6462092	B1	20021008	US 2001-990811	20011114
US 6476057	B2	20021105	US 2001-990833	20011114
US 2002165232	A1	20021107		
US 6476075	B1	20021105	US 2001-991301	20011114
US 2002165231	A1	20021107	US 2001-991241	20011114
US 6586433	B2	20030701		
US 6455519	B1	20020924	US 2001-991116	20011115
US 6458803	B1	20021001	US 2001-991084	20011123
US 2003032644	A1	20030213	US 2002-71518	20020207
US 6723753	B2	20040420		
US 2003087905	A1	20030508	US 2002-154726	20020523
US 6677353	B2	20040113		
US 2003096818	A1	20030522	US 2002-155921	20020523
US 6765023	B2	20040720		
US 2003100559	A1	20030529	US 2002-155095	20020523
US 6677379	B2	20040113		
US 2003105100	A1	20030605	US 2002-155451	20020523
US 6683099	B2	20040127		
US 2003119833	A1	20030626	US 2002-154571	20020523
US 6677375	B2	20040113		
US 2003125328	A1	20030703	US 2002-154788	20020523
US 6696472	B2	20040224		
US 2003125329	A1	20030703	US 2002-155346	20020523
US 6677380	B2	20040113		
US 6677382	B1	20040113	US 2002-155410	20020523

PRIORITY APPLN. INFO.:

US 1999-405524	A3 19990923
US 2001-990645	A1 20011114
US 2001-990811	A1 20011114
US 2001-990833	A1 20011114
US 2001-991174	A1 20011114
US 2001-991210	A1 20011114
US 2001-991273	A1 20011114
US 2001-991301	A1 20011114
US 2001-991084	A1 20011123

OTHER SOURCE(S): MARPAT 137:201139
GI

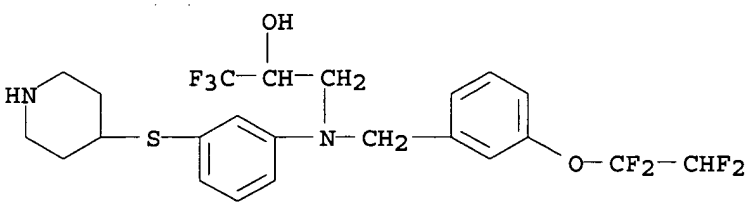
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = NH, N(OH), N-alkyl; R16 = hydrido; n = 1-2; R1 = haloalkyl, haloalkoxyalkyl; R2 = hydrido, hydroxyalkyl, aryl, aralkyl, alkyl, alkenyl, alkynyl, etc.; R3 = hydrido, alkyl, alkenyl, alkoxyalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, alkenyloxyalkyl, etc.; Y = bond, alkyl; Z = bond, alkyl; R4, R8-9, R13 = hydrido, halo, haloalkyl, alkyl; R5-7, R10-12 = hydrido, perhaloaryloxy, alkanoylalkyl, alkanoylalkoxy, alkanoyloxy, N-aryl-N-alkylamino, heterocyclylalkoxy, etc.; with provisions] were prepared for the treatment of atherosclerosis and other coronary artery diseases. I are useful as inhibitors of cholesteryl ester transfer protein (CETP; plasma lipid transfer protein-I). Examples include over 700 syntheses and data from two bioassays on CETP activity. For instance, reaction of 3-bromoaniline with 3-(1,1,2,2-tetrafluoroethoxy)benzaldehyde in the presence of NaBH(OAc)3 and AcOH formed the secondary amine (96%). Addition of 1,1,1-trifluoro-2,3-epoxypropane in CH2Cl2 and Yb(OTf)3 gave the alc. (99%), which was silylated with tert-butyldimethylsilyl trifluoromethanesulfonate (58%). Heating a solution of the tertiary amine with 4-chloro-3-ethylphenol, Cs2CO3, copper triflate benzene complex, and 1-naphthoic acid in 2:1 toluene:dimethylacetamide for 96 h gave II (23%). The latter inhibited CETP activity with IC50 values of 0.034 μM and 0.88 μM, resp., in the reconstituted buffer and human plasma assays.

IT 263345-16-0P, 2-Propanol, 1,1,1-trifluoro-3-[[3-(4-piperidinylthio)phenyl][[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]amino]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines as cholesteryl ester transfer protein inhibitors for the treatment of atherosclerosis and other coronary artery disease)

RN 263345-16-0 CA

CN 2-Propanol, 1,1,1-trifluoro-3-[[3-(4-piperidinylthio)phenyl][[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)



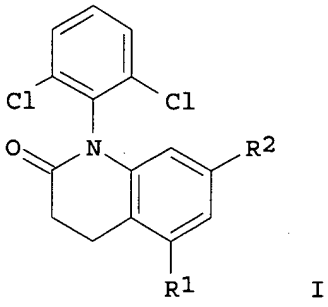
L12 ANSWER 38 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:140442 CA
TITLE: Preparation of 1,5-diaryl-7-heterocyclyl(alkyl)-2-quinolinones as p38 protein kinase inhibitors
INVENTOR(S): Doherty, James B.; Stelmach, John E.; Chen, Meng-Hsin; Liu, Luping; Hunt, Julianne A.; Ruzek, Rowena D.; Goulet, Joung L.; Wisnoski, David D.; Natarajan, Swaminathan Ravi; Rupprecht, Kathleen M.; Bao, Jianming; Miao, Shouwu; Hong, Xingfang
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 440 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058695	A1	20020801	WO 2001-US48676	20011214
WO 2002058695	A9	20030912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431904	A1	20020801	CA 2001-2431904	20011214
EP 1345603	A1	20030924	EP 2001-994260	20011214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521892	T	20040722	JP 2002-559029	20011214
US 2003092712	A1	20030515	US 2001-23231	20011217
US 6809199	B2	20041026		

PRIORITY APPLN. INFO.: US 2000-256822P P 20001220
WO 2001-US48676 W 20011214

OTHER SOURCE(S): MARPAT 137:140442
GI



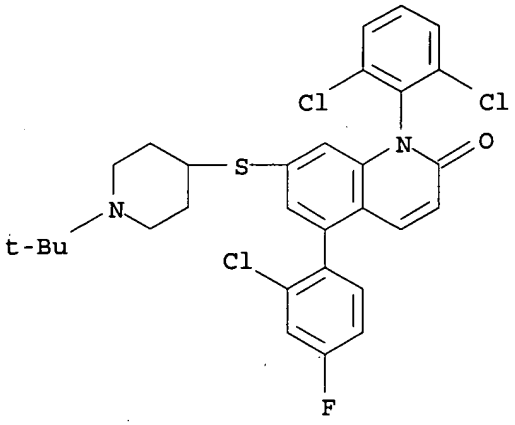
I

AB Title compds. were prepared Thus, 2,6-dibromo-4-methoxytoluene was converted in 5 steps to arylquinolinone I (R1 = Br, R2 = OMe) which was condensed with 2,4-F2C6H3B(OH)2 and the O-demethylated product converted in 4 steps to I (R1 = C6H3F2-2,4, R2 = 4-piperidinyl). Data for biol. activity of title compds. were given.

IT 444663-34-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,5-diaryl-7-heterocyclyl(alkyl)-2-quinolinones as p38 protein kinase inhibitors)

RN 444663-34-7 CA

CN 2(1H)-Quinolinone, 5-(2-chloro-4-fluorophenyl)-1-(2,6-dichlorophenyl)-7-[[1-(1,1-dimethylethyl)-4-piperidinyl]thio]- (9CI) (CA INDEX NAME)



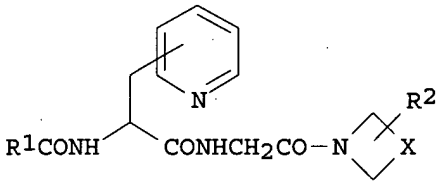
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 39 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:94011 CA
TITLE: Preparation of peptide compounds having NOS inhibiting activity
INVENTOR(S): Shima, Ichiro; Ohkawa, Takehiko; Sato, Kentaro; Ishibashi, Naoki; Imamura, Kenichiro
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055541	A2	20020718	WO 2001-JP11067	20011218
WO 2002055541	A3	20030807		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433582	A1	20020718	CA 2001-2433582	20011218
EP 1347990	A2	20031001	EP 2001-273184	20011218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200302535	A2	20031128	HU 2003-2535	20011218
BR 2001016778	A	20040217	BR 2001-16778	20011218
JP 2004517877	T	20040617	JP 2002-556609	20011218
CN 1531546	A	20040922	CN 2001-822910	20011218
NZ 527189	A	20050128	NZ 2001-527189	20011218

RU 2281955	C2	20060820	RU 2003-124057		20011218
NO 2003002963	A	20030902	NO 2003-2963		20030627
ZA 2003005888	A	20041101	ZA 2003-5888		20030730
IN 2003CN01180	A	20050422	IN 2003-CN1180		20030730
US 2004097425	A1	20040520	US 2003-250444		20031223
US 7129243	B2	20061031			
PRIORITY APPLN. INFO.:			AU 2001-2371	A	20010102
			AU 2001-7506	A	20010905
			WO 2001-JP11067	W	20011218

OTHER SOURCE(S): MARPAT 137:94011
GI



I

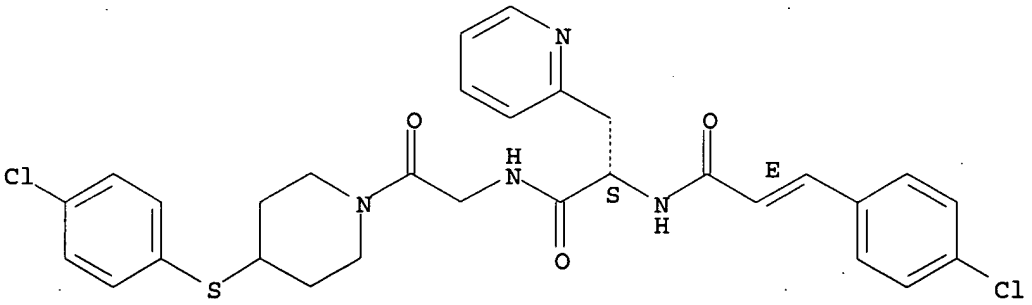
AB Peptides I (R1 = halobenzofuranyl or halostyryl; R2 = substituted hydroxy, mercapto, or sulfonyl; X = CH2, CH2CH2, CH2CH2CH2) or their pharmaceutically acceptable salts were prepared for the prevention and/or treatment of nitric oxide-mediated diseases. Thus, 5-chloro-N-[(1S)-2-oxo-2-[[2-oxo-2-[4-(1,3-thiazol-2-yloxy)-1-piperidinyl]ethyl]amino]-1-(2-pyridylmethyl)ethyl]-1-benzofuran-2-carboxamide (II) was prepared via amidation reaction and showed 100% inhibition of nitric acid. The combination of compds. I and FK507 dramatically prolonged graft survival in rat cardiac allograft.

IT 442199-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide compds. having NOS inhibiting activity)

RN 442199-03-3 CA

CN 2-Pyridinepropanamide, α-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-[4-[(4-chlorophenyl)thio]-1-piperidinyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

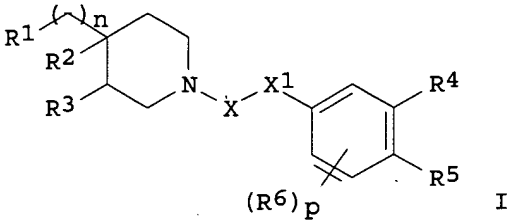
Absolute stereochemistry.
Double bond geometry as shown.



L12 ANSWER 40 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 137:63177 CA
TITLE: Preparation of piperidine derivatives as subtype selective n-methyl-d-aspartate antagonists useful in the treatment of cerebral vascular disorders
INVENTOR(S): Kornberg, Brian Edward; Lewthwaite, Russell Andrew; Manning, David Douglas; Nikam, Sham Shridhar; Scott, Ian Leslie
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002050070	A2	20020627	WO 2001-IB2277		20011130
WO 2002050070	A3	20020919			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2436699	A1	20020627	CA 2001-2436699		20011130
AU 2002023968	A5	20020701	AU 2002-23968		20011130
US 2003018021	A1	20030123	US 2001-998479		20011130
US 6642256	B2	20031104			
BR 2001016311	A	20030923	BR 2001-16311		20011130
EP 1345935	A2	20030924	EP 2001-271104		20011130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004516295	T	20040603	JP 2002-551566		20011130
PRIORITY APPLN. INFO.:			US 2000-257832P	P	20001221
			WO 2001-IB2277	W	20011130

OTHER SOURCE(S): MARPAT 137:63177
GI



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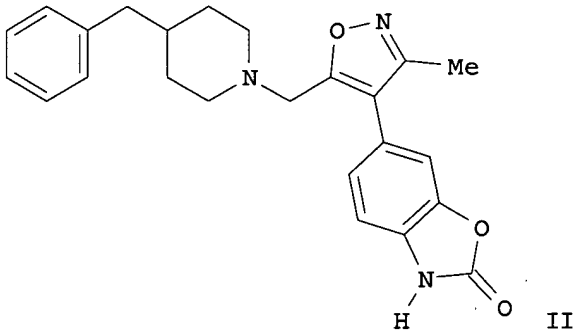


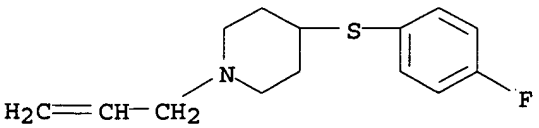
II

AB Title compds. I [R1 = mono, di or trisubstituted aryl with substituents selected from (un)substituted alkyl, alkenyl, alkoxy, etc.; n = 0-1; R2 and R3 independently = H, OH, (un)substituted alkoxy; X = (CH2)m or (CH2)qCO, wherein m = 1-4 and q = 0-4; X1 = 4-, 5-, or 6-membered, carbon-linked, (un)substituted heterocyclene, containing 1-3 heteroatoms selected from N, O and S; R4 = H, R5 = OH or R4R5 taken together with the phenylene to which they are attached from a fused 9- or 10-membered bicyclic ring, containing 0-3 heteroatoms selected from N, O and S, wherein R4 is a linker group containing 2 or 3 atoms of the bicyclic ring, and R5 is a H bond donor group containing 1 atom of the bicyclic ring; R6 = (un)substituted alkyl, alkenyl, alkoxy, CN, NO2, etc.; n = 0-2] and their pharmaceutically acceptable salts thereof are prepared and disclosed as subtype selective n-methyl-d-aspartate antagonists. Thus, II was prepared in three steps via bromination of benzoxazolinone, substitution with 3-(4-benzylpiperidinyl)propyne and cyclocondensation with acetaldoxime. I possessed IC50 values of 0.002-0.788 (μM) in [3H]ifenprodil binding assays. I are antagonists of NMDA receptor channel complexes, and therefore, are useful for treating cerebral vascular disorders.

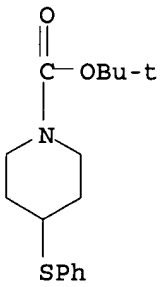
IT 438635-16-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aryl- and arylalkylpiperidines as subtype selective n-methyl-d-aspartate antagonists)

RN 438635-16-6 CA

CN Piperidine, 4-[(4-fluorophenyl)thio]-1-(2-propenyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 41 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:194114 CA
TITLE: 4-(Phenylsulfonyl)piperidines: Novel, Selective, and Bioavailable 5-HT2A Receptor Antagonists
AUTHOR(S): Fletcher, Stephen R.; Burkamp, Frank; Blurton, Peter; Cheng, Susan K. F.; Clarkson, Robert; O'Connor, Desmond; Spinks, Daniel; Tudge, Matthew; van Niel, Monique B.; Patel, Smita; Chapman, Kerry; Marwood, Rose; Shepheard, Sara; Bentley, Graham; Cook, Gina P.; Bristow, Linda J.; Castro, Jose L.; Hutson, Peter H.; MacLeod, Angus M.
CORPORATE SOURCE: Merck Sharp and Dohme, The Neuroscience Research Centre, Harlow Essex, CM20 2QR, UK
SOURCE: Journal of Medicinal Chemistry (2002), 45(2), 492-503
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB On the basis of a spirocyclic ether screening lead, a series of acyclic sulfones have been identified as high-affinity, selective 5-HT2A receptor antagonists. Bioavailability lacking in the parent, 1-(2-(2,4-difluorophenyl)ethyl)-4-(phenylsulfonyl)piperidine, was introduced by using stability toward rat liver microsomes as a predictor of bioavailability. By this means, the 4-cyano- and 4-carboxamidophenylsulfonyl derivs. were identified as orally bioavailable, brain-penetrant analogs suitable for evaluation in animal models. Bioavailability was also attainable by N substitution leading to the N-phenacyl derivative IKr activity detected through counterscreening was reduced to insignificant levels in vivo with the latter compound
IT 154612-64-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure activity of 4-(phenylsulfonyl)piperidines as novel, selective, and bioavailable 5-HT2A receptor antagonists)
RN 154612-64-3 CA
CN 1-Piperidinecarboxylic acid, 4-(phenylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

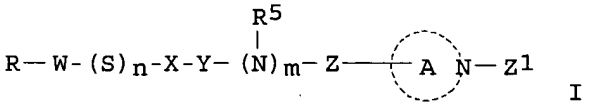
L12 ANSWER 42 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:134784 CA
TITLE: Preparation of hydrocarbyl sulfone derivatives as inhibitors of activated blood coagulation factor X and process for their production
INVENTOR(S): Kubo, Keiji; Miyawaki, Toshio; Kawamura, Masaki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006234	A1	20020124	WO 2001-JP6148	20010717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001069531	A5	20020130	AU 2001-69531	20010717
JP 2002201178	A	20020716	JP 2001-216830	20010717
CA 2416384	A1	20030116	CA 2001-2416384	20010717
EP 1302462	A1	20030416	EP 2001-948032	20010717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003187023	A1	20031002	US 2003-333308	20030116

PRIORITY APPLN. INFO.: JP 2000-221065 A 20000717
WO 2001-JP6148 W 20010717

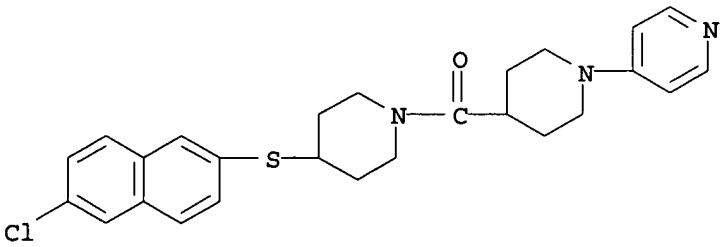
OTHER SOURCE(S): MARPAT 136:134784
GI



I

AB Compds. represented by the general formula (I) or salts thereof [wherein R = (un)substituted cyclic hydrocarbyl or heterocyclyl; W = a bond, (un)substituted divalent hydrocarbon chain; X = (un)substituted divalent hydrocarbon group; Y, Z = NR6, CO, SO, SO2, CH2, NR6CO, COCH2, a bond; ring A = (un)substituted N-containing heterocyclyl; R5, R6 = H, (un)substituted hydrocarbyl, (un)substituted alkoxy, optionally esterified or amidated carboxyl, (un)substituted acyl; or R5 is linked to the substituent of X or that of the ring A to form a ring; Z1 = (un)substituted imidoyl or N-containing heterocyclyl; n = 0,1,2; m = 0,1] or salts thereof, which inhibit activated blood coagulation factor X (no data), are prepared These compds. are useful as anticoagulants for the treatment or prevention of myocardial infarction, cerebral thrombosis, deep venous thrombosis, pulmonary thromboembolism, or thromboembolism during or after surgery. Thus, a solution of 3-[(6-chloro-2-naphthyl)sulfonyl]propanoic acid (preparation given), 4-methylamino-1-(2-methyl-4-pyridyl)piperidine (preparation given), DMTMM in THF was stirred at room temperature for 16 h to give 38% 3-[(6-chloro-2-naphthyl)sulfonyl]-N-methyl-N-[1-(2-methyl-4-pyridyl)-4-piperidinyl]propanamide (II). A capsule and tablet formulation containing II were prepared

IT 392328-65-3P, 4-[(6-Chloro-2-naphthyl)thio]-1-[[1-(4-pyridyl)-4-piperidinyl]carbonyl]piperidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydrocarbyl sulfone derivs. as inhibitors of activated blood coagulation factor X and anticoagulants for therapeutic agents)
RN 392328-65-3 CA
CN Piperidine, 4-[(6-chloro-2-naphthalenyl)thio]-1-[[1-(4-pyridinyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 43 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:134675 CA
TITLE: Preparation of heterocyclic amino alcohol beta-3 adrenergic receptor agonists
INVENTOR(S): Ashwell, Mark Anthony; Solvibile, William Ronald; Quagliato, Dominick Anthony; Molinari, Albert John
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006229	A2	20020124	WO 2001-US22327	20010716
WO 2002006229	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028832	A1	20020307	US 2001-903841	20010712
US 6451814	B2	20020917		
US 2003018045	A1	20030123	US 2002-189312	20020702
US 6605618	B2	20030812		

PRIORITY APPLN. INFO.: US 2000-218628P P 20000717
US 2001-903841 A1 20010712

AB This invention provides A-U-CH(OH)CH2NHCH2CH2VC6H4WZ-p (1; Z = (1-Y-X-substituted piperidin-4-yl)) or a pharmaceutically acceptable salt

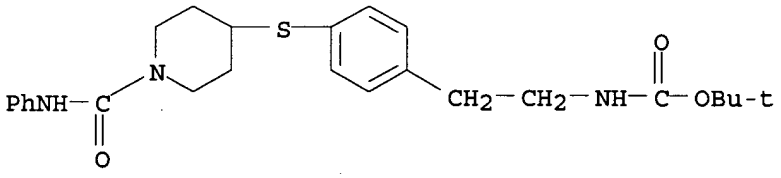
thereof, which are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension and frequent urination; and are particularly useful in the treatment or inhibition of type II diabetes. β3-Adrenergic receptor EC50 and maximal response (IA; % activity compound/% activity isoproterenol) values are reported for .apprx.100 example compds., e.g. 0.032 μM and 1.04 for 4-[4-[2-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propylamino]ethyl]phenylamino] piperidine-1-carboxylic acid 2,6-difluorobenzylamide. In 1, A is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, N, and S, substituted with (R1)m; (b) a Ph ring substituted with (R1)m; (c) a naphthyl ring substituted with (R1)m; or (d) a Ph fused heterocycle selected from (R1)m-substituted 1,3-dihydro-2-oxo-2H-benzimidazol-4-yl, 1,3-benzodioxol-5-yl, 1,2,3,4-tetrahydro-2-oxoquinolin-5-yl, 1,2,3,4-tetrahydro-1-naphthylideneamino. U is -OCH2- or a bond; V is O or a bond; W is O, S(O)a, NR2, NC(O)R2; X = SO2, C(O), -(CH2)b, a bond, Ar; Y is -NR3R4, Het, Ar, alkyl of 1-8 C atoms, O(CH2)dR5. R1 is alkyl of 1-8 C atoms, -OR6, halogen, cyano, cycloalkyl of 3-8 C atoms, trifluoromethyl, CO2R6, -NR6R7, -C(O)NR6R7, -NHC(O)R6, -NR6C(O)NR8R8, -NHSO2R8, -S(O)aR6, -NO2, -O(CH2)eCO2R7, -OC(O)NR6R7, -O(CH2)fOR6, or a 5-6 membered heterocyclic ring containing 1 to 4 heteroatoms selected from O, S, and N. R2 is H, alkyl of 1-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R3 and R4 are each, independently, H, alkyl of 1-8 C atoms, cycloalkyl of 3-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl group, -(CH2)gR9, -(CH2)hCOR9, -(CH2)jCR10R11(CH2)jR9, or -(CH2)kCONR12R13; or R3 and R4 may be taken together together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be substituted with R14. R5 is H; alkyl of 1-8 C atoms optionally substituted by 1-3 substituents selected from hydroxy, halogen and aryl; cycloalkyl of 1-8 C atoms; Ar or Het; R6, R7, and R8 are each, independently, H, or alkyl of 1-8 C atoms, or aryl of 6-10 C atoms, cycloalkyl of 3-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R9 is H; alkyl optionally substituted with 1-3 substituents selected from hydroxy, halogen, and aryl; cycloalkyl of 3-8 C atoms; Ar, or Het; R10 and R11 are each, independently, H, alkyl, or aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R10 and R11 are taken together to form a spiro fused cycloalkyl ring of 3-8 C atoms. R12 and R13 are each, independently, H, alkyl of 1-8 C atoms, aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R12 and R13 are taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be substituted with R14; R14 is CO2R15 or aryl optionally substituted with a 1-3 substituents selected from -OR15 and cycloalkyloxy of 3-8 C atoms; R15 is alkyl of 1-8 C atoms or arylalkyl having 1-8 C atoms in the alkyl moiety. Ar is an aromatic ring system containing 1-2 carbocyclic aromatic rings having 6-10 C atoms optionally mono, di, or trisubstituted with R16; Het is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, S, and N which may be optionally mono- or disubstituted with R16; or (b) a heterocyclic ring system optionally mono- or disubstituted by R16 containing a 5-6 membered heterocyclic ring fused to one or two carbocyclic or heterocyclic rings such that the heterocyclic ring system contains 1-4 heteroatoms selected from O, S, and N; R16 is aryl, halogen, alkyl of 1-8 C atoms, -OR17, cycloalkyl of 3-8 C atoms, trifluoromethyl, cyano, -CO2R17, -CONR17R18, -SO2NR17R18, -NR17OR18, -NR19CONR1 7R18, -NR17R18, -NR17COR18, -NO2, -O(CH2)pCO2R17, -OCONR17R18, -S(O)nR17, -O(CH2)qOR17, or a 5-6 membered heterocyclic ring containing 1-4 heteroatoms selected from O, S

and N. R17, R18, and R19 are each, independently, H, alkyl of 1-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl moiety, or aryl optionally mono, di, or trisubstituted with halogen, cyano, nitro, hydroxy, alkyl of 1-8 C atoms, or alkoxy of 1-8 C atoms; or when R17 and R18 are contained on a common N, R17 and R18 may be taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S. A = 0-2; b = 1-6; d = 0-3; e = 1-6; f = 1-6; g = 0-6; h = 0-6; j = 0-6; k = 0-6; m = 0-2; p = 1-6; q = 1-6. Methods of preparation are claimed, comprising (a) reacting AOCH2-substituted oxirane or a protected form thereof in which a reactive substituent group is protected, with H2NCH2CH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 (U = -OCH2-). (b) reacting A-substituted oxirane or a protected form thereof in which any reactive substituent group is protected, with H2NCH2CH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U represents a bond;. (c) reacting ACH(OPr)CH2I, wherein Pr is a protecting group, with H2NCH2CH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH2-. (d) reacting ACH(OH)CH2NH2 or a protected form thereof in which any reactive substituent group is protected, with HO2CCH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH2-. (e) removing any protecting group from 1 in which at least one substituent carries a protecting group to give 1; or (f) converting a basic compound 1 to a salt thereof by reaction with a pharmaceutically acceptable acid; or (g) converting 1 having one or more reactive substituent groups to a different 1; or (h) isolating an isomer of 1 from a mixture thereof. More than 100 example prepns. are included.

IT 392628-48-7P, tert-Butyl 4-[[1-(anilinocarbonyl)-4-piperidinyl]sulfanyl]phenethylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterocyclic amino alc. beta-3 adrenergic receptor agonists)

RN 392628-48-7 CA

CN Carbamic acid, [2-[4-[[1-[(phenylamino)carbonyl]-4-piperidinyl]thio]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 44 OF 45 CA COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 136:102293 CA

TITLE: Urethanes derived from azacycloalkanes, thio and dithio analogues, production and use thereof as 2,3-epoxysqualene lanosterol cyclase inhibitors

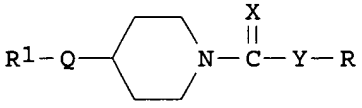
INVENTOR(S): Maier, Roland; Hurnaus, Rudolf; Mark, Michael; Eisele, Bernhard; Mueller, Peter; Schilcher, Gebhard; Adelgoss, Gebhard

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany

SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6339096	B1	20020115	US 1999-275317		19990324
PRIORITY APPLN. INFO.:			US 1998-73027P	P	19980129

OTHER SOURCE(S): MARPAT 136:102293
GI



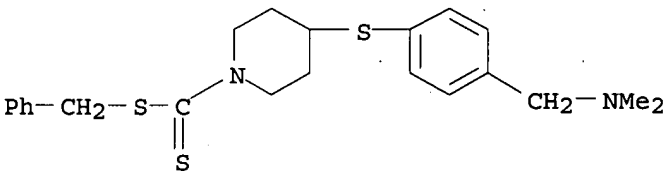
I

AB Approx. 20 piperidine hydrochlorides [I, R = benzyl, Ph, p-tolyl, p-ClC6H4, p-FC6H4; R1 = p-Me2NC6H4, 4-piperidinomethylphenyl; X, Y = O, S; Q = S, CO, CH2, SO] were prepared by standard methods and were tested as anticholesteremics and fungicides. E.g., the MIC for I (R = benzyl, R1 = p-Me2NC6H4, X = Y = Q = S) against Trichophyton mentagrophytes was 1 μg/mL.

IT 227100-33-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and pharmacol. activity of aminomethylphenylpiperidino carbamates)

RN 227100-33-6 CA

CN 1-Piperidinecarbodithioic acid, 4-[[4-[(dimethylamino)methyl]phenyl]thio]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

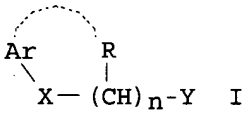
L12 ANSWER 45 OF 45 CA COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 136:85815 CA
TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivatives as GPR14 antagonists
INVENTOR(S): Tarui, Naoki; Santo, Takashi; Watanabe, Hiroyuki; Aso, Kazuyoshi; Ishihara, Yuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002530	A1	20020110	WO 2001-JP5784	20010704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2414976	A1	20020110	CA 2001-2414976	20010704
AU 2001071018	A5	20020114	AU 2001-71018	20010704
JP 2002097142	A	20020402	JP 2001-203519	20010704
EP 1310490	A1	20030514	EP 2001-949909	20010704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004063699	A1	20040401	US 2003-332023	20030102

PRIORITY APPLN. INFO.: JP 2000-206865 A 20000704
WO 2001-JP5784 W 20010704

OTHER SOURCE(S): MARPAT 136:85815
GI

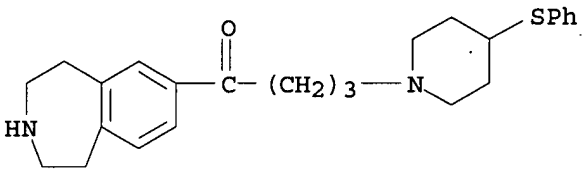


AB A G-protein-coupled receptor (GPR14) antagonist comprises compds. represented by the formula (I) or a salt thereof (wherein Ar represents optionally substituted aryl; X represents a spacer consisting of 1-4 atoms in the straight chain moiety; n is an integer of 1 to 10; R represents hydrogen or an optionally substituted hydrocarbon group, provided that R may be bonded to the substituent of Ar to form a ring; and Y represents optionally substituted amino or N-containing heterocyclyl). These compds. are antagonists of orphan receptor GPR14 protein (urotensin II receptor) and are useful as inhibitors of vasoconstriction for the prevention or treatment of hypertension, arteriosclerosis, cardiac hypertrophy, myocardial infarction, or heart failure. Thus, a mixture of 4-bromo-1-[3-(2,2,2-trifluoroacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl]-1-butanone, 1-phenylpiperazine, K2CO3, and DMF was stirred at 80° for 2 h, followed by treatment of the product with a mixture of 1 M aqueous KOH and methanol and then with 1 N HCl/EtOAc to give 4-(4-phenyl-1-piperazinyl)-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1-butanone trihydrochloride (II). N-(2-{4-[bis(4-fluorophenyl)methyl]piperazin-1-yl}ethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine-7-carboxamide trihydrochloride in vitro showed IC50 of 1.7 nM for inhibiting the binding of [125I]urotensin to human GPR14. A capsule and a tablet formulation containing II were prepared

IT 387875-68-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydrobenzazepine derivs. as GPR14 antagonists and vasoconstriction inhibitors for treatment and prevention of hypertension, arteriosclerosis, cardiac hypertrophy, myocardial infarction, or heart failure)
RN 387875-68-5 CA
CN 1-Butanone, 4-[4-(phenylthio)-1-piperidinyl]-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

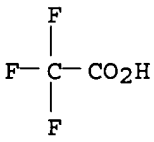
CM 1

CRN 387875-67-4
CMF C25 H32 N2 O S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 10:37:58 ON 14 MAR 2007)

FILE 'REGISTRY' ENTERED AT 10:38:04 ON 14 MAR 2007
L1 STRUCTURE UPLOADED
L2 1432 S L1 FULL
L3 STRUCTURE UPLOADED
L4 STRUCTURE UPLOADED
L5 806 S L3 FULL
L6 901 S L4 FULL
L7 626 S L2 NOT L5
L8 531 S L7 NOT L6

FILE 'CA' ENTERED AT 10:40:11 ON 14 MAR 2007
L9 111 S L8
L10 57 S L9 AND PY<2001
L11 66 S L9 AND PY<2002

10/500,517

L12 45 S L9 NOT L11

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---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 10:41:59 ON 14 MAR 2007